=> file caplus
FILE 'CAPLUS' ENTERED AT 15:26:31 ON 31 JAN 2008

FILE COVERS 1907 - 31 Jan 2008 VOL 148 ISS 5
FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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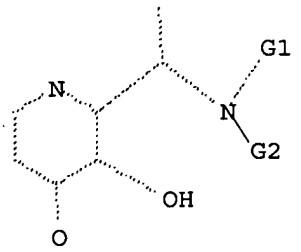
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d que
L1 STR



G1 H,Ak

G2 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.
L3 550 SEA FILE=REGISTRY SSS FUL L1
L4 57 SEA FILE=CAPLUS L3

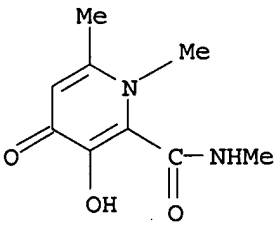
=> d l4 1-57 ibib abs hitstr

L4 ANSWER 1 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1168693 CAPLUS
DOCUMENT NUMBER: 148:17977
TITLE: pH indicator titration: a novel fast pKa determination method
AUTHOR(S): Kong, Xiaole; Zhou, Tao; Liu, Zudong; Hider, Robert C.
CORPORATE SOURCE: Division of Pharmaceutical Science King's College London, London, SE1 9NH, UK
SOURCE: Journal of Pharmaceutical Sciences (2007), 96(10), 2777-2783
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study describes a fast spectrophotometric titration method for apparent ionization constant (pKa) determination In this method, a Universal pH indicator is utilized instead of the conventional pH electrode. An auto-burette is set to add HCl at a constant rate to a vigorously stirred 1 cm UV cuvette which contains sample and indicator solution A spectrophotometer continuously records the spectra. Acquired spectral data are processed by calculating the pH from the indicator spectra in the visible region and extracting sample spectra from the UV region. Five compds. possessing pKa values in the range 2-10 were investigated. These results differed from measurements by conventional spectrophotometric titration by ±0.05 to ±0.10 log unit.

IT 243987-44-2 349141-34-0
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(pH indicator titration for fast pKa determination)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



RN 349141-34-0 CAPLUS
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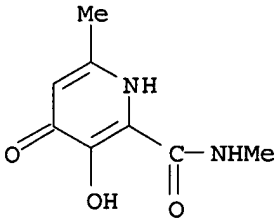

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:565038 CAPLUS
DOCUMENT NUMBER: 147:9803
TITLE: Preparation of hydroxydihydropyridinones, hydroxydihydropyridinethiones, hydroxypyranones, and hydroxypyranthiones as metalloprotein inhibitors
INVENTOR(S): Puerta, David T.; Cohen, Seth M.; Lewis, Jana A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 40pp., Cont.-in-part of Appl. No. PCT/US2005/014747.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007117848	A1	20070524	US 2006-554475	20061030
WO 2005110399	A2	20051124	WO 2005-US9277	20050321
WO 2005110399	A3	20060615		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2006028523	A2	20060316	WO 2005-US14747	20050428
WO 2006028523	A3	20060601		

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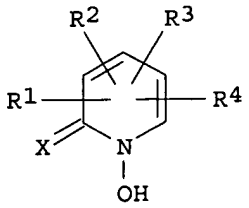
PRIORITY APPLN. INFO.:		
US 2004-566882P	P	20040429
US 2004-576444P	P	20040603
WO 2005-US9277	A	20050321
WO 2005-US14747	A2	20050428
US 2006-826488P	P	20060921

OTHER SOURCE(S): MARPAT 147:9803

GI



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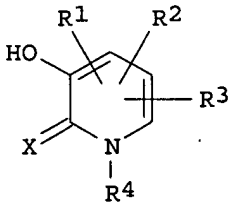

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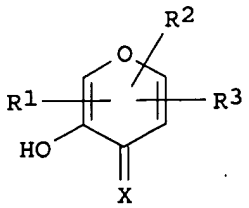

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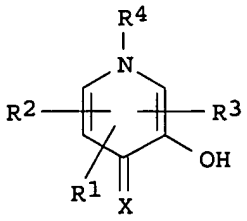


IV

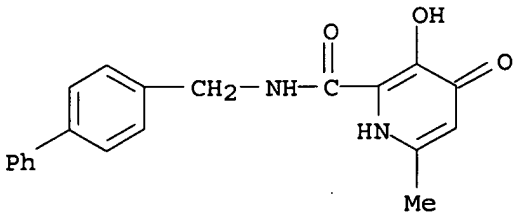
AB The compds. (I), (II), (III), and (IV) [wherein X = O, S; one or two of R1, R2, R4, and R4 is individually a substituent of formula [C6-10 aryl]x[C6-10 aryl]q[O]p-[C6-10 aryl]-[O]r-[C1-6 alkyl]o-[C(O)]s-[N(R)]-[C(O)]t-[C1-6 alkyl]w- (wherein q, p, r, o, s, t, w, x = 0,1; R = H, C1-4

alkyl, Ph, benzyl), and the remainder of R1, R2, R3, and R4 are individually H, halo, cyano, NO2, NH2, sulfonamido, C1-6 alkyl, C1-6 alkoxy, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-6 alkyl, C6-10 aryl, C6-10 aryl-C2-10 alkyl, C6-10 aryl-C2-10 alkenyl, C6-10 heteroaryl, C3-6 heterocycloalkyl, C3-6 heterocycloalkyl-C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkanoyl, halo-C1-6 alkyl, hydroxy-C1-6 alkyl, C1-6 alkoxycarbonyl, C1-6 alkylthio, mercapto-C1-6 alkyl, C1-6 alkanoyloxy, NR6R7, or SO2NR6R7; wherein R6, R7 = H, :O, , C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-6 alkyl, Ph, or benzyl; or NR6R7 together form a 5- or 6-membered ring which may optionally contain 1-2 S, (un)substituted NH or nonperoxide O; or R1 and R2 together are methylenedioxy, and optionally any of R1, R2, R3, and R4 is substituted with one to four R1] or pharmaceutically acceptable salts thereof were prepared These compds. I-IV comprise (a) an organic substituent and at least one zinc binding group (ZBG) covalently attached thereto or (b) a ZBG substituted by a side chain. They are inhibitors of metalloprotein, in particular matrix metalloproteinase, histone deacetylase, or anthrax lethal factor, and useful for preventing or treating a pathol. disease, condition, or symptom, in particular cancer, inflammation, or myocardial infarction, that is associated with pathol. metalloprotein activity and/or that is alleviated by inhibition of said activity. Thus, coupling of 3-benzyloxy-6-methyl-4-oxo-4H-pyran-2-carboxylic acid N-(4-iodobenzylamide) with 4-cyanophenylboronic acid in a mixture of aqueous 2 M aqueous K2CO3 solution and toluene in the presence of Pd(C2H3O2)2 and PPh3 under refluxing fro 10 days gave 3-benzyloxy-6-methyl-4-oxo-4H-pyran-2-carboxylic acid N-[4-(4-cyanophenyl)benzyl]amide which underwent hydrogenolysis over 10% Pd/C in methanol at 35 psi for 20 h to give 3-hydroxy-6-methyl-4-oxo-4H-pyran-2-carboxylic acid N-[4-(4-cyanophenyl)benzyl]amide (V). V showed IC50 of >50, 0.61, and 0.010 μM against matrix metalloproteinase-1 (MMP-1), MMP-2, and MMP-3, resp.

IT 937187-86-5P, N-[(Biphenyl-4-yl)methyl]-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxydihydropyridinones, hydroxydihydropyridinethiones, hydroxypyranones, and hydroxypyranthiones as metalloprotein inhibitors)

RN 937187-86-5 CAPLUS

CN 2-Pyridinecarboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



L4 ANSWER 3 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1031424 CAPLUS

DOCUMENT NUMBER: 145:397783

TITLE: Preparation of 3-hydroxypyridin-4-ones as iron modulators

INVENTOR(S): Hider, Robert Charles; Gaeta, Alessandra; Liu, Zu Dong

PATENT ASSIGNEE(S): BTG International Limited, UK

SOURCE: PCT Int. Appl., 66pp.
CODEN: PIXXD2

10/580,011

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006103463	A1	20061005	WO 2006-GB1199	20060331

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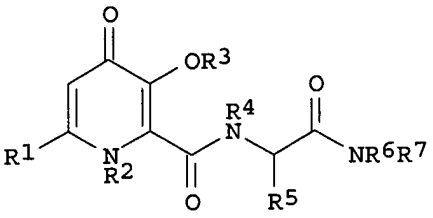
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2005-6677 A 20050401
OTHER SOURCE(S): MARPAT 145:397783
GI



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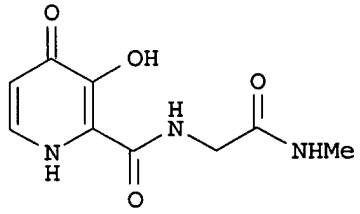
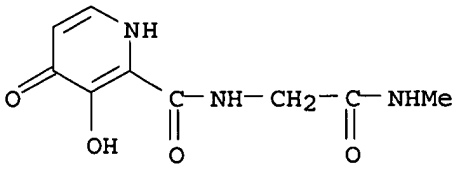


II

AB Title compds. represented by the formula I [wherein R1 = H, (hydroxy)alkyl or (hydroxy)alkenyl; R2 = H, (hydroxy)alkyl, (hydroxy)alkenyl or (un)substituted aralkyl; R3 = H, alkyl, alkenyl or acyl; R4 = H or alkyl; R5-R7 = independently H, (un)substituted alkyl, aryl or aralkyl; R6R7 = (hydroxy)heterocyclyl; and pharmaceutically acceptable tautomers, esters or addition salts thereof] were prepared as iron modulators. For example, II was provided in a multi-step synthesis starting from maltol. I showed relative inhibition of tyrosine hydroxylate, lipoxygenase, and etc.

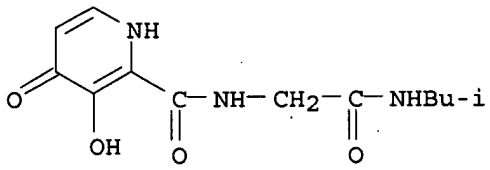
IT 911289-24-2P 911289-25-3P 911289-26-4P
911289-27-5P 911289-28-6P 911289-29-7P
911289-30-0P 911289-31-1P 911289-32-2P
911289-33-3P 911289-34-4P 911289-36-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-hydroxypyridin-4-ones as iron modulators)

RN 911289-24-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-[2-(methylamino)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

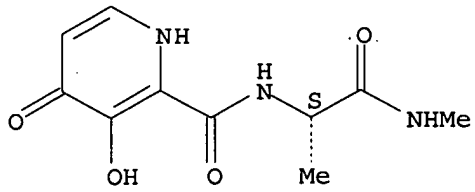
RN 911289-25-3 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-[2-[(2-methylpropyl)amino]-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 911289-26-4 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-[(1S)-1-methyl-2-(methylamino)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

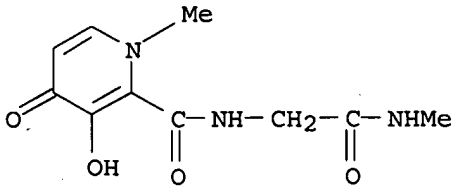
Absolute stereochemistry.



● HCl

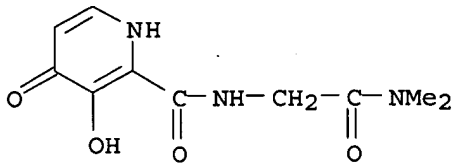
RN 911289-27-5 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-[(1S)-1-methyl-2-[(2-methylpropyl)amino]-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



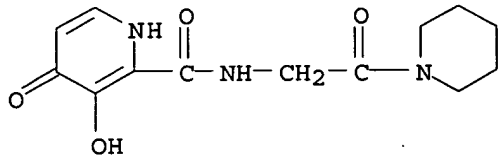
● HCl

RN 911289-34-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)-2-oxoethyl]-1,4-dihydro-3-hydroxy-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 911289-36-6 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-4-oxo-N-[2-oxo-2-(1-piperidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1022128 CAPLUS
DOCUMENT NUMBER: 145:356661
TITLE: Preparation of cycloalkyl derivatives of 3-hydroxy-4-pyridinones as therapeutic iron chelating agents
INVENTOR(S): Tam, Tim Fat; Spino, Michael; Li, Wanren; Wang, Yingsheng; Zhao, Yanqing; Shah, Birenkumar Hasmukhbhai
PATENT ASSIGNEE(S): Apotex Inc., Can.
SOURCE: PCT Int. Appl., 77pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049609	A1	20050602	WO 2004-CA1986	20041118
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2004291184	A1	20050602	AU 2004-291184	20041118
CA 2546781	A1	20050602	CA 2004-2546781	20041118
EP 1687298	A1	20060809	EP 2004-818733	20041118
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BR 2004016703	A	20070116	BR 2004-16703	20041118
CN 1926135	A	20070307	CN 2004-80039267	20041118
IN 2006MN00572	A	20070309	IN 2006-MN572	20060516
MX 2006PA05594	A	20061219	MX 2006-PA5594	20060517
NO 2006002262	A	20060811	NO 2006-2262	20060519
US 2007082904	A1	20070412	US 2006-580011	20060519

PRIORITY APPLN. INFO.:
NZ 2003-529657 A 20031120
WO 2004-CA1986 W 20041118

OTHER SOURCE(S): MARPAT 145:356661
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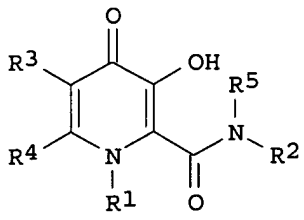

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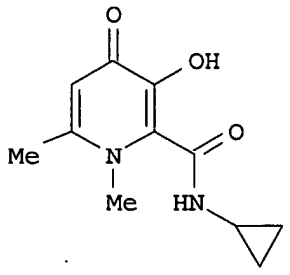


II

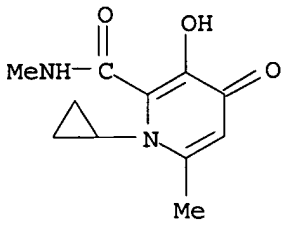
AB The invention relates to novel 3-hydroxy-4-pyridinone derivs. of formula I (wherein R1 = X with the proviso that R2 = Y; or R1 = T with the proviso that R2 = W; or R1 = X with the proviso that R2R5N together form an (un)substituted heterocyclic ring; X = C3-C6 cycloalkyl; Y = C3-C6 cycloalkyl, (un)substituted C1-C6 alkyl; T = C1-C6 alkyl; W = C3-C6 cycloalkyl; R3, R4, and R5 = H or C1-C6 alkyl) and their use in chelating ferric (III) ions. Pharmaceutical compns. of such compds. are useful in the removal of excess body iron from patients with iron overload diseases. A process for preparing I is addnl. claimed. For example, II was prepared by hydrogenation of 3-benzyloxy-1,6-dimethyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid cyclopropylamide. II at a dose of 450 μmoles/kg in iron overloaded rats caused fecal iron excretion 3 days after administration of 4411 μg/day/kg compared with a baseline value of 3057

ug/day/kg.

IT 887774-94-9P, 1-Cyclopropyl-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid methylamide 887774-95-0P, N-(Cyclohexylmethyl)-1-cyclopropyl-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxamide 887774-96-1P, 1-Cyclopropyl-3-hydroxy-6-methyl-N-(3-methylbutyl)-4-oxo-1,4-dihydropyridine-2-carboxamide 887774-97-2P, 1-Cyclopropyl-N-hexyl-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxamide 887774-98-3P, N-Cyclohexyl-1-cyclopropyl-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxamide 887774-99-4P, 1-Cyclopropyl-3-hydroxy-N,N-dimethyl-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxamide 887775-01-1P, 1-Cyclopropyl-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid cyclopropylamide 910293-45-7P, 3-Hydroxy-1,6-dimethyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid cyclohexylamide 910293-46-8P , 3-Hydroxy-1,6-dimethyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid cyclopropylamide 910293-51-5P, N-Cyclobutyl-3-hydroxy-1,6-dimethyl-4-oxo-1,4-dihydropyridine-2-carboxamide 910293-52-6P, N-Cyclopentyl-3-hydroxy-1,6-dimethyl-4-oxo-1,4-dihydropyridine-2-carboxamide
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of cycloalkyl derivs. of 3-hydroxy-4-pyridinones as therapeutic iron chelating agents)

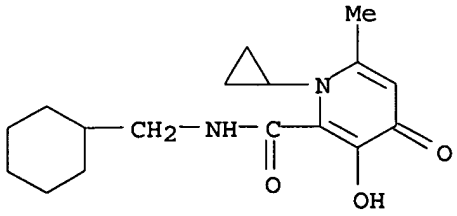
RN 887774-94-9 CAPLUS

CN 2-Pyridinecarboxamide, 1-cyclopropyl-1,4-dihydro-3-hydroxy-N,6-dimethyl-4-oxo- (CA INDEX NAME)



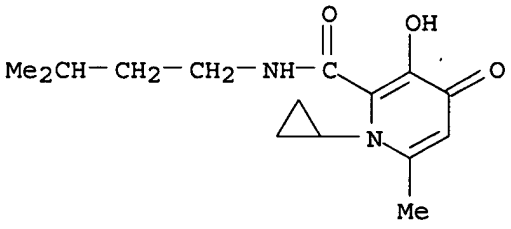
RN 887774-95-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(cyclohexylmethyl)-1-cyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)

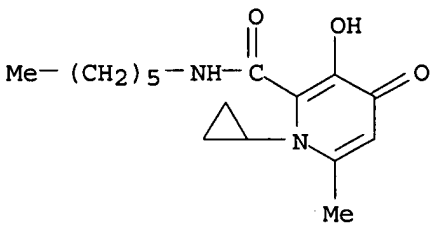


RN 887774-96-1 CAPLUS

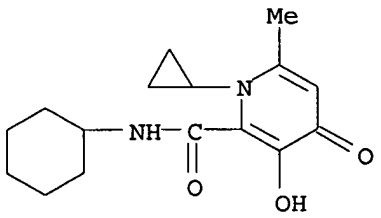
CN 2-Pyridinecarboxamide, 1-cyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-N-(3-methylbutyl)-4-oxo- (CA INDEX NAME)



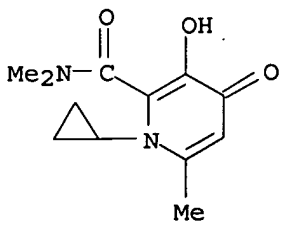
RN 887774-97-2 CAPLUS
CN 2-Pyridinecarboxamide, 1-cyclopropyl-N-hexyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



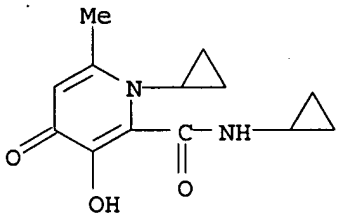
RN 887774-98-3 CAPLUS
CN 2-Pyridinecarboxamide, N-cyclohexyl-1-cyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



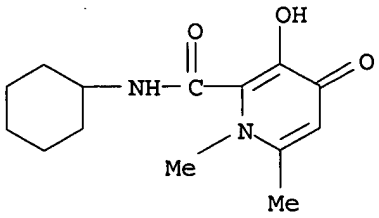
RN 887774-99-4 CAPLUS
CN 2-Pyridinecarboxamide, 1-cyclopropyl-1,4-dihydro-3-hydroxy-N,N,6-trimethyl-4-oxo- (CA INDEX NAME)



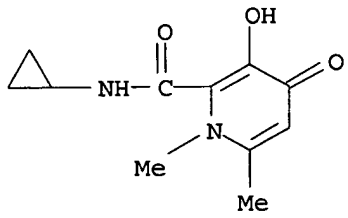
RN 887775-01-1 CAPLUS
CN 2-Pyridinecarboxamide, N,1-dicyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



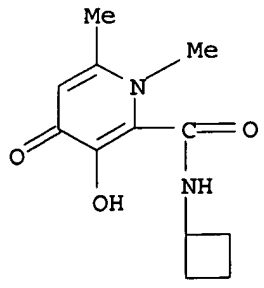
RN 910293-45-7 CAPLUS
CN 2-Pyridinecarboxamide, N-cyclohexyl-1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo- (CA INDEX NAME)



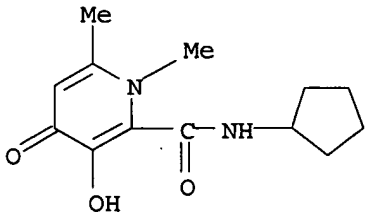
RN 910293-46-8 CAPLUS
CN 2-Pyridinecarboxamide, N-cyclopropyl-1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo- (CA INDEX NAME)



RN 910293-51-5 CAPLUS
CN 2-Pyridinecarboxamide, N-cyclobutyl-1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo- (CA INDEX NAME)



RN 910293-52-6 CAPLUS
CN 2-Pyridinecarboxamide, N-cyclopentyl-1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo- (CA INDEX NAME)



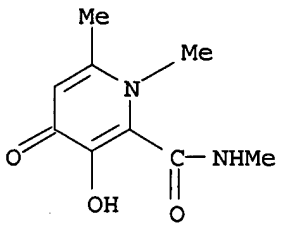
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1004384 CAPLUS
DOCUMENT NUMBER: 146:14880
TITLE: Fenton Chemistry and Iron Chelation under Physiologically Relevant Conditions: Electrochemistry and Kinetics
AUTHOR(S): Merkofer, Martin; Kissner, Reinhard; Hider, Robert C.; Brunk, Ulf T.; Koppenol, Willem H.
CORPORATE SOURCE: Laboratorium fuer Anorganische Chemie, Departement Chemie und Angewandte Biowissenschaften, ETH Zurich, Zurich, CH-8093, Switz.
SOURCE: Chemical Research in Toxicology (2006), 19(10), 1263-1269
CODEN: CRTOEC; ISSN: 0893-228X
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

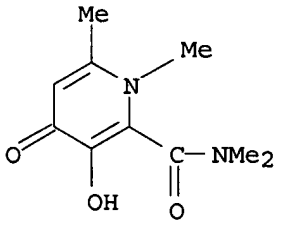
AB The goal of Fe-chelation therapy is to reduce the levels of labile plasma Fe, and i.v. administered desferrioxamine is the Au standard of therapeutic agents. Hydroxypyridinones, e.g., CP20 (3-hydroxy-1,2-dimethylpyridin-4(1H)-one), are used or are under study as orally administered Fe chelators. The authors determined electrode potentials of CP20, the related hydoxypyridones CP361, CP363, and CP502, and ICL670 (4-[3,5-bis(2-hydroxyphenyl)-1H-1,2,4-triazol-1-yl]benzoic acid) under physiol. relevant conditions to address the question of whether Fe in the presence of these chelating agents can carry out Fenton chemical in vivo. Fe(III) but not Fe(II) binds tightly to both CP20 and ICL670 at pH 7 and higher, compared to nearly complete binding of 1 μM Fe(II) to 10 μM desferrioxamine at pH 7.4. The electrode potentials of the hydroxypyridinones shift to more neg. values with decreasing pKa values at lower concns. of Fe(III) (0.02 mM) and ligand (0.1 mM). The electrode potential of the Fe-CP20 system decreases as a function of increasing pH, with a min. near pH 10.5. The authors estimate an electrode potential for the ascorbyl radical/ascorbate couple under physiol. conditions of +105 mV, which is higher than the electrode potential of the Fe(III) complex of CP20 at all concns. of Fe. The rate of oxidation of Fe(II) in the presence of CP20 by H2O2 increases with the concns. of both ligand and peroxide. Although Fe(II) is oxidized by H2O2, the thus-formed FeIII(CP20)3 complex cannot be reduced by ascorbate. Therefore, the tight binding of Fe(III) by this class of chelators prevents redox cycling.

IT 243987-44-2D, CP 502, iron complexes
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties)
(cyclic voltammetry on mercury drop electrode in Tris buffer and reaction kinetics with H2O2 and Fenton reaction and iron chelation under physiol. relevant conditions and electrochem. and kinetics)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



IT 793651-87-3, CP 509
RL: PRP (Properties)
(reaction kinetics with H2O2 and Fenton reaction and iron chelation under physiol. relevant conditions and electrochem. and kinetics)
RN 793651-87-3 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,N,1,6-tetramethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:485216 CAPLUS
DOCUMENT NUMBER: 145:8031
TITLE: Process for the preparation of 3-hydroxy-1-cycloalkyl-6-alkyl-4-oxo-1,4-dihydropyridine-2-carboxamides by treatment of the corresponding acids with acid chloride formation reagents and amines.
INVENTOR(S): Wang, Yingsheng; Agostino, Sandra Vittoria; Tam, Tim Fat; Zhao, Yanqing; Li, Wanren; Shah, Birenkumar Hasmukhbhai; Leung-Toung, Regis
PATENT ASSIGNEE(S): Apotex Inc., Can.
SOURCE: Can. Pat. Appl., 45 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2488034	A1	20060519	CA 2004-2488034	20041119
WO 2006053429	A1	20060526	WO 2005-CA1746	20051117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

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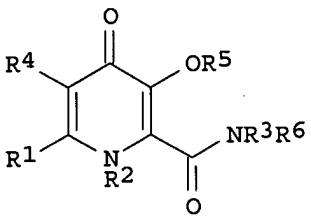
EP 1824823	A1	20070829	EP 2005-810777		20051117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 101094834	A	20071226	CN 2005-80045616		20051117
IN 2007DN04443	A	20070831	IN 2007-DN4443		20070611
PRIORITY APPLN. INFO.:			CA 2004-2488034	A	20041119
			WO 2005-CA1746	W	20051117

OTHER SOURCE(S): CASREACT 145:8031; MARPAT 145:8031

GI



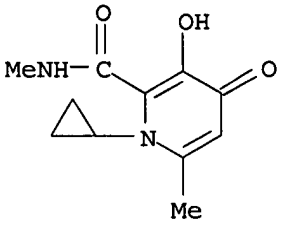
I

AB Title compds. [I; R1, R4 = H, alkyl; R2 = alkyl, cycloalkyl; R3 = alkyl, cycloalkyl, H, cycloalkylalkyl; R5 = H, (substituted) PhCH2, protecting group; R6 = H, alkyl, cycloalkyl; NR3R6 = (substituted) piperidinyl, morpholinyl, pyrrolidinyl, piperazinyl], were prepared via reaction of the corresponding acids with acid chloride formation reagents and amines. Thus, 3-benzyloxy-1-cyclopropyl-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid (preparation given) and DMF in CH2Cl2 were treated with (COCl)2 over 1 h at <10°. The resulting solution was added over 2.5 h to a solution of Et3N and MeNH2 in THF at 4° to give 90% 3-benzyloxy-1-cyclopropyl-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid methylamide. The latter was hydrogenated in MeOH/H2O containing concentrate HCl over Pd/C under 50 psi H2 for 3 h to give 74% 1-cyclopropyl-3-hydroxy-6-methyl-4-oxo-1,4-dihydropyridine-2-carboxylic acid methylamide.

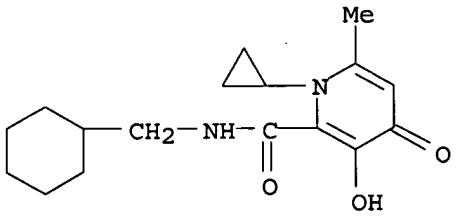
IT 887774-94-9P 887774-95-0P 887774-96-1P
887774-97-2P 887774-98-3P 887774-99-4P
887775-01-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroxycycloalkylalkyloxodihydropyridinecarboxamides by treatment of the corresponding acids with acid chloride formation reagents and amines)

RN 887774-94-9 CAPLUS

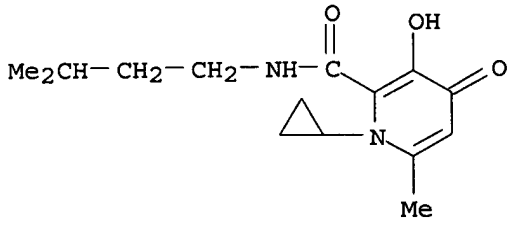
CN 2-Pyridinecarboxamide, 1-cyclopropyl-1,4-dihydro-3-hydroxy-N,6-dimethyl-4-oxo- (CA INDEX NAME)



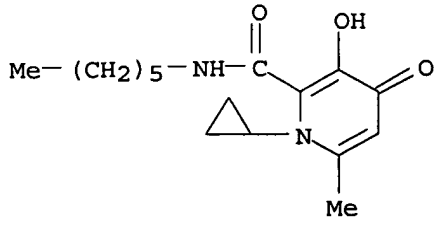
RN 887774-95-0 CAPLUS
CN 2-Pyridinecarboxamide, N-(cyclohexylmethyl)-1-cyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



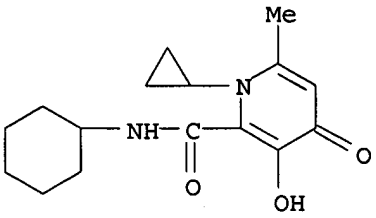
RN 887774-96-1 CAPLUS
CN 2-Pyridinecarboxamide, 1-cyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-N-(3-methylbutyl)-4-oxo- (CA INDEX NAME)



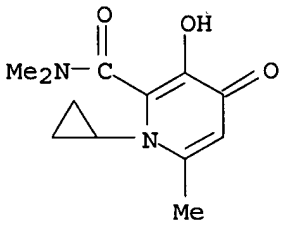
RN 887774-97-2 CAPLUS
CN 2-Pyridinecarboxamide, 1-cyclopropyl-N-hexyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



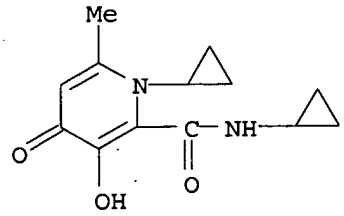
RN 887774-98-3 CAPLUS
CN 2-Pyridinecarboxamide, N-cyclohexyl-1-cyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



RN 887774-99-4 CAPLUS
CN 2-Pyridinecarboxamide, 1-cyclopropyl-1,4-dihydro-3-hydroxy-N,N,6-trimethyl-4-oxo- (CA INDEX NAME)



RN 887775-01-1 CAPLUS
CN 2-Pyridinecarboxamide, N,1-dicyclopropyl-1,4-dihydro-3-hydroxy-6-methyl-4-oxo- (CA INDEX NAME)



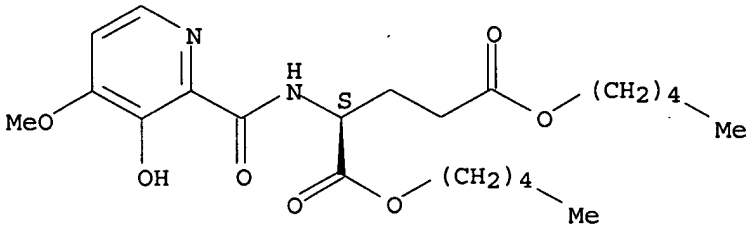
L4 ANSWER 7 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:453925 CAPLUS
DOCUMENT NUMBER: 145:76021
TITLE: Structure-activity relationship studies on UK-2A, a novel antifungal antibiotic from Streptomyces sp. 517-02. Part 5: Roles of the 9-membered dilactone-ring moiety in respiratory inhibition
AUTHOR(S): Usuki, Yoshinosuke; Adachi, Noriko; Fujita, Ken-Ichi; Ichimura, Akio; Iio, Hideo; Taniguchi, Makoto
CORPORATE SOURCE: Department of Material Science, Graduate School of Science, Osaka City University, 3-3-138 Sugimoto, Sumiyoshi, Osaka, 558-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(12), 3319-3322
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:76021
AB Several open-chained analogs of UK-2A, a novel antifungal antibiotic isolated from Streptomyces sp. 517-02, were prepared for structure-activity

studies. The in vitro antifungal activities of these compds. against Rhodotorula mucilaginosa IFO 0001 and the inhibition of uncoupler-stimulated respiration in bovine heart submitochondrial particles (SMP) were evaluated. Oxidative potentials were measured by cyclic voltammetry. An analog prepared from dihexyl -glutamate showed comparable inhibitory activity as UK-2A.

IT 894354-57-5P 894354-58-6P 894354-59-7P
894354-60-0P 894354-61-1P 894354-62-2P
RL: DMA (Drug mechanism of action); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(SAR of and preparation antifungal UK-2A analogs: 9-membered dilactone-ring moiety role in respiratory inhibition)

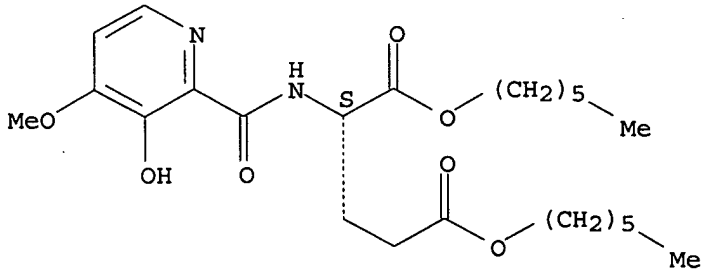
RN 894354-57-5 CAPLUS
CN L-Glutamic acid, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, dipentyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



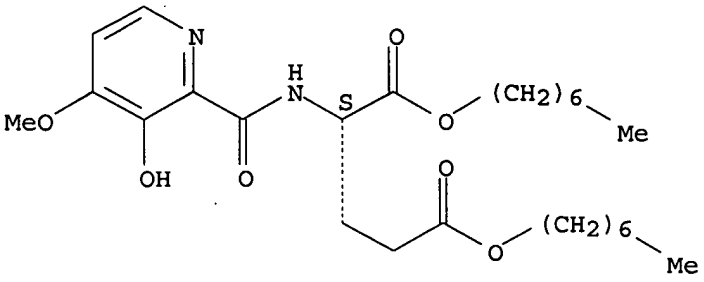
RN 894354-58-6 CAPLUS
CN L-Glutamic acid, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, dihexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



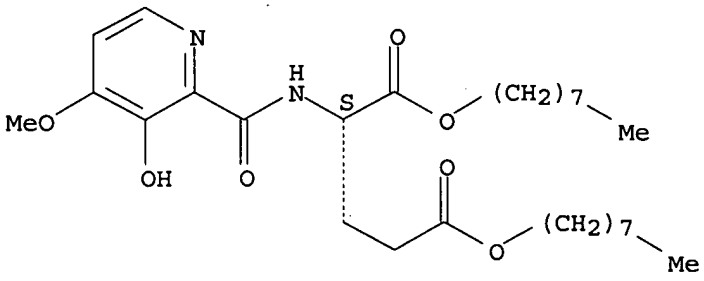
RN 894354-59-7 CAPLUS
CN L-Glutamic acid, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, diheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



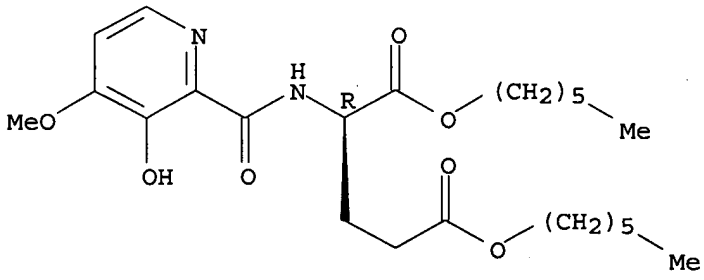
RN 894354-60-0 CAPLUS
CN L-Glutamic acid, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, dioctyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



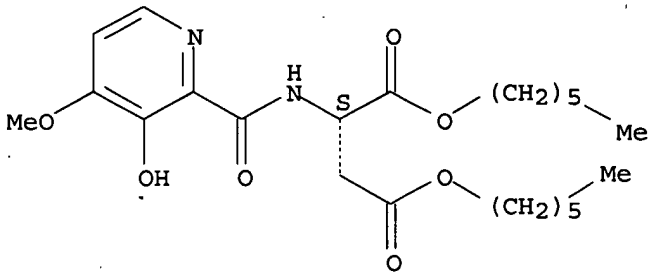
RN 894354-61-1 CAPLUS
CN D-Glutamic acid, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, dihexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 894354-62-2 CAPLUS
CN L-Aspartic acid, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, dihexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:331313 CAPLUS
DOCUMENT NUMBER: 145:3116

TITLE: Discovery of Different Types of Inhibition between the Human and Thermotoga maritima α-Fucosidases by Fuconojirimycin-Based Derivatives

AUTHOR(S): Ho, Ching-Wen; Lin, Yu-Nong; Chang, Chuan-Fa; Li, Shiou-Ting; Wu, Ying-Ta; Wu, Chung-Yi; Chang, Chiung-Fang; Liu, Sheng-Wen; Li, Yaw-Kuen; Lin, Chun-Hung
CORPORATE SOURCE: Institute of Biological Chemistry and Genomics Research Center, Academia Sinica, Taipei, 11529, Taiwan

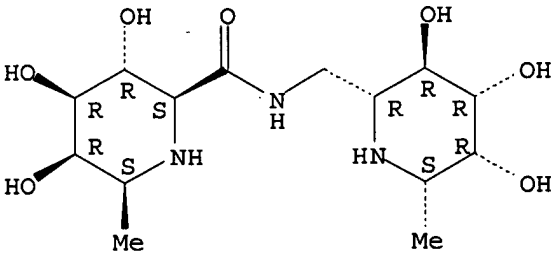
SOURCE: Biochemistry (2006), 45(18), 5695-5702
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An efficient method for examining the selectivity of inhibitors on two α-fucosidases, one from Thermotoga maritima and the other from human, was established. The X-ray crystal structure of the former enzyme makes possible the homol. modeling of the human α-fucosidase, indicating the major difference between both enzymes in the periphery of the catalytic site. To investigate the difference at the mol. level, a variety of fuconojirimycin (FNJ) derivs. with substitution at C1, C2, C6, or N were rapidly prepared in microplates and screened without purification for the inhibition activities of the two α-fucosidases. Among the mols. that were tested, only the substitution at C1 can significantly enhance the inhibitory potency, in contrast to the control (no substitution) and compds. with substitution at other positions. The majority of C1-substituted FNJs were found to be slow tight-binding inhibitors of the Thermotoga enzyme, while acting as the reversible inhibitors of the human fucosidase. The best inhibitor exhibited 13,700-fold difference in affinity between the two enzymes, which was attributed to the dissimilar aglycon binding site. Further investigations were carried out, including site-directed mutagenesis, the comparison of Ki values among the wild-type and mutants, and the intrinsic fluorescence change upon inhibitor titration, all supporting the idea that Tyr64 and Tyr267 of the Thermotoga α-fucosidase are critically involved in closely interacting with the aglycon of inhibitors. The increased level of contact thus induced conformational change, leading to the observed slow tight-binding inhibition.

IT 887948-57-4P 887949-34-0P 887950-11-0P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of fuconojirimycin derivs. as inhibitors; different types of inhibition between the human and Thermotoga maritima α-fucosidases by fuconojirimycin-based derivs.)

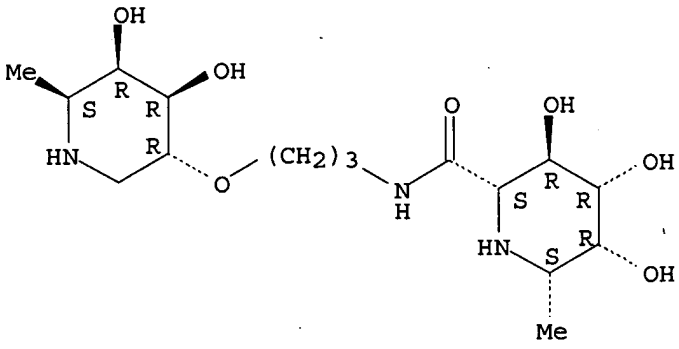
RN 887948-57-4 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-methyl-N-[[(2R,3R,4R,5R,6S)-3,4,5-trihydroxy-6-methyl-2-piperidinyl]methyl]-, (2S,3R,4R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



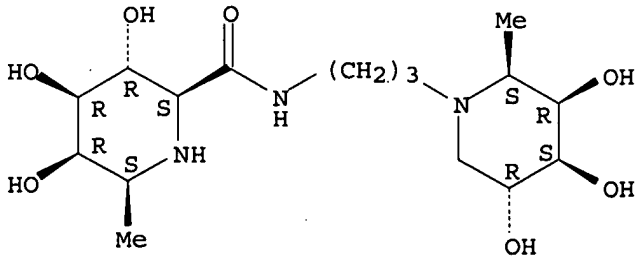
RN 887949-34-0 CAPLUS
CN 2-Piperidinecarboxamide, N-[3-[[(3R,4R,5R,6S)-4,5-dihydroxy-6-methyl-3-piperidinyl]oxy]propyl]-3,4,5-trihydroxy-6-methyl-, (2S,3R,4R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 887950-11-0 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-methyl-N-[3-[(2S,3R,4S,5R)-3,4,5-trihydroxy-2-methyl-1-piperidinyl]propyl]-, (2S,3R,4R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

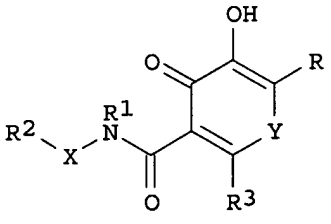
L4 ANSWER 9 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:272910 CAPLUS
DOCUMENT NUMBER: 144:331269

10/580,011

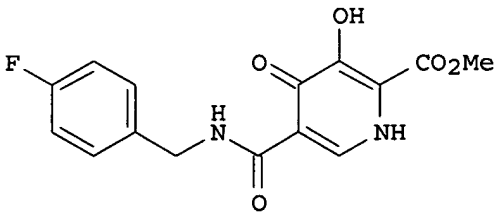
TITLE: Preparation of carbamoylpyridone derivative having HIV integrase inhibitory activity
INVENTOR(S): Yoshida, Hiroshi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030807	A1	20060323	WO 2005-JP16904	20050914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1790638	A1	20070530	EP 2005-783196	20050914
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101014572	A	20070808	CN 2005-80030458	20050914
US 2007249687	A1	20071025	US 2007-662768	20070314
IN 2007CN01084	A	20070907	IN 2007-CN1084	20070315
PRIORITY APPLN. INFO.:			JP 2004-267720 A	20040915
			WO 2005-JP16904 W	20050914

OTHER SOURCE(S): MARPAT 144:331269
GI



I

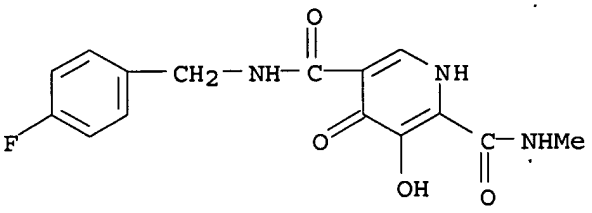


II

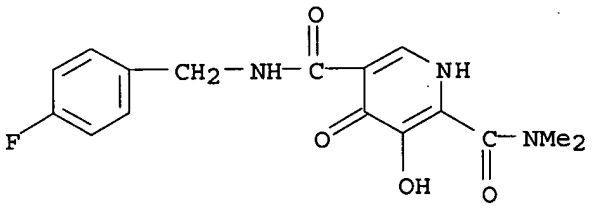
AB Title compds. represented by the formula I [wherein Y = (un)substituted N, O, S or SO2; R = COR5 or (un)substituted N containing cyclyl; R2, R5 = independently H, OH, alkyl, etc.; X = single bond, O, S, SO, etc.; R3 = H, halo, OH, (un)substituted alkyl, etc.; and pharmaceutically acceptable salts or solvates thereof] were prepared as anti-HIV agents. For example, II was provided in a multi-step synthesis starting from 4-hydroxy-6-methylnicotinic acid. II showed inhibition of integrase with IC50 value of 6.4 ng/mL. Thus, I are useful as antiviral agents for the treatment of HIV.
IT 880261-29-0P 880261-30-3P 880261-31-4P

880261-32-5P 880261-33-6P 880261-34-7P
880261-36-9P 880261-37-0P 880261-38-1P
880261-39-2P 880261-40-5P 880261-41-6P
880261-43-8P 880261-56-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamoylpyridone derivative having HIV integrase inhibitory activity)

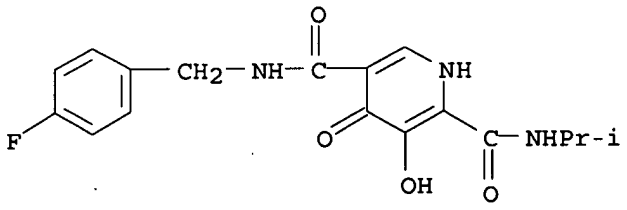
RN 880261-29-0 CAPLUS
CN 2,5-Pyridinedicarboxamide, N5-[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-N2-methyl-4-oxo- (CA INDEX NAME)



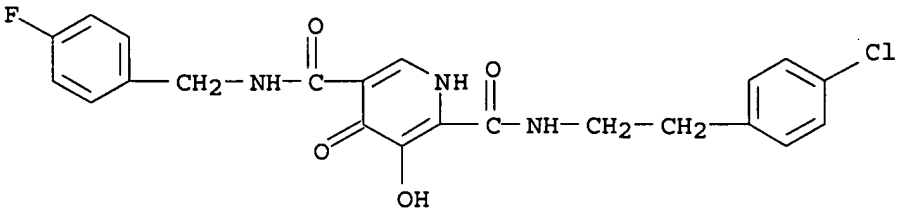
RN 880261-30-3 CAPLUS
CN 2,5-Pyridinedicarboxamide, N5-[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-N2,N2-dimethyl-4-oxo- (CA INDEX NAME)



RN 880261-31-4 CAPLUS
CN 2,5-Pyridinedicarboxamide, N5-[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-N2-(1-methylethyl)-4-oxo- (CA INDEX NAME)

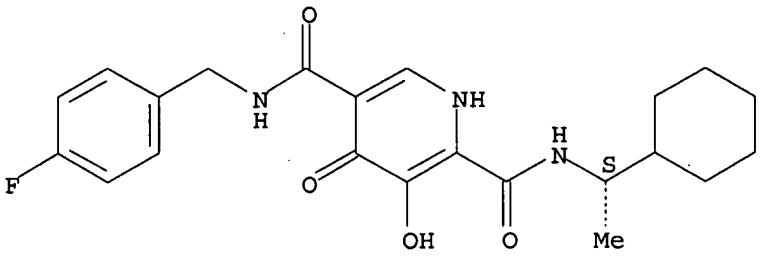


RN 880261-32-5 CAPLUS
CN 2,5-Pyridinedicarboxamide, N5-[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-N2-(2-methoxyethyl)-4-oxo- (CA INDEX NAME)

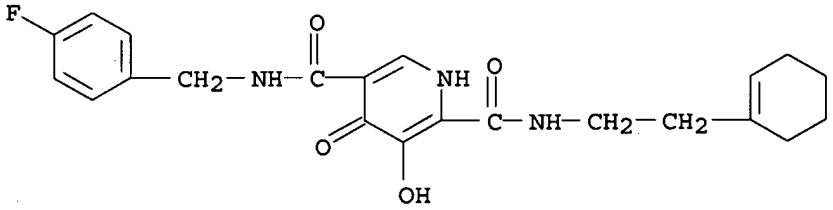


RN 880261-41-6 CAPLUS
CN 2,5-Pyridinedicarboxamide, N2-[(1S)-1-cyclohexylethyl]-N5-[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-4-oxo- (CA INDEX NAME)

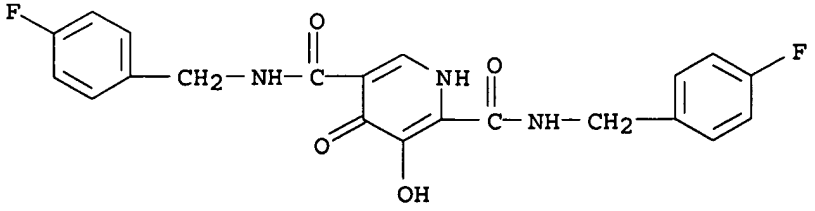
Absolute stereochemistry.



RN 880261-43-8 CAPLUS
CN 2,5-Pyridinedicarboxamide, N2-[2-(1-cyclohexen-1-yl)ethyl]-N5-[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-4-oxo- (CA INDEX NAME)



RN 880261-56-3 CAPLUS
CN 2,5-Pyridinedicarboxamide, N,N'-bis[(4-fluorophenyl)methyl]-1,4-dihydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



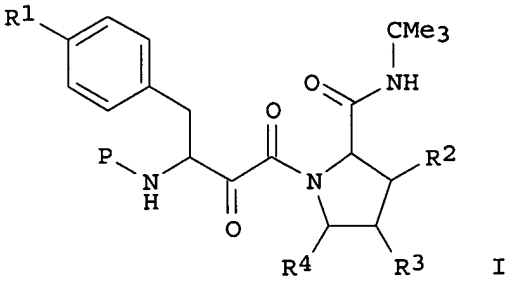
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:261710 CAPLUS

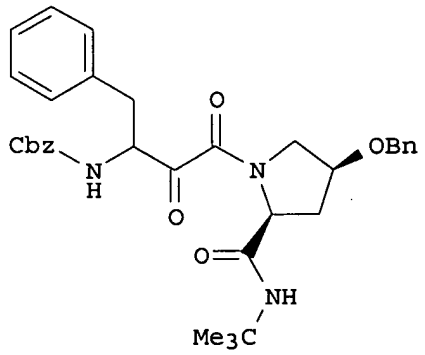
DOCUMENT NUMBER: 145:28251
TITLE: Preparation of α-ketoamide or hydroxyethylamine peptidomimetics as HIV protease inhibitors
INVENTOR(S): Laslo, Karen; Slee, Deborah H.; Wong, Chi-Huey
PATENT ASSIGNEE(S): The Scripps Research Institute, Australia
SOURCE: Aust. Pat. Appl., 191 pp., Division of Aust. 2001 18,270.
CODEN: AUXXCM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2004202175	A1	20040617	AU 2004-202175	20040520
PRIORITY APPLN. INFO.:			AU 2001-18270 A3	20010202
OTHER SOURCE(S):	MARPAT 145:28251			

GI



I



II

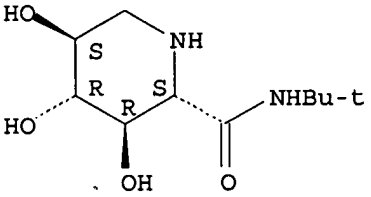
AB Combinatorial libraries of HIV and FIV protease inhibitors are characterized by α-ketoamide or hydroxyethylamine core structures flanked by on one side by substituted pyrrolidines, piperidines, or aza sugars and on the other side by phenylalanine, tyrosine, or substituted tyrosines. α-Ketoamide I [R1 is H, OH, alkoxy, OBn or OP, where P is a protecting group; R2, R3 are independently groups given for R1 or benzyloxy substituted by methoxy, nitro or hydroxy groups; R4 is H, CH2OH, alkoxymethyl or CH2OP (with the proviso that R1-R4 cannot all be H)] are claimed. The libraries are synthesized via a one step coupling reaction. Highly efficacious drug candidates are identified by screening the libraries for binding and inhibitory activity against both HIV and FIV protease. Drug candidates displaying clin. useful activity against both HIV and FIV protease are identified as being potentially resistive against a loss of inhibitory activity due to development of resistant strains of

HIV. Thus, α-ketoamide II (Cbz = benzyloxycarbonyl) was prepared and showed Ki = 65 nM for inhibition of HIV protease.

IT 191850-39-2 191850-42-7 191850-45-0
191850-48-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of α-ketoamide or hydroxyethylamine peptidomimetics as HIV protease inhibitors)

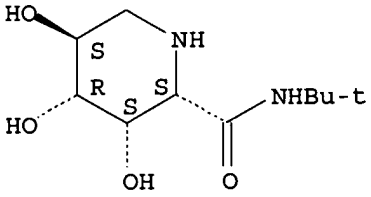
RN 191850-39-2 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3R,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



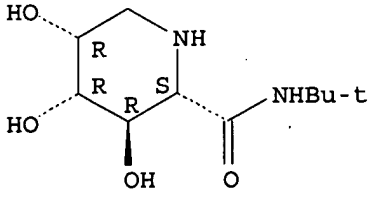
RN 191850-42-7 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



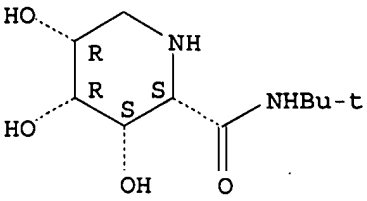
RN 191850-45-0 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3R,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



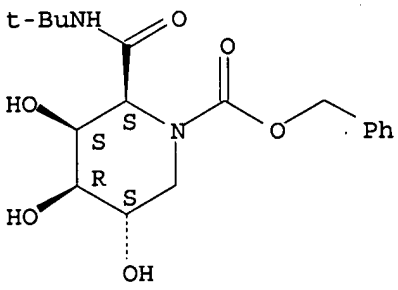
RN 191850-48-3 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3S,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



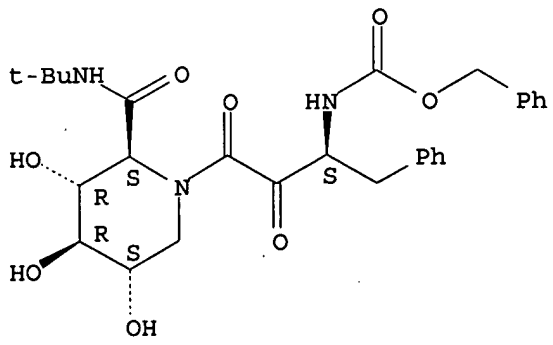
IT 888948-52-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-ketoamide or hydroxyethylamine peptidomimetics as HIV protease inhibitors)
RN 888948-52-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-, phenylmethyl ester, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



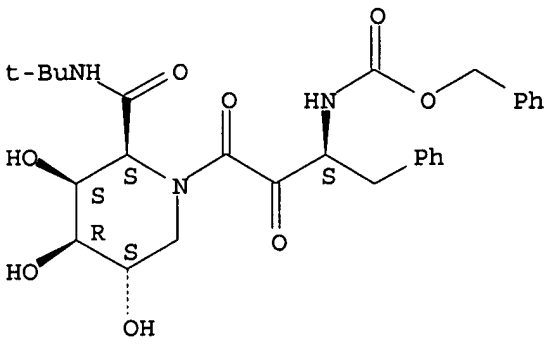
IT 191850-51-8P 191850-64-3P 191850-67-6P
191850-75-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of α-ketoamide or hydroxyethylamine peptidomimetics as HIV protease inhibitors)
RN 191850-51-8 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3R,4R,5S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



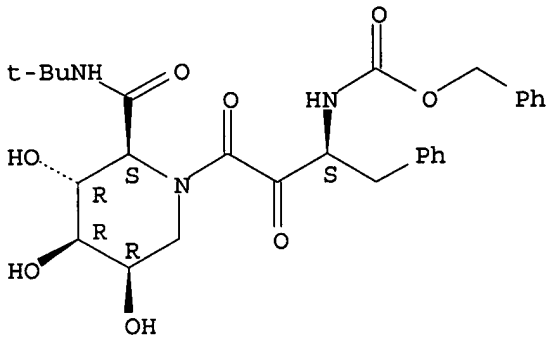
RN 191850-64-3 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3S,4R,5S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



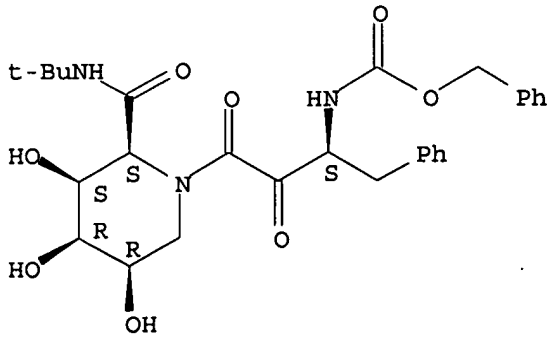
RN 191850-67-6 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3R,4R,5R)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191850-75-6 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3S,4R,5R)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



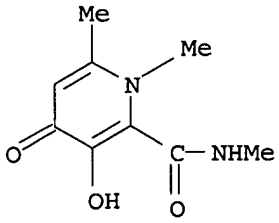
L4 ANSWER 11 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:140501 CAPLUS
DOCUMENT NUMBER: 144:343023

10/580,011

TITLE: Transport kinetics of iron chelators and their chelates in Caco-2 cells
AUTHOR(S): Huang, Xi-Ping; Spino, M.; Thiessen, J. J.
CORPORATE SOURCE: Leslie Dan Faculty of Pharmacy, University of Toronto, Toronto, ON, M5S 2S2, Can.
SOURCE: Pharmaceutical Research (2006), 23(2), 280-290
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Caco-2 monolayers were used to contrast the bidirectional transport of iron chelators and their chelates and to estimate fundamental kinetics associated with their intestinal absorption. Bidirectional transport was studied at 37°C and pH 7.4 using 500-μM concns. Monolayer integrity was tested via transepithelial elec. resistance and sodium fluorescein permeability. Apical and basolateral anal. provided mass balance evidence. Apparent permeability coefficient (Papp) served to rank and compare mols. and estimate in vivo bioavailability. Model-dependent rate consts. defined cellular influx and efflux. Papp ranked in decreasing order for chelators from directional transport studies were CP363 > deferiprone > ICL670 > CP502 > deferoxamine (DFO). Fe(CP502)3, Fe(ICL670)2, and FeDFO were not measurable in receiving chambers, whereas Fe(deferiprone)3 and Fe(CP363)3 were detected in both directions. CP363 was transported significantly faster from the basolateral to the apical direction than the converse. Mass balance of donor and receiver chambers gave approx. 100% recovery in all cases. Kinetic anal. supports the view that the Caco-2 chelator efflux consts. are generally greater than their influx consts. Caco-2 cells are useful in screening iron chelators and chelates and estimating bioavailabilities. Structure and distribution coeffs. partially predict passive transport through Caco-2 monolayers.

IT 243987-44-2
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transport kinetics of iron chelators and their chelates in Caco-2 cells)
RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1084925 CAPLUS
DOCUMENT NUMBER: 144:23184
TITLE: High affinity iron(III) scavenging by a novel hexadentate 3-hydroxypyridin-4-one-based dendrimer: Synthesis and characterization
AUTHOR(S): Zhou, Tao; Liu, Zu Dong; Neubert, Hendrik; Kong, Xiao Le; Ma, Yong Min; Hider, Robert C.
CORPORATE SOURCE: Department of Pharmacy, King's College London, London,

SE1 9NH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(22), 5007-5011
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:23184

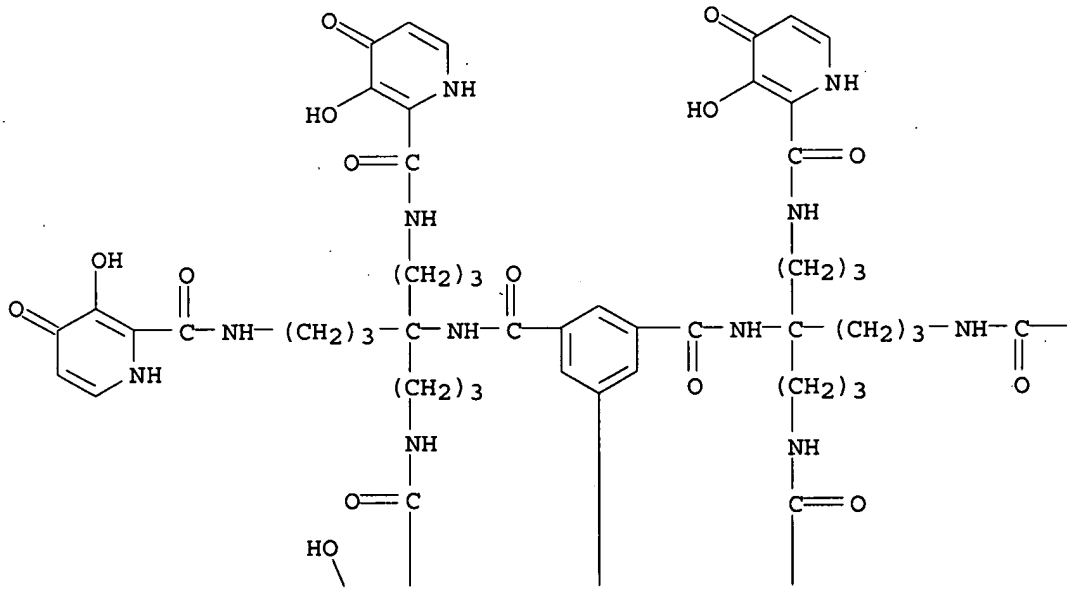
AB The synthesis of a novel iron(III)-selective hydroxypyridinone hexadentate-terminated dendritic chelator based on a benzene tricarbonyl core polyamine dendrimer is described. The iron-chelating ability of the dendritic chelator was demonstrated by matrix-assisted laser desorption/ionization time-of-flight mass spectrometry (MALDI-TOF MS) and UV-vis spectroscopy. The physicochem. properties of the isolated hexadentate unit were also investigated. The dendrimer was found to possess an extremely high affinity for iron(III), namely logK = 34.8, pFe3+ = 30.6.

IT 870456-70-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (dendritic; preparation and characterization of high affinity iron(III) scavenging by a novel hexadentate 3-hydroxypyridin-4-one-based dendrimer)

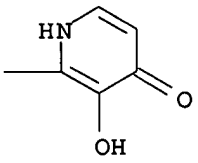
RN 870456-70-5 CAPLUS

CN 1,3,5-Benzenetricarboxamide, N,N',N''-tris[4-[[(1,4-dihydro-3-hydroxy-4-oxo-2-pyridinyl)carbonyl]amino]-1,1-bis[3-[[(1,4-dihydro-3-hydroxy-4-oxo-2-pyridinyl)carbonyl]amino]propyl]butyl]- (9CI) (CA INDEX NAME)

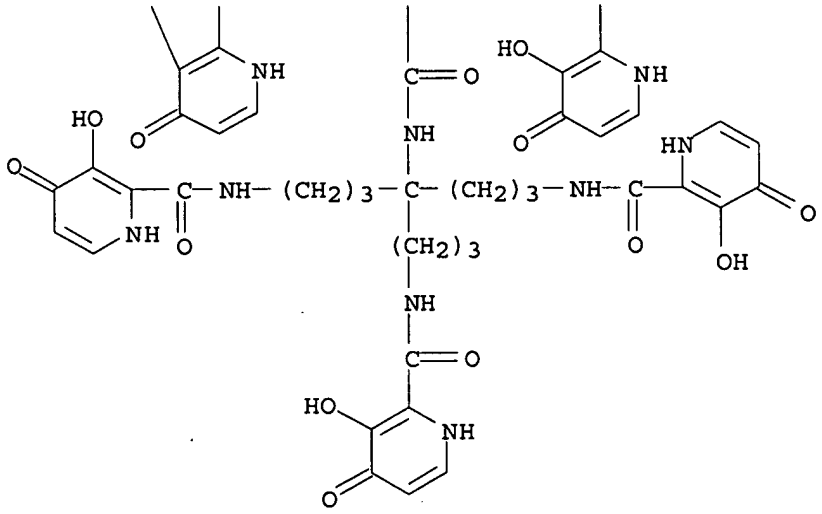
PAGE 1-A



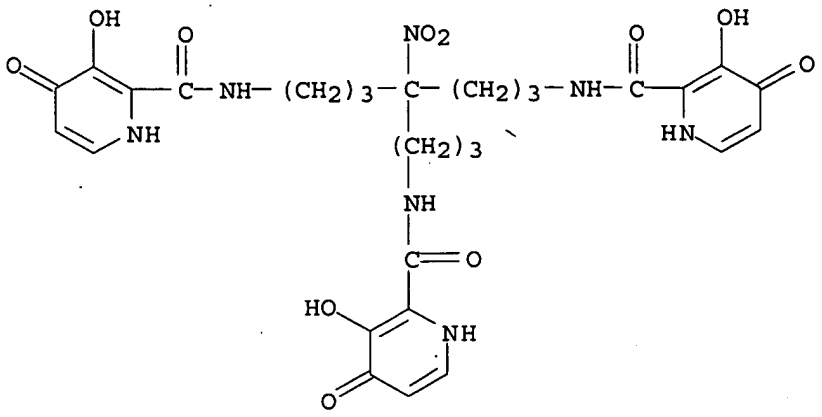
PAGE 1-B



PAGE 2-A



IT 870456-67-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and characterization of high affinity iron(III) scavenging by a novel hexadentate 3-hydroxypyridin-4-one-based dendrimer)
RN 870456-67-0 CAPLUS
CN 2-Pyridinecarboxamide, N,N'-[4-[3-[[(1,4-dihydro-3-hydroxy-4-oxo-2-pyridinyl)carbonyl]amino]propyl]-4-nitro-1,7-heptanediyl]bis[1,4-dihydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:823463 CAPLUS
DOCUMENT NUMBER: 143:229725
TITLE: Preparation of N-Benzyl-3,4-dihyroxypyridine-2-carboxamides useful as HIV integrase inhibitors
INVENTOR(S): Jones, Philip; Williams, Peter D.; Morrissette, Matthew M.; Kuo, Michelle Sparks; Vacca, Joseph P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A.
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005074513	A2	20050818	WO 2005-US2472	20050126
WO 2005074513	A3	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005211349	A1	20050818	AU 2005-211349	20050126
CA 2554120	A1	20050818	CA 2005-2554120	20050126
EP 1713773	A2	20061025	EP 2005-726383	20050126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007519735	T	20070719	JP 2006-551441	20050126
CN 101014571	A	20070808	CN 2005-80003386	20050126
US 2007155744	A1	20070705	US 2006-587330	20060727
IN 2006DN04345	A	20070713	IN 2006-DN4345	20060727
PRIORITY APPLN. INFO.:			US 2004-540538P P	20040130
			WO 2005-US2472 W	20050126

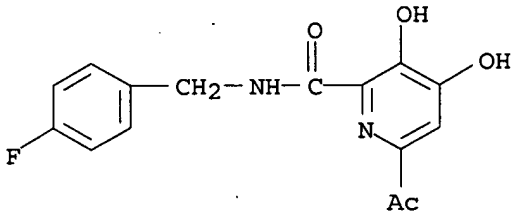
OTHER SOURCE(S): CASREACT 143:229725; MARPAT 143:229725

AB N-Benzyldihydroxypyridine carboxamide compds. are inhibitors of HIV integrase and inhibitors of HIV replication. The compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. An example compound prepared was 6-acetyl-N-(4-fluorobenzyl)-3,4-dihydropyridine-2-carboxamide.

IT 862667-37-6P 862667-38-7P 862667-39-8P
862667-40-1P 862667-41-2P 862667-44-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzyl-3,4-dihyroxypyridine-2-carboxamides useful as HIV integrase inhibitors)

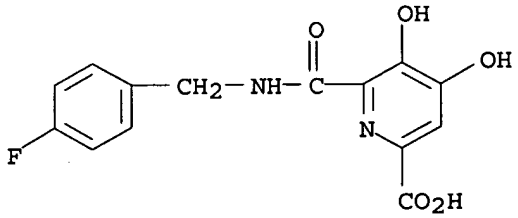
RN 862667-37-6 CAPLUS

CN 2-Pyridinecarboxamide, 6-acetyl-N-[(4-fluorophenyl)methyl]-3,4-dihydroxy- (CA INDEX NAME)



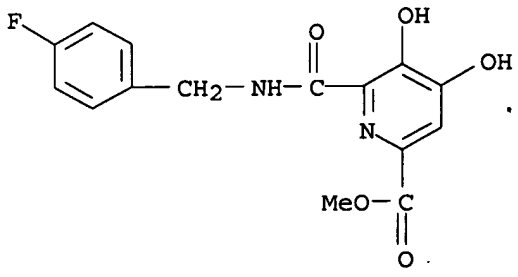
RN 862667-38-7 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,5-dihydroxy- (CA INDEX NAME)

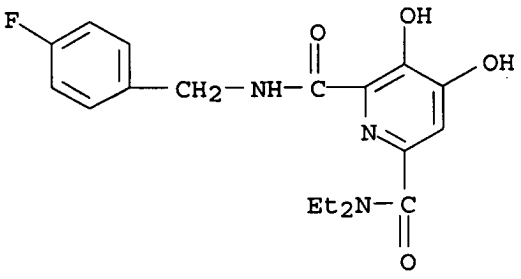


RN 862667-39-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,5-dihydroxy-, methyl ester (CA INDEX NAME)



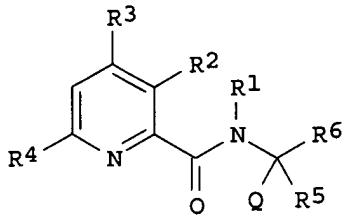
RN 862667-40-1 CAPLUS



L4 ANSWER 14 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:409512 CAPLUS
DOCUMENT NUMBER: 142:463613
TITLE: A preparation of pyridinecarboxamide derivatives, useful for inhibiting HIV integrase
INVENTOR(S): Kong, Laval Chan Chun; Zhang, Ming-Qiang; Halab, Liliane; Nguyen-Ba, Nghe; Liu, Bingcan
PATENT ASSIGNEE(S): Virochem Pharma Inc., Can.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042524	A1	20050512	WO 2004-CA1898	20041029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005176767	A1	20050811	US 2004-976238	20041029

PRIORITY APPLN. INFO.: US 2003-515443P P 20031030
OTHER SOURCE(S): CASREACT 142:463613; MARPAT 142:463613
GI



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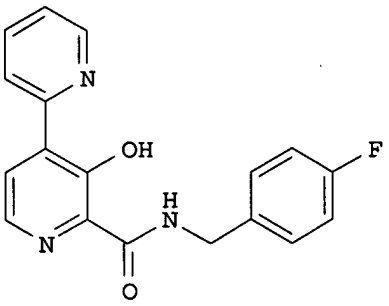


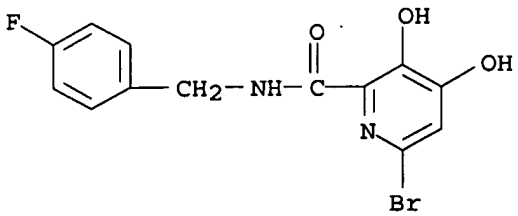
II

AB The invention relates to a preparation of pyridinecarboxamide derivs. of formula I [wherein: R1 is H or alkyl; R2 is OH, alkoxy, or arylalkoxy; R3 is NH2, amido, sulfonamido, azido, halogen, or alkoxy, etc.; R4 is H, halogen, OH, carboxy, or (hetero)aryl, etc.; R5 and R6 are independently H, alkyl, aryl, or arylalkyl; Q is (un)substituted Ph, alkyl, or heterocyclyl, etc.], useful for inhibiting HIV integrase. For instance, pyridinecarboxamide derivative II was prepared via Pd-catalyzed coupling of the prepared 4-iodo-3-hydroxypyridine-2-carboxylic acid 4-fluorobenzylamide with 2-trimethylstannyl-pyridine. Certain invention compds. were tested in an assay for HIV activity (IC50 <10 μM).

IT 851441-89-9P, 6-Bromo-3,4-dihydroxypyridine-2-carboxylic acid 4-fluorobenzylamide 851442-00-7P, 6-Furan-2-yl-3-hydroxy-4-methoxypyridine-2-carboxylic acid 4-fluorobenzylamide 851442-63-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridinecarboxamide derivs. useful for inhibiting HIV integrase)

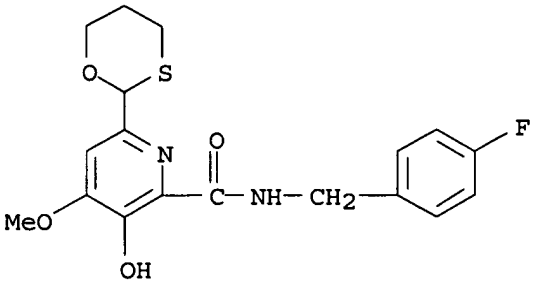
RN 851441-89-9 CAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-N-[(4-fluorophenyl)methyl]-3,4-dihydroxy- (CA INDEX NAME)

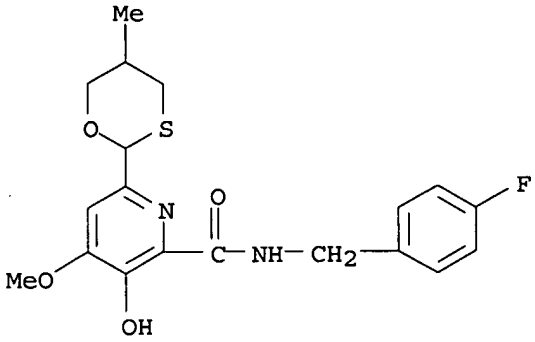


RN 851442-00-7 CAPLUS

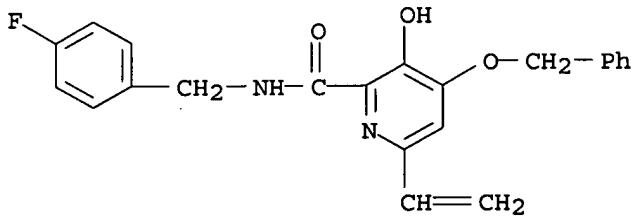
CN 2-Pyridinecarboxamide, N-[(4-fluorophenyl)methyl]-6-(2-furanyl)-3-hydroxy-4-methoxy- (CA INDEX NAME)



RN 851443-00-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[(4-fluorophenyl)methyl]-3-hydroxy-4-methoxy-6-(5-methyl-1,3-oxathian-2-yl)- (CA INDEX NAME)



IT 851442-14-3, 4-Benzyloxy-3-hydroxy-6-vinylpyridine-2-carboxylic acid 4-fluorobenzylamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of pyridinecarboxamide derivs. useful for inhibiting HIV integrase)
RN 851442-14-3 CAPLUS
CN 2-Pyridinecarboxamide, 6-ethenyl-N-[(4-fluorophenyl)methyl]-3-hydroxy-4-(phenylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:158668 CAPLUS
DOCUMENT NUMBER: 142:261561
TITLE: Preparation of pyrido[1,2-a]pyrazine-1,8-dione derivatives as HIV integrase inhibitors
INVENTOR(S): Miyazaki, Susumu; Katoh, Susumu; Adachi, Kaoru; Isoshima, Hirotaka; Kobayashi, Satoru; Matsuzaki, Yuji; Watanabe, Wataru; Yamataka, Kazunobu; Kiyonari,

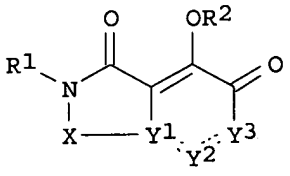
Shinichi; Wamaki, Shuichi
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016927	A1	20050224	WO 2004-JP11869	20040812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2577239	A1	20050224	CA 2004-2577239	20040812
EP 1544199	A1	20050622	EP 2004-771830	20040812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 3814631	B2	20060830	JP 2005-513209	20040812
US 2005054645	A1	20050310	US 2004-958225	20041005
US 2006052361	A1	20060309	US 2005-255605	20051013
US 7211572	B2	20070501		
JP 2006232849	A	20060907	JP 2006-118260	20060421

PRIORITY APPLN. INFO.:

JP 2003-293117	A	20030813
JP 2004-134896	A	20040428
JP 2005-513209	A3	20040812
WO 2004-JP11869	W	20040812
US 2004-958225	B1	20041005

OTHER SOURCE(S): MARPAT 142:261561
GI



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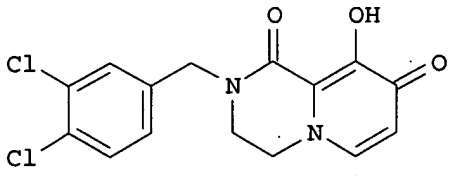
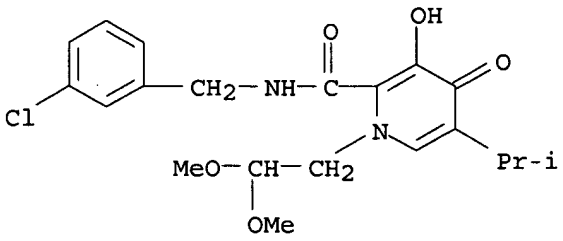


II

AB The title compds. I [wherein R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; X = (un)substituted CH2, N=CH, or CH=N; Y1-Y2-Y3 = (un)substituted C=CH-NH, N-CH=N, N-CH=CH, C=N-NH, N-N=CH, etc.; R2 = H, alkyl, arylalkyl, or (un)substituted SO2H] or pharmaceutically acceptable salts thereof are prepared as anti-HIV agents. For example, the compound II•HCl was prepared in a multi-step synthesis. II•HCl inhibited HIV integrase with IC50 of <0.01 μM. Formulations containing I as an active ingredient were also described.

IT 845723-64-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrido[1,2-a]pyrazine-1,8-dione derivs. as HIV integrase inhibitors)

RN 845723-64-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[(3-chlorophenyl)methyl]-1-(2,2-dimethoxyethyl)-1,4-dihydro-3-hydroxy-5-(1-methylethyl)-4-oxo- (CA INDEX NAME)



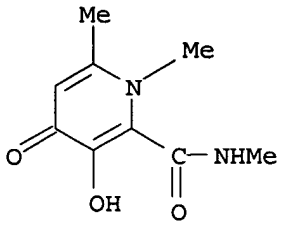
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:146262 CAPLUS
DOCUMENT NUMBER: 142:329432
TITLE: Metabolic and pharmacokinetic evaluation of a novel 3-hydroxypyridinone iron chelator, CP502, in the rat
AUTHOR(S): Novakovic, Jasmina; Tesoro, Angelo; Thiessen, Jake J.; Spino, Michael
CORPORATE SOURCE: Leslie Dan Faculty of Pharmacy, University of Toronto, Toronto, ON, Can.
SOURCE: European Journal of Drug Metabolism and Pharmacokinetics (2004), 29(4), 221-224
CODEN: EJDPD2; ISSN: 0378-7966
PUBLISHER: Medecine et Hygiene
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A recently synthesized 3-hydroxypyridinone derivative with an amido function at the 2-position, CP502 (1,6-dimethyl-3-hydroxy-4-(1H)-pyridinone-2-carboxy-(N-methyl)-amide hydrochloride), exhibited high in vitro iron chelating potency (pFe3+ =21.7). It was targeted as a new iron-chelating candidate for further development in early pre-clin. testing. To evaluate its pharmacokinetics, including oral bioavailability, metabolic and disappearance profiles, studies were conducted in Sprague Dawley male rats. A single 150 mg/kg i.v. and oral dose was given to male Sprague Dawley rats (N=6, B.Weight 250g). The rats were placed in metabolic cages and fasted overnight before the dosing. Venous blood samples (200 μL per withdrawal) were collected at defined time points before (blank) and up to 28 h post administration. Urine and feces were collected before dosing (blank) and in 24 h intervals up to 72 h post administration. Plasma CP502 concentration vs. time profiles were consistent with 2-compartment distribution, and the oral bioavailability approached 100%. Total clearance and mean residence time (i.v.) were 1.02 L/kg/h and 1.10 h, resp. Simultaneous computer fitting yielded V1 and Vss ests. of 0.96 L/kg and 1.74 L/kg, resp. CP502 was mainly excreted unchanged via urine (45.29±9.40 % of total dose) or as glucuronide (6.46±1.22% of total dose). High iron chelation potential and favorable pharmacokinetic and metabolic profiles indicate that CP502 is a promising candidate for further development.

IT 243987-44-2
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metabolic and pharmacokinetic evaluation of 3-hydroxypyridinone iron chelator CP502 in rats)

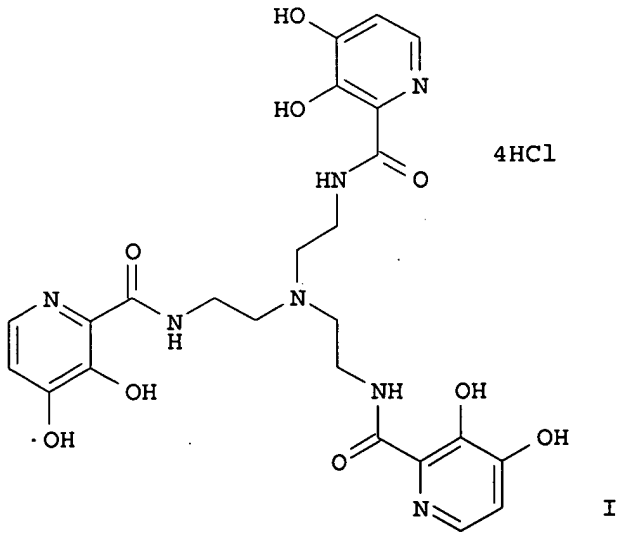
RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:85982 CAPLUS
DOCUMENT NUMBER: 142:336222
TITLE: Design and characterization of novel hexadentate 3-hydroxypyridin-4-one ligands
AUTHOR(S): Piyamongkol, Sirivipa; Zhou, Tao; Liu, Zu D.; Khodr, Hicham H.; Hider, Robert C.
CORPORATE SOURCE: Department of Pharmacy, King's College London, London, SE1 9NN, UK
SOURCE: Tetrahedron Letters (2005), 46(8), 1333-1336
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:336222
GI

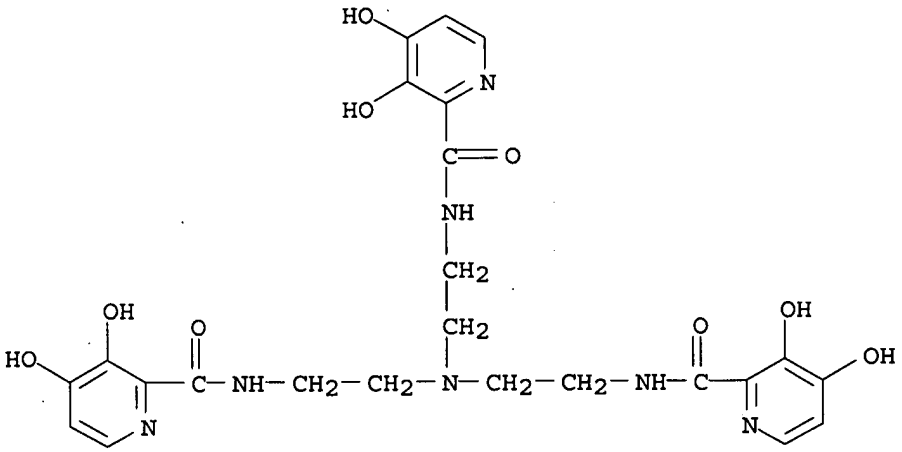


4HCl

I

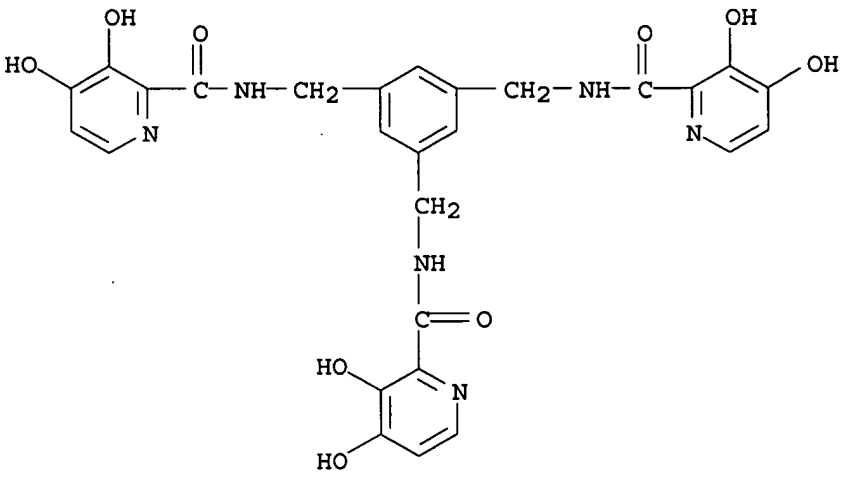
AB Two novel hexadentate 3-hydroxy-4-pyridinone ligands have been designed and synthesized. The physico-chemical properties of one of the hexadentate ligands have been determined and the results indicate that the hexadentate ligand possesses high affinity for iron(III). One of the target compds. prepared for this study was N,N',N''-(nitrilotri-2,1-ethanediyl)tris[3,4-di(hydroxy)-2-pyridinecarboxamide] tetrahydrochloride (I). The stability constant of I-iron complex was determined The applicability of I as potential therapeutic iron chelator is under investigation (no data).

IT 848644-97-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of N,N',N''-(nitrilotri-2,1-ethanediyl)tris[di(hydroxy)-2-pyridinecarboxamide], study of its iron complex and its stability constant, and its applicability as potential therapeutic iron chelator)
RN 848644-97-3 CAPLUS
CN 2-Pyridinecarboxamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[3,4-dihydroxy-, tetrahydrochloride (9CI) (CA INDEX NAME)



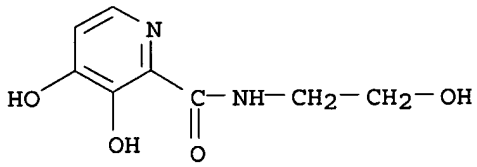
●4 HCl

IT 848644-96-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[di(hydroxy)-2-pyridinecarboxamide] and study of its applicability as ligand for iron)
RN 848644-96-2 CAPLUS
CN 2-Pyridinecarboxamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[3,4-dihydroxy-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

IT 349141-36-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of di(hydroxy)-N-[(hydroxy)ethyl]pyridinecarboxamide, study of its iron complex and determination of its stability constant)
RN 349141-36-2 CAPLUS
CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-(2-hydroxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

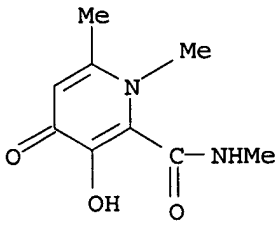
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:39639 CAPLUS
DOCUMENT NUMBER: 142:273946
TITLE: Redox properties of the iron complexes of orally active iron chelators CP20, CP502, CP509, and ICL670
AUTHOR(S): Merkofer, Martin; Kissner, Reinhard; Hider, Robert C.; Koppenol, Willem H.
CORPORATE SOURCE: Laboratorium fuer Anorganische Chemie, Department Chemie und Angewandte Biowissenschaften, Zurich, CH-8093, Switz.
SOURCE: Helvetica Chimica Acta (2004), 87(12), 3021-3034
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English

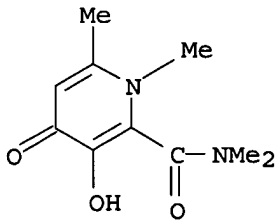
AB Redox cycling of iron is a critical aspect of iron toxicity. Reduction of a low-mol.-weight iron(III)-complex followed by oxidation of the iron(II)-complex by hydrogen peroxide may yield the reactive hydroxyl radical (OH) or an oxo iron(IV) species (the Fenton reaction). Complexation of iron by a ligand that shifts the electrode potential of the complex to either to far below -350 mV (dioxygen/superoxide, pH = 7) or to far above + 320 mV (H2O2/HO, H2O pH = 7) is essential for limiting Fenton reactivity. The oral chelating agents CP20, CP502, CP509, and ICL670 effectively remove iron from patients suffering from iron overload. We measured the electrode potentials of the iron(III) complexes of these drugs by cyclic voltammetry with a mercury electrode and determined the dependence on concentration, pH, and stoichiometry. The standard electrode potentials measured are -620 mV, -620 mV, -535 mV, and -535 mV with iron bound to CP20, ICL670, CP502, and CP509, resp., but, at lower chelator concns., electrode potentials are significantly higher.

IT 243987-44-2D, iron complexes 793651-87-3D, iron complexes
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(redox properties of iron complexes of orally active iron chelators CP20, CP502, CP509, and ICL670)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



RN 793651-87-3 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,N,1,6-tetramethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

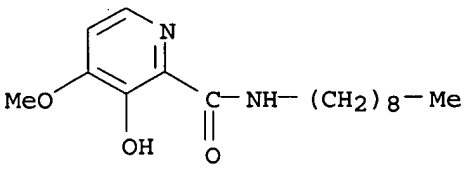
L4 ANSWER 19 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:723754 CAPLUS
DOCUMENT NUMBER: 141:391791
TITLE: Growth inhibition dependent on reactive oxygen species generated by C9-UK-2A, a derivative of the antifungal antibiotic UK-2A, in Saccharomyces cerevisiae
AUTHOR(S): Fujita, Kenichi; Tani, Kazunori; Usuki, Yoshinosuke; Tanaka, Toshio; Taniguchi, Makoto

CORPORATE SOURCE: Graduate School of Science, Osaka City University, Osaka, 558-8585, Japan
SOURCE: Journal of Antibiotics (2004), 57(8), 511-517
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB UK-2A is a potent antifungal antibiotic and its structure is highly similar to that of antimycin A3 (AA). UK-2A and AA inhibit mitochondrial electron transport at complex III. C9-UK-2A, which has been prepared to improve the duration of the antifungal activity of UK-2A, shows durable fungicidal activities against various species of fungi and induces both membrane injury and the generation of cellular reactive oxygen species (ROS) against Rhodotorula mucilaginosa IFO 0001 cells. We found that C9-UK-2A inhibited the vegetative growth of Saccharomyces cerevisiae IFO 0203 cells accompanying cellular ROS generation in Sabouraud dextrose (SD) medium, which contained a fermentable carbon source. The ROS generation was completely restricted by pretreatment with a lipophilic antioxidant α-tocopherol. In addition, the pretreatment with the antioxidant protected against the growth inhibition induced by C9-UK-2A. C9-UK-2A also induced ROS generation in isolated mitochondria of the S. cerevisiae cells. The addition of both a complex I inhibitor rotenone and a complex II inhibitor thenoyltrifluoroacetone reduced ROS generation induced by C9-UK-2A in the whole cells and the isolated mitochondria. The addition of the inhibitors of complex III, AA or myxothiazol, or of complex IV, KCN, did not reduce ROS generation. These results suggest that C9-UK-2A induces ROS generation due to the blockade of electron flow at complex III, thereby inhibiting the growth of S. cerevisiae in SD medium.

IT 437651-11-1, C9-UK-2A
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(growth inhibition dependent on reactive oxygen species generated by C9-UK-2A in Saccharomyces cerevisiae)

RN 437651-11-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-nonyl- (CA INDEX NAME)

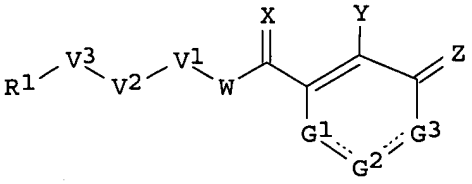


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:716288 CAPLUS
DOCUMENT NUMBER: 141:218924
TITLE: Antiviral agents containing nitrogen-containing heteroaromatic compounds
INVENTOR(S): Fuji, Masahiro; Matsushita, Shihaku; Mikamiyama, Hidenori
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 54 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004244320	A	20040902	JP 2003-32772	20030210
PRIORITY APPLN. INFO.:			JP 2003-32772	20030210
OTHER SOURCE(S):	MARPAT 141:218924			

GI



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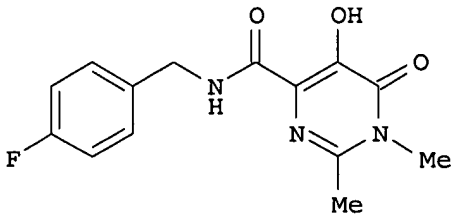
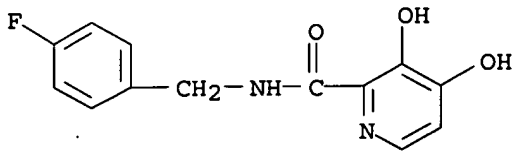


II

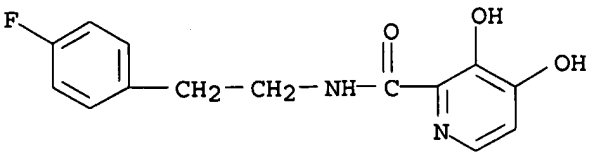
AB The invention provides antiviral agents having HIV integrase inhibitory effects, characterized by containing I [G1 = (substituted) N,; G2 = (substituted) C; G3 = (substituted)N, C, O, S; R1 = (substituted) aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycle; V1, V3 = (substituted) alkylene, alkenylene; V2 = (substituted) alkylene, alkenylene, etc.; X = O, S, NH; Y = hydroxy, mercapto, amino; Z = O, S, NH]. A compound II was prepared, and in vitro tested for its HIV integrase inhibitory effect. A capsule containing an active component 250 mg/capsule was also formulated.

IT 745803-24-1P 745803-26-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiviral agents having HIV integrase inhibitory effects containing nitrogen-containing heteroarom. compds.)

RN 745803-24-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[(4-fluorophenyl)methyl]-3,4-dihydroxy- (CA INDEX NAME)



RN 745803-26-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-(4-fluorophenyl)ethyl]-3,4-dihydroxy- (CA INDEX NAME)

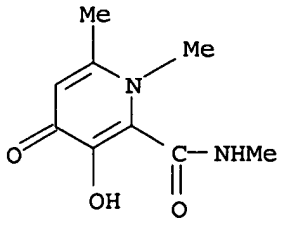


L4 ANSWER 21 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:788612 CAPLUS
DOCUMENT NUMBER: 140:104367
TITLE: Improved high-performance liquid chromatographic method for the pharmacokinetic studies of a novel iron chelator, CP502, in rats
AUTHOR(S): Novakovic, Jasmina; Tesoro, Angelo; Spino, Michael; Thiessen, Jake
CORPORATE SOURCE: Leslie Dan Faculty of Pharmacy, University of Toronto, Toronto, ON, M5S 2S2, Can.
SOURCE: Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2003), 796(1), 105-112
CODEN: JCBAAI; ISSN: 1570-0232
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An improved reverse-phase high-performance liquid chromatog. method (RP-HPLC) for the determination of a novel iron chelator CP502 (1,6-dimethyl-3-hydroxy-4-(1H)-pyridinone-2-carboxy-(N-methyl)-amide hydrochloride) in rat plasma, urine and feces was developed and validated. The separation was performed on a polymeric column using a mobile phase composed of 1 mM ethylenediaminetetra-acetic acid disodium salt (EDTA), acetonitrile, methanol and methylene chloride. Separation of CP502 from plasma, urine or feces endogenous compds. was achieved by gradient elution. Retention times of CP502 and its major metabolite (glucuronide) were about 13 and 4 min, resp. The method was validated in terms of limit of detection (LOD), limit of quantification (LOQ), selectivity (endogenous from plasma, urine or feces), linearity, extraction recovery, robustness (column selection, mobile phase composition, detection mode, internal standard (IS) selection, analyte stability), day-to-day reproducibility and system suitability (repeatability, peak symmetry and resolution). The method is applicable to bioavailability and pharmacokinetic studies of CP502 in rats.

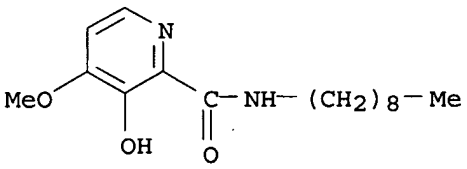
IT 243987-44-2, CP502
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(improved high-performance liquid chromatog. method for pharmacokinetic studies of a novel iron chelator, CP502, in rats)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:280587 CAPLUS
DOCUMENT NUMBER: 139:242791
TITLE: UK-2A, B, C, and D, novel antifungal antibiotics from Streptomyces sp. 517-02. VIII. Reactive oxygen species generated by C9-UK-2A, a derivative of UK-2A, in Rhodotorula mucilaginosa IFO 0001
AUTHOR(S): Tani, Kazunori; Usuki, Yoshinosuke; Fujita, Ken-Ichi; Taniguchi, Makoto
CORPORATE SOURCE: Graduate School of Science, Osaka City University, Osaka, 558-8585, Japan
SOURCE: Journal of Antibiotics (2003), 56(3), 314-317
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB C9-UK-2A stimulated the generation of reactive oxygen species (ROS) in R. mucilaginosa in a dose- and time-dependent fashion and gradually decreased the number of CFU of R. mucilaginosa. Treatment with the lipophilic antioxidant α-tocopherol suppressed ROS generation caused by C9-UK-2A and almost completely stopped the decrease in cell viability, although viability did not recover to control levels. These results indicate that the antifungal activity of C9-UK-2A does not only depend on membrane injury and that ROS production alone does not fully explain the fungicidal effect of C9-UK-2A.
IT 437651-11-1, C9-UK-2A
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(reactive oxygen species generated by the UK-2A derivative C9-UK-2A in Rhodotorula mucilaginosa IFO 0001)
RN 437651-11-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-nonyl- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:688565 CAPLUS
DOCUMENT NUMBER: 137:216878
TITLE: Preparation of 3-hydroxypyridin-4-ones as orally active iron(III) chelators.
INVENTOR(S): Hider, Robert Charles; Tilbrook, Gary Stuart; Liu, Zudong
PATENT ASSIGNEE(S): BTG International Limited, UK
SOURCE: U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 437,211.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

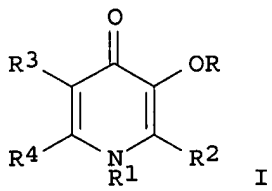
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6448273	B1	20020910	US 1999-451112	19991130
WO 9854138	A1	19981203	WO 1998-GB1517	19980526
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6335353	B1	20020101	US 1999-437211	19991110
US 2002068758	A1	20020606	US 2001-944113	20010904
US 6506911	B2	20030114		

PRIORITY APPLN. INFO.:

GB 1997-11093	A	19970529
WO 1998-GB1517	W	19980526
US 1999-437211	A2	19991110
US 1999-451112	A3	19991130

OTHER SOURCE(S): MARPAT 137:216878

GI



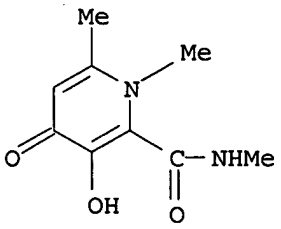
I

AB Title compds. [I; R = H, group removable by metabolism in vivo to provide the free OH compound; R1 = aliphatic hydrocarbon group (un)substituted by OH or a carboxylic acid ester, sulfo acid ester, alkoxy, aryloxy, aralkoxy ether; R3 = H, alkyl; R4 = H, alkyl, alkyl, R2; R2 = CONHR5, CH2NHCO-R5, SO2NHR5, CH2NHSO2R5, CR6R6OR7, (viii) CONHCOR5; R5 = H, optionally hydroxy, alkoxy, or aralkoxy substituted 3alkyl, aryl, aralkyl; R6 = H, alkyl, aryl, aralkyl; R7 = H, alkyl, aryl, aralkyl; with provisos], were prepared Thus, 2-methoxymethyl-3-benzyloxy-6-methylpyran-4(1H)-one, MeNH2, and NaOH in H2O/EtOH were heated at 70° in a sealed tube for 12 h to give 82% 1,6-dimethyl-2-methoxymethyl-3-benzyloxypyridin-4(1H)-one hydrochloride. I at 150-450 μmol/kg orally in rats gave 6.3-73.5% Fe mobilization.

IT 216581-66-7P 216581-68-9P 216581-69-0P
216581-72-5P 216581-74-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-hydroxypyridin-4-ones as orally active iron(III) chelators)

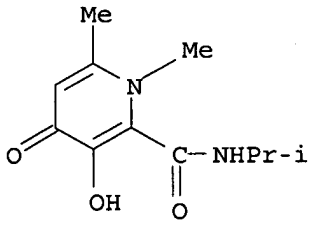
RN 216581-66-7 CAPLUS

CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



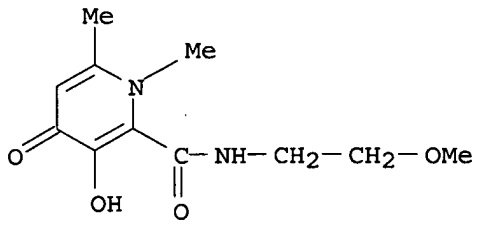
● HCl

RN 216581-68-9 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-N-(1-methylethyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216581-69-0 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-methoxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216581-72-5 CAPLUS
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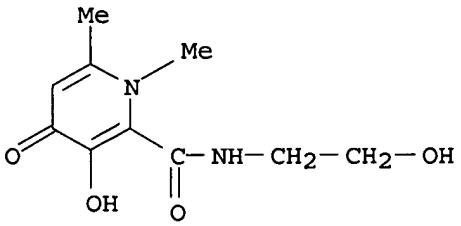
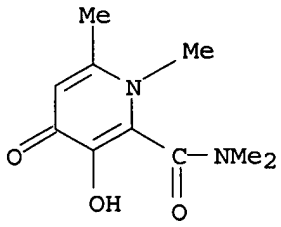


● HCl

RN 216581-74-7 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,N,1,6-tetramethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:570719 CAPLUS
DOCUMENT NUMBER: 137:125089
TITLE: Processes for manufacture of 3-hydroxy-N,1,6-trialkyl-4-oxo-1,4-dihydropyridine-2-carboxamides
INVENTOR(S): Tam, Tim F.; Li, Wanren
PATENT ASSIGNEE(S): Apotex, Inc., Can.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6426418	B1	20020730	US 2001-985269	20011102
US 6472532	B1	20021029	US 2002-100133	20020319
US 6476229	B1	20021105	US 2002-100107	20020319
WO 2003037867	A1	20030508	WO 2002-CA1623	20021030

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002336835	A1	20030512	AU 2002-336835		20021030
EP 1440061	A1	20040728	EP 2002-771927		20021030
EP 1440061	B1	20070411			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002013814	A	20041019	BR 2002-13814		20021030
CN 1578769	A	20050209	CN 2002-821567		20021030
AT 359272	T	20070515	AT 2002-771927		20021030
ES 2284925	T3	20071116	ES 2002-2771927		20021030
IN 2004MN00249	A	20051118	IN 2004-MN249		20040427
MX 2004PA04063	A	20040708	MX 2004-PA4063		20040429
IN 2004MN00666	A	20060707	IN 2004-MN666		20041122
PRIORITY APPLN. INFO.:			US 2001-985269	A3	20011102
			WO 2002-CA1623	W	20021030

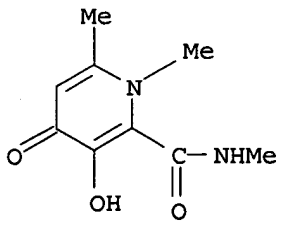
OTHER SOURCE(S): CASREACT 137:125089; MARPAT 137:125089
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

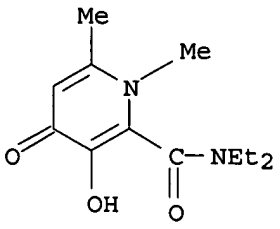
AB A novel process for preparation of the title compds. I useful as orally active iron chelators comprises TEMPO oxidation of 3-O-protected-2-hydroxymethyl-6-alkyl-4H-pyran-4-one (III; R1 = H, lower alkyl; R4 = H, lower alkyl, lower alkoxy; R5 = H, alc. protective group) to 3-O-protected-6-alkyl-4-oxo-4H-pyran-2-carboxylic acid (II). Reaction of II with methylamine and 1,1'-carbonyldiimidazole in an inert solvent affords 3-O-protected-N,1,6-trialkyl-4-oxo-1,4-dihydropyridine-2-carboxamide, which is deprotected to give I.

IT 243987-44-2P 444103-12-2P, N,N-Diethyl-3-hydroxy-1,6-dimethyl-4-oxo-1,4-dihydropyridine-2-carboxamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3-hydroxy-N,1,6-trialkyl-4-oxo-1,4-dihydropyridine-2-carboxamides)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



RN 444103-12-2 CAPLUS
CN 2-Pyridinecarboxamide, N,N-diethyl-1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:312731 CAPLUS
DOCUMENT NUMBER: 137:272811

TITLE: Human immunodeficiency virus type I replication inhibition by the bidentate iron chelators CP502 and CP511 is caused by proliferation inhibition and the onset of apoptosis

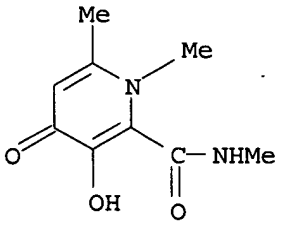
AUTHOR(S): Georgiou, N. A.; van der Bruggen, T.; Oudshoorn, M.; Hider, R. C.; Marx, J. J. M.; van Asbeck, B. S.
CORPORATE SOURCE: Department of Internal Medicine and Eijkman-Winkler Institute for Microbiology Diseases and Inflammation, University Medical Center Utrecht, Utrecht, CX 3584, Neth.

SOURCE: European Journal of Clinical Investigation (2002), 32(Suppl. 1), 91-96
CODEN: EJCIB8; ISSN: 0014-2972
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Background: The iron chelators deferoxamine (DF) and deferiprone (CP20) have been shown to inhibit human immunodeficiency virus type 1 (HIV-1) replication in human peripheral blood lymphocytes (PBL). The orally active bidentate chelators CP502 and CP511, which also belong to the 3-hydroxypyridin-4-one family, but with higher affinities for iron than CP20, were monitored for their antiviral properties by checking for p24 antigen production and nuclear factor (NF)-κB activation, and their ability to induce apoptosis. Materials and methods: Human PBLs were isolated from HIV-1 seroneg. donors and subsequently infected with HIV-1Ba-L for 2 h. After 5 days' incubation, HIV-1 replication was monitored by p24 antigen production Cellular proliferation as well as caspase-3 activity were monitored in uninfected cells after a period of 5 days and after 1 day infection, resp. NF-κB activity was also monitored by electromobility shift assays (EMSA) performed on nuclear exts. of Jurkat cells treated with the different chelators for 4 h. Results CP502 and CP511 decrease HIV-1 replication by decreasing cellular proliferation in a similar manner to DF and CP20. CP511 seemed to be more potent than either CP502 or CP20. Due to the reduction in cellular proliferation, there was an increase in caspase-3 activity after 24 h incubation. NF-κB activity was not affected by any of the chelators. Conclusions: Iron chelators with high affinities for iron, which are under development for the treatment of iron overload, could contribute to the reduction of HIV-1 replication in infected patients by cellular proliferation inhibition rather than by a direct antiviral action.

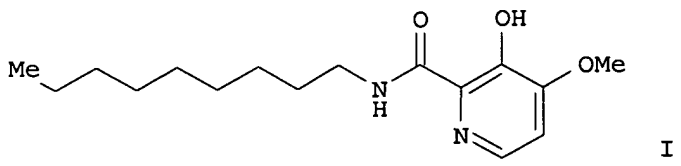
IT 243987-44-2
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HIV type I replication inhibition by bidentate iron chelators CP502 and CP511 is caused by proliferation inhibition and onset of apoptosis

in human peripheral blood lymphocytes)
RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:262139 CAPLUS
DOCUMENT NUMBER: 137:30441
TITLE: UK-2A, B, C, and D, novel antifungal antibiotics from Streptomyces sp. 517-02: VII. Membrane injury induced by C9-UK-2A, a derivative of UK-2A, in Rhodotorula mucilaginosa IFO 0001
AUTHOR(S): Tani, Kazunori; Usuki, Yoshinosuke; Motoba, Kazuhiko; Fujita, Ken-Ichi; Taniguchi, Makoto
CORPORATE SOURCE: Graduate School of Science, Osaka City University, Osaka, 558-8585, Japan
SOURCE: Journal of Antibiotics (2002), 55(3), 315-321
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



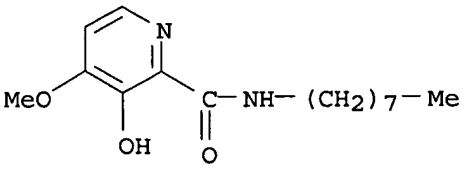
I

AB UK-2A is a potent antifungal antibiotic and its structure is highly similar to that of antimycin A3 (AA). UK-2A and AA inhibit mitochondrial electron transport at complex III. However, the antifungal activities of UK-2A and AA disappear after 48-h treatment. In an attempt to improve the duration of the antifungal activity of UK-2A, several UK-2A derivs. were prepared by substituting its nine-membered dilactone ring with an n-alkyl or an isoprenyl moiety. Among all the derivs. tested, C9-UK-2A (I) and C10-UK-2A showed the most potent and durable antifungal activities against a strict aerobic yeast, Rhodotorula mucilaginosa IFO 0001. I, in particular, continued to demonstrate its broad-spectrum antifungal activity after 120-h treatment. Therefore, we focused on I to further examine its mode of action against the yeast. Interestingly, I did not inhibit cellular respiration of the cells even at concns. greater than 100 μg/mL. I gradually induced the efflux of potassium ions from the cells. Moreover, I gradually induced the release of glucose from glucose-encapsulating liposomes. The patterns of efflux and release

induced by I were not as rapid as those seen with amphotericin B. These results suggest a membrane injury caused by I in R. mucilaginosa IFO 0001.

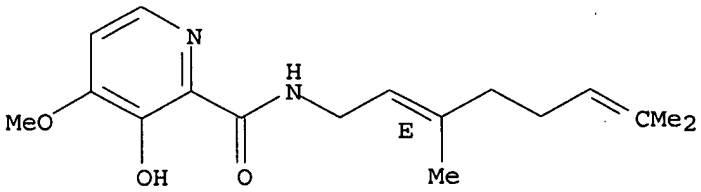
IT 267416-35-3, C8-UK-2A 321598-14-5 366791-61-9, C16-UK-2A 366791-62-0, C12-UK-2A 366791-63-1, C4-UK-2A 366791-64-2 366791-65-3 437651-13-3, C10-UK-2A 437651-14-4, C11-UK-2A
RL: PAC (Pharmacological activity); BIOL (Biological study)
(activity of UK-2A and derivs. against Rhodotorula mucilaginosa)

RN 267416-35-3 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-octyl- (CA INDEX NAME)

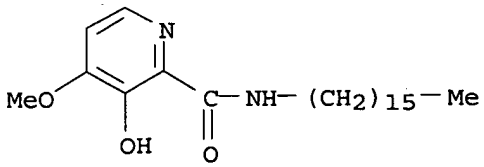


RN 321598-14-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2E)-3,7-dimethyl-2,6-octadienyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 366791-61-9 CAPLUS
CN 2-Pyridinecarboxamide, N-hexadecyl-3-hydroxy-4-methoxy- (CA INDEX NAME)



RN 366791-62-0 CAPLUS
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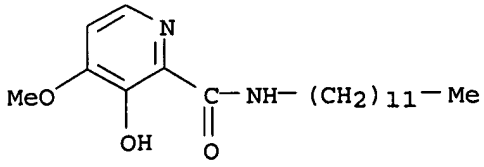
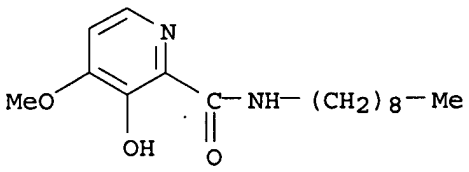


RN 366791-63-1 CAPLUS
CN 2-Pyridinecarboxamide, N-butyl-3-hydroxy-4-methoxy- (CA INDEX NAME)

(membrane injury induced by the Streptomyces antifungal antibiotic UK-2A derivative C9-UK-2A in Rhodotorula mucilaginosa IFO 0001)

RN 437651-11-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-nonyl- (CA INDEX NAME)



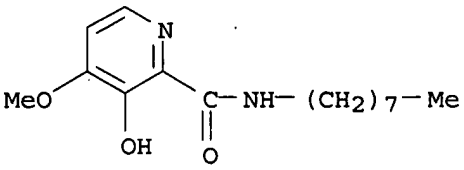
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:557166 CAPLUS
DOCUMENT NUMBER: 135:300904
TITLE: UK-2A, B, C and D, novel antifungal antibiotics from Streptomyces sp. 517-02. VI (1). Structure-activity relationships of UK-2A
AUTHOR(S): Usuki, Yoshinosuke; Tani, Kazunori; Fujita, Ken-Ichi; Taniguchi, Makoto
CORPORATE SOURCE: Graduate School of Science, Osaka City University, Osaka, 558-8585, Japan
SOURCE: Journal of Antibiotics (2001), 54(7), 600-602
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of UK-2A analogs, where the nine-membered dilactone residue was replaced by several alkyl or isoprenyl moieties, and their biol. effects were studied. All the tested compds., such as UK-2A, AA, and their derivs., did not show any growth inhibitory activity against both Gram-neg. and Gram-pos. bacteria up to 100μg/mL. Salicylic acid moiety or pyridinecarboxylic acid moiety plus a hydrophobic structure is at least necessary for expression of antifungal action. The 9-membered dilactone ring moiety itself is not essential for the antimicrobial activity, and C8-alkyl group is flexible and hydrophobic that makes C8-UK-2A interact the binding domain to prevent yeasts and filamentous fungi from growing. The decrease in activity of isoprenylated UK-2A derivs. was due to a loss of flexibility, which interferes in their taking active conformations. AA had strong cytotoxicity against porcine renal proximal tubule LLC-PK1 cells and other types of cultured cells compared to UK-2A. The inhibitory of UK-2A and AA for the uncoupler stimulated respiration of bovine heart submitochondrial particles was examined C8-3MeOSA showed comparably high inhibitory activity similar to C8-AA and AA, although its antimicrobial activities were weaker than those were. The mode of action of C8-UK-2A would be different from that of UK-2A.

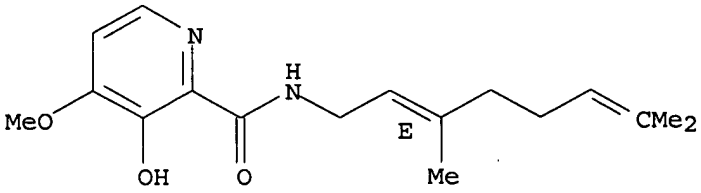
IT 267416-35-3 321598-14-5 366791-61-9
366791-62-0 366791-63-1 366791-64-2
366791-65-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(UK-2A, B, C and D, novel antifungal antibiotics from Streptomyces sp. 517-02. VI (1). Structure-activity relationships of UK-2A)

RN 267416-35-3 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-octyl- (CA INDEX NAME)

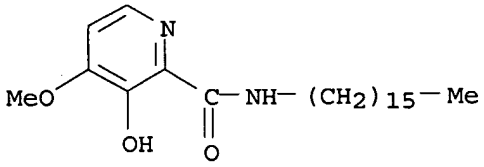


RN 321598-14-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2E)-3,7-dimethyl-2,6-octadienyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

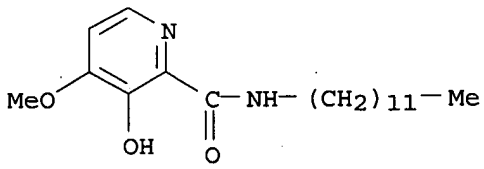
Double bond geometry as shown.



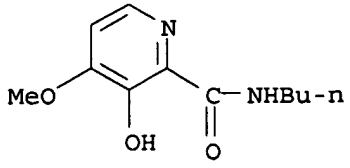
RN 366791-61-9 CAPLUS
CN 2-Pyridinecarboxamide, N-hexadecyl-3-hydroxy-4-methoxy- (CA INDEX NAME)



RN 366791-62-0 CAPLUS
CN 2-Pyridinecarboxamide, N-dodecyl-3-hydroxy-4-methoxy- (CA INDEX NAME)

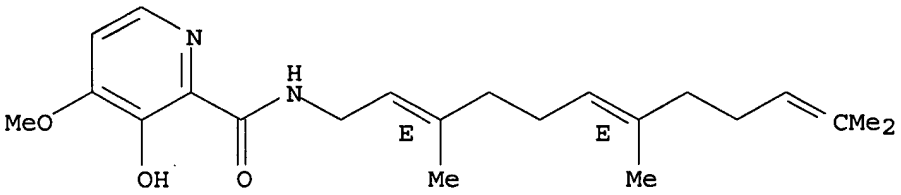


RN 366791-63-1 CAPLUS
CN 2-Pyridinecarboxamide, N-butyl-3-hydroxy-4-methoxy- (CA INDEX NAME)

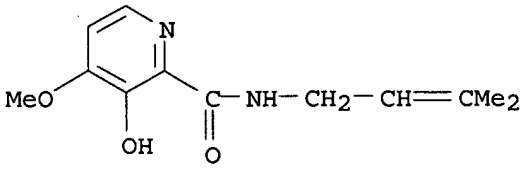


RN 366791-64-2 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 366791-65-3 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

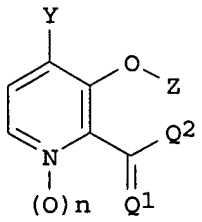
L4 ANSWER 28 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:507680 CAPLUS
DOCUMENT NUMBER: 135:92548
TITLE: Preparation of hydroxypicolinic acid derivatives for agrochemical and pharmaceutical use as fungicides
INVENTOR(S): Bacque, Eric; Barriere, Jean-Claude; Vors, Jean-Pierre; Nieto-Roman, Francisco; Villier, Alain
PATENT ASSIGNEE(S): Aventis CropScience SA, Fr.; Aventis Pharma S.A.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049667	A1	20010712	WO 2001-FR44	20010108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2803592	A1	20010713	FR 2000-140	20000106
AT 340160	T	20061015	AT 2001-903877	20010105
ES 2272440	T3	20070501	ES 2001-1903877	20010105
CA 2396306	A1	20010712	CA 2001-2396306	20010108
EP 1248771	A1	20021016	EP 2001-903885	20010108
EP 1248771	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

BR 2001007425	A	20021203	BR 2001-7425		20010108
JP 2003519215	T	20030617	JP 2001-550207		20010108
HU 2003000139	A2	20030628	HU 2003-139		20010108
AT 325098	T	20060615	AT 2001-903885		20010108
IN 2002MN00517	A	20060505	IN 2002-MN517		20020422
ZA 2002003830	A	20031126	ZA 2002-3830		20020514
MX 2002PA06671	A	20021023	MX 2002-PA6671		20020704
US 2006040995	A1	20060223	US 2002-169855		20020708
PRIORITY APPLN. INFO.:			FR 2000-140	A	20000106
			WO 2001-FR44	W	20010108

OTHER SOURCE(S): MARPAT 135:92548

GI



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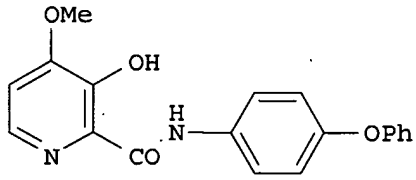


II

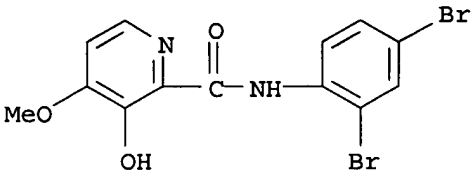
AB Hydroxypicolinic acid derivs., such as I [Q1 = O, imino, aminoimino; Q2 = alkyloxy, alkylthio, cycloalkyloxy, cycloalkylthio, amino, etc.; Y = H, OH, NH2, N3, CN, NO2, alkyloxy, alkylthio, acylamino, etc.; Z = H, alkyl, aryl, allyl, propargyl, cycloalkyl, etc.; n = 0, 1], were prepared for agrochem. and pharmaceutical use as fungicides. Thus, picolinamide II was prepared by amidation of 3-hydroxy-4-methoxypyridine-2-carboxylic acid with 4-phenoxyaniline using 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in pyridine at 75-85° for 1-2 h. Fungicidal biol. testing data for the prepared hydroxypicolinates was not presented.

IT 267415-60-1P 267415-69-0P 267415-77-0P
267415-89-4P 267416-16-0P 267416-48-8P
267416-59-1P 267416-63-7P 313643-54-8P
313643-77-5P 313643-78-6P 348633-77-2P
348633-78-3P 348633-79-4P 348633-80-7P
348633-81-8P 348634-44-6P 348634-45-7P
348634-47-9P 348634-48-0P 348634-49-1P
348634-50-4P 348634-51-5P 348634-52-6P
348634-69-5P 348634-70-8P 348634-71-9P
348634-72-0P 348634-73-1P 348634-74-2P
348634-75-3P 348634-76-4P 348634-77-5P
348634-80-0P 348634-81-1P 348634-82-2P
348634-83-3P 348634-84-4P 348634-85-5P
348634-86-6P 348634-87-7P 348634-88-8P
348634-89-9P 348634-90-2P 348634-91-3P
348634-92-4P 348634-93-5P 348634-99-1P
348635-00-7P 348635-01-8P 348635-02-9P
348635-03-0P 348635-21-2P
RL: AGR (Agricultural use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxypicolinic acid derivs. for agrochem. and pharmaceutical use as fungicides)

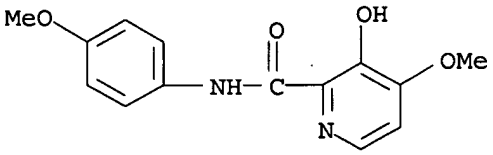
RN 267415-60-1 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)

INDEX NAME)



RN 348635-21-2 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(4-methoxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:507679 CAPLUS
DOCUMENT NUMBER: 135:92547
TITLE: Preparation of picolinic acid derivs. for agrochemical and therapeutic use as fungicides
INVENTOR(S): Nieto-Roman, Francisco; Vors, Jean-Pierre; Villier, Alain; Lachaise, Helene; Mousques, Adeline; Hartmann, Benoit; Hutin, Pierre; Molina, Jose Lorenzo; Muller, Benoit
PATENT ASSIGNEE(S): Aventis CropScience SA, Fr.
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049666	A1	20010712	WO 2001-FR33	20010105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2803592	A1	20010713	FR 2000-140	20000106
CA 2396299	A1	20010712	CA 2001-2396299	20010105
BR 2001007241	A	20020709	BR 2001-7241	20010105
EP 1244627	A1	20021002	EP 2001-903877	20010105
EP 1244627	B1	20060920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

HU 2002003958	A2	20030328	HU 2002-3958		20010105
JP 2003519214	T	20030617	JP 2001-550206		20010105
AT 340160	T	20061015	AT 2001-903877		20010105
ES 2272440	T3	20070501	ES 2001-1903877		20010105
AT 325098	T	20060615	AT 2001-903885		20010108
IN 2002MN00572	A	20040228	IN 2002-MN572		20020506
ZA 2002003830	A	20031126	ZA 2002-3830		20020514
BG 106834	A	20030131	BG 2002-106834		20020618
MX 2002PA06616	A	20021023	MX 2002-PA6616		20020702
US 2003191113	A1	20031009	US 2002-181842		20020708
PRIORITY APPLN. INFO.:			FR 2000-140	A	20000106
			WO 2001-FR33	W	20010105

OTHER SOURCE(S): MARPAT 135:92547

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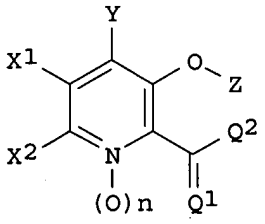

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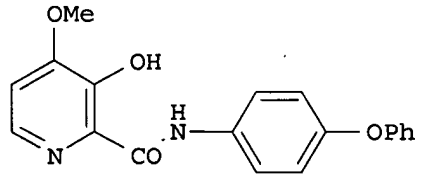
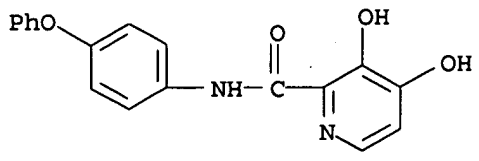


II

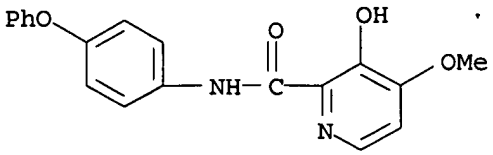
AB Picolinic acid derivs., such as I [Q1 = O, imino, aminoimino; Q2 = alkyloxy, alkylthio, cycloalkyloxy, cycloalkylthio, amino, etc.; Y = H, OH, NH2, N3, CN, NO2, alkyloxy, alkylthio, acylamino, etc.; X1, X2 = H, OH, SH, NO2, SCN, N3, CN, halogen, alkyl, alkoxy, alkylthio, etc.; Z = H, alkyl, aryl, allyl, propargyl, cycloalkyl, etc.; n = 0, 1], were prepared for agrochem. use against plant fungal pathogens and pharmaceutical use as fungicides. Thus, picolinamide II was prepared by amidation of 3-hydroxy-4-methoxypyridine-2-carboxylic acid with 4-phenoxyaniline using 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in pyridine at 85° for 2 h. The prepared picolinic acid derivs. were tested for activity against fungal strains, such as Alternaria brassicae and Septoria nodorum.

IT 348634-44-6P 348634-45-7P 348634-47-9P
348634-48-0P 348634-49-1P 348634-50-4P
348634-51-5P 348634-52-6P 348634-69-5P
348634-70-8P 348634-71-9P 348634-72-0P
348634-73-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of picolinic acid derivs. for agrochem. and therapeutic use as fungicides)

RN 348634-44-6 CAPLUS
CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)

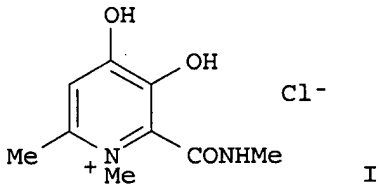


RN 348634-45-7 CAPLUS
CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-[4-(4-methylphenoxy)phenyl]- (CA



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:322258 CAPLUS
DOCUMENT NUMBER: 135:76762
TITLE: Synthesis of 2-amido-3-hydroxypyridin-4(1H)-ones: novel iron chelators with enhanced pFe3+ values
AUTHOR(S): Liu, Zu D.; Piyamongkol, S.; Liu, Ding Y.; Khodr, Hicham H.; Lu, Shu L.; Hider, Robert C.
CORPORATE SOURCE: Department of Pharmacy, King's College London, London, SE1 8WA, UK
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(3), 563-573
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:76762
GI



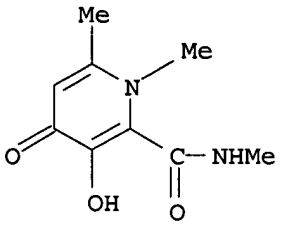
I

AB The synthesis of a range of 2-amido-3-hydroxypyridin-4-ones as bidentate iron(III) chelators with potential for oral administration is described. The pKa values of the ligands together with the stability consts. of their iron(III) complexes have been determined Results indicate that the introduction of an amido substituent at the 2-position leads to an appreciable enhancement of the pFe3+ values. The ability of these novel 3-hydroxypyridin-4-ones to facilitate iron excretion in bile was investigated using a 59Fe-ferritin loaded rat model. The optimal effect was observed with the N-methylamido derivative I, which has an associated pFe3+ value of 21.7, more than two orders of magnitude higher than that of deferiprone (1,2-dimethyl-3-hydroxypyridin-4-one) (pFe3+ = 19.4). Dose-response studies suggest that chelators with high pFe3+ values scavenge iron more effectively at lower doses when compared with simple dialkyl-substituted hydroxypyridinones.

IT 216581-66-7P 216581-68-9P 216581-69-0P
216581-72-5P 347393-49-1P 347393-50-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 2-amido-3-hydroxypyridin-4(1H)-ones as iron chelators with enhanced pFe3+ values)

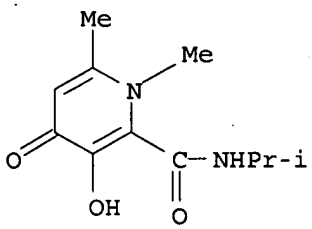
RN 216581-66-7 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo-,

monohydrochloride (9CI) (CA INDEX NAME)



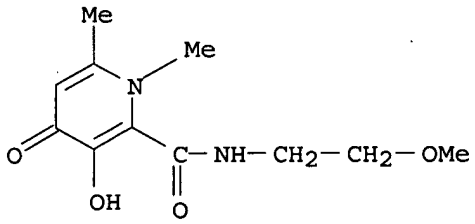
● HCl

RN 216581-68-9 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-N-(1-methylethyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



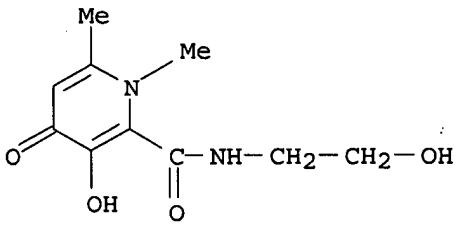
● HCl

RN 216581-69-0 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-methoxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



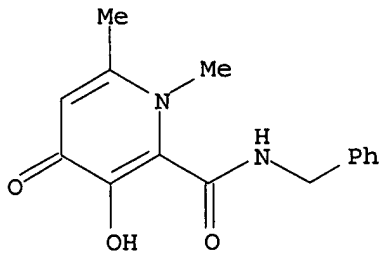
● HCl

RN 216581-72-5 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-hydroxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



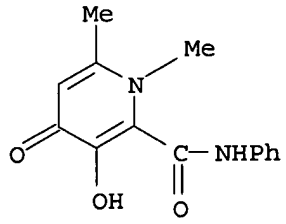
● HCl

RN 347393-49-1 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo-N-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

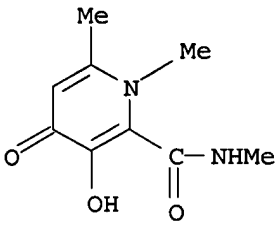
RN 347393-50-4 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-4-oxo-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

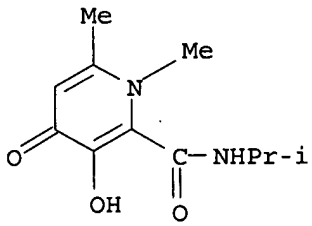
IT 216581-66-7D, complexes with iron 216581-68-9D, complexes with iron 216581-69-0D, complexes with iron 216581-72-5D, complexes with iron
RL: PRP (Properties)
(preparation of 2-amido-3-hydroxypyridin-4(1H)-ones as iron chelators with enhanced pFe3+ values)

RN 216581-66-7 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



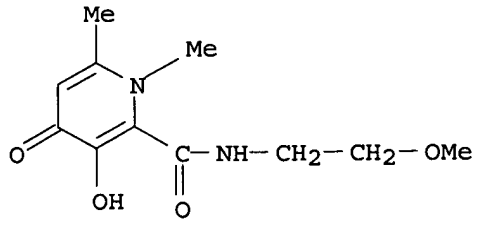
● HCl

RN 216581-68-9 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-N-(1-methylethyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



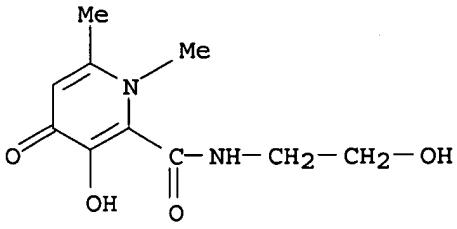
● HCl

RN 216581-69-0 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-methoxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216581-72-5 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-hydroxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

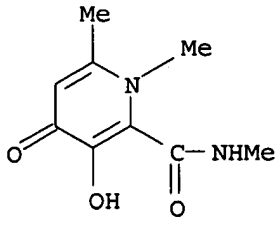
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:279242 CAPLUS
DOCUMENT NUMBER: 135:92524
TITLE: Novel synthetic approach to 2-(1'-hydroxyalkyl)- and 2-amido-3-hydroxypyridin-4-ones
AUTHOR(S): Piyamongkol, S.; Liu, Z. D.; Hider, R. C.
CORPORATE SOURCE: Department of Pharmacy, King's College London, London, SE1 9NN, UK
SOURCE: Tetrahedron (2001), 57(16), 3479-3486
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:92524

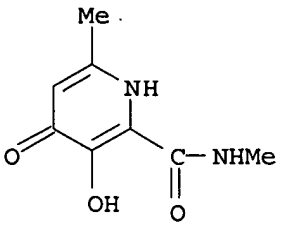
AB Novel methods for the synthesis of high pFe3+ iron chelators, 3,4-dihydroxy-2-(hydroxymethyl)pyridinium salts and 2-(aminocarbonyl)-3,4-dihydroxypyridinium compds., were reported. The products are obtained, via N-oxide intermediates, from either maltol or ethyl maltol. Iron-chelating properties were evaluated for 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo-2-pyridinecarboxamide and 1,4-dihydro-3-hydroxy-N,6-dimethyl-4-oxo-2-pyridinecarboxamide.

IT 243987-44-2, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo-2-Pyridinecarboxamide 349141-34-0
RL: PRP (Properties)
(iron-chelating properties of 1,4-dihydro-3-hydroxy-4-oxo-pyridinecarboxamides)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)

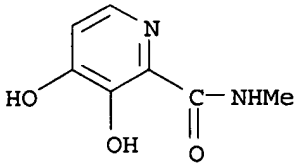


RN 349141-34-0 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,6-dimethyl-4-oxo- (CA INDEX NAME)



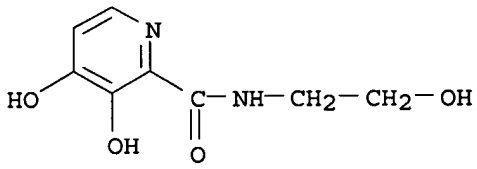
IT 349141-35-1P 349141-36-2P 349141-37-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of dihydroxy(hydroxymethyl)pyridinium compds. and (aminocarbonyl)dihydroxypyridinium compds.)

RN 349141-35-1 CAPLUS
CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



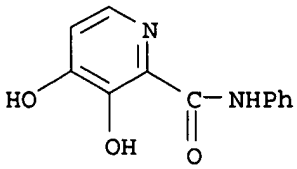
● HCl

RN 349141-36-2 CAPLUS
CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-(2-hydroxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 349141-37-3 CAPLUS
CN 2-Pyridinecarboxamide, 3,4-dihydroxy-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:152650 CAPLUS
DOCUMENT NUMBER: 134:207831
TITLE: Preparation, composition and use of heterocyclic aromatic amides as fungicides
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6521622	B1	20030218	US 2000-620662	20000720
CA 2376275	A1	20010301	CA 2000-2376275	20000804
AU 200065267	A	20010319	AU 2000-65267	20000804
AU 778108	B2	20041118		
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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EP 1234823	A2	20020828	EP 2002-9583	20000804
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US 7034035	B2	20060425			
US 2004048864	A1	20040311	US 2002-307710		20021202
US 6927225	B2	20050809			
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PRIORITY APPLN. INFO.:			US 1999-149977P	P	19990820
			US 1999-150248P	P	19990823
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			US 1999-144676P	P	19990720
			EP 2000-952599	A3	20000804
			US 2000-632930	A3	20000804
			WO 2000-US21523	W	20000804

OTHER SOURCE(S): MARPAT 134:207831
GI

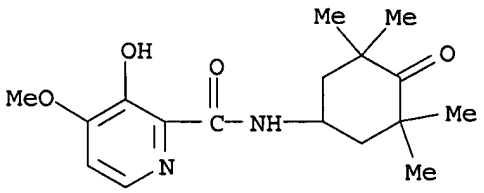
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2,C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepared as

fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compound II was prepared and tested as fungicide.

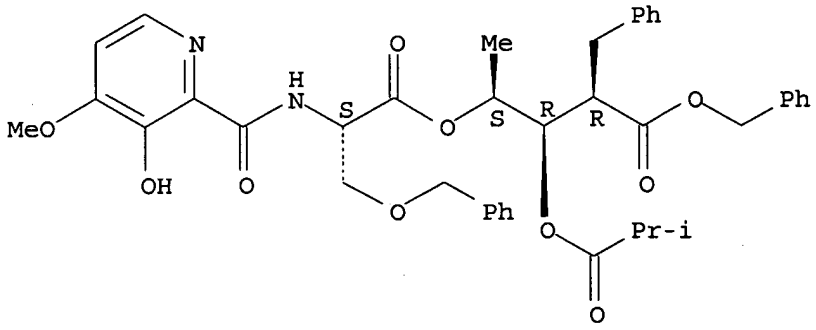
IT 321598-36-1P 321599-09-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and fungicidal activity of heterocyclic aromatic amides)

RN 321598-36-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,5,5-tetramethyl-4-oxocyclohexyl)- (CA INDEX NAME)



RN 321599-09-1 CAPLUS
CN L-Arabinonic acid, 2,5-dideoxy-2-(phenylmethyl)-, phenylmethyl ester, 3-(2-methylpropanoate), 4-ester with N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-O-(phenylmethyl)-L-serine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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321598-45-2P 321598-46-3P 321598-47-4P
321598-48-5P 321598-49-6P 321598-50-9P
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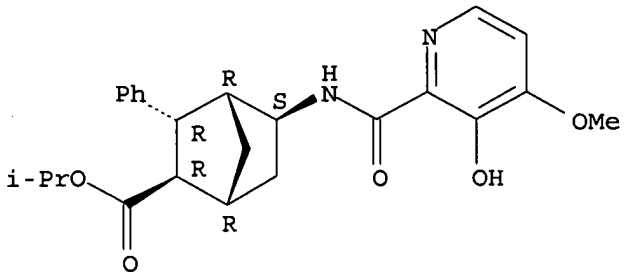
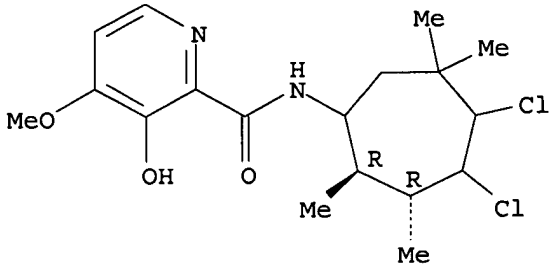
Relative stereochemistry.



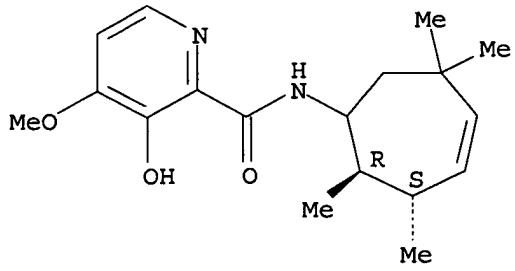
RN 321744-54-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 321601-46-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and fungicidal activity of heterocyclic aromatic amides)
RN 321601-46-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(2R,3S)-2,3,6,6-tetramethyl-4-cyclohepten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



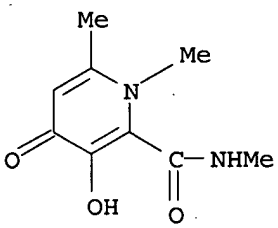
L4 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:113305 CAPLUS
DOCUMENT NUMBER: 134:320516
TITLE: Structure-activity investigation of the inhibition of 3-hydroxypyridin-4-ones on mammalian tyrosine hydroxylase
AUTHOR(S): Liu, Z. D.; Lockwood, M.; Rose, S.; Theobald, A. E.; Hider, R. C.
CORPORATE SOURCE: Department of Pharmacy, King's College London, London,

SE1 8WA, UK
SOURCE: Biochemical Pharmacology (2001), 61(3), 285-290
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3-Hydroxypyridin-4-ones are currently one of the main candidates for the development of orally active iron chelators. Small bidentate ligands tend to inhibit iron-containing metalloenzymes and therefore can cause undesirable side effects. A range of 3-hydroxypyridin-4-ones with different substituents at position 2 was selected for the investigation of the structure-activity relation between the chemical nature of the ligand and the inhibition of mammalian tyrosine hydroxylase. Results indicated that lipophilicity was the dominant factor in controlling the ability of this class of chelator to inhibit mammalian tyrosine hydroxylase. Ligands with hydrophilic substituents tended to be weak inhibitors. No significant correlation was found in this study between iron-binding affinity, extended substituent chain length, and enzyme inhibitory activity. In contrast, both the LogP values of the entire mol. and of the substituent segment correlated well with inhibitory activity.

IT 243987-44-2 336111-10-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relations of hydroxypyridinones as inhibitors of mammalian tyrosine hydroxylase)

RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)



RN 336111-10-5 CAPLUS
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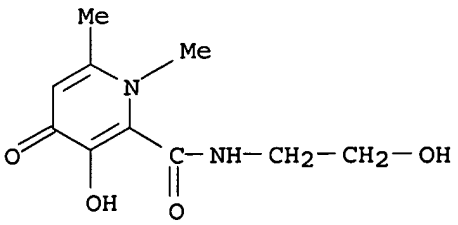

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:63978 CAPLUS
DOCUMENT NUMBER: 134:131431
TITLE: Fungicidal heterocyclic aromatic amides and their

compositions, methods of use and preparation

INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter

PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA

SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1196388	A2	20020417	EP 2000-950470	20000720
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TR 200200587	T2	20041221	TR 2002-587	20000720
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US 6927225	B2	20050809		

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OTHER SOURCE(S): MARPAT 134:131431

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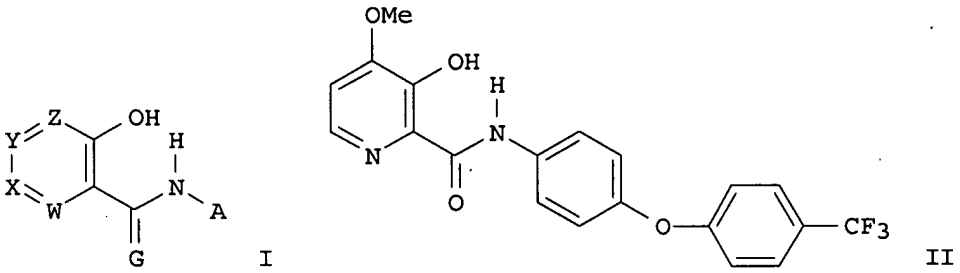


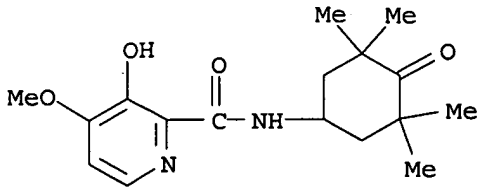
I II

AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepared and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepared via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal composition consists of a compound of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., Plasmopara viticola (Downy Mildew of Grape), Phytophthora infestans (Late Blight of Tomato), and Venturia inaequalis (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 321598-36-1P 321599-09-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and fungicidal activity of heterocyclic aromatic amides)

RN 321598-36-1 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,5,5-tetramethyl-4-oxocyclohexyl)- (CA INDEX NAME)

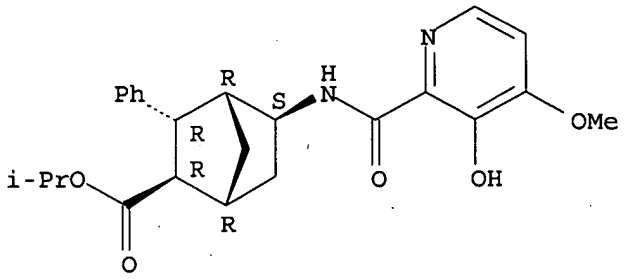


RN 321599-09-1 CAPLUS

CN L-Arabinonic acid, 2,5-dideoxy-2-(phenylmethyl)-, phenylmethyl ester, 3-(2-methylpropanoate), 4-ester with N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-O-(phenylmethyl)-L-serine (9CI) (CA INDEX NAME)

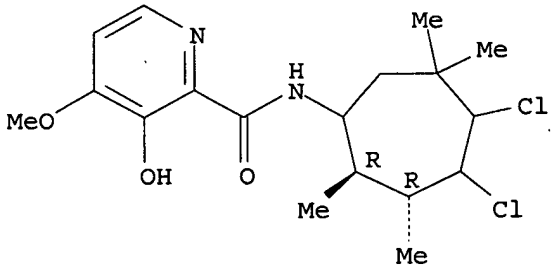
Absolute stereochemistry.

Relative stereochemistry.



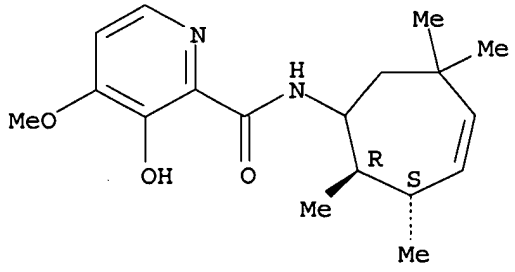
RN 321744-54-1 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 321601-46-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and fungicidal activity of heterocyclic aromatic amides)
RN 321601-46-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(2R,3S)-2,3,6,6-tetramethyl-4-cyclohepten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



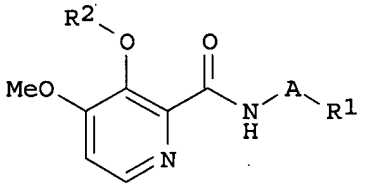
L4 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:900620 CAPLUS
DOCUMENT NUMBER: 134:56577
TITLE: Pyridinecarboxamides and their use as plant protection agents
INVENTOR(S): Backhaus, Dirk; Jordan, Stephan; Boie, Christiane; Schneider, Udo; Gayer, Herbert; Vaupel, Martin; Mauler-Machnik, Astrid; Wachendorff-Neumann, Ulrike; Kuck, Karl-Heinz

10/580,011

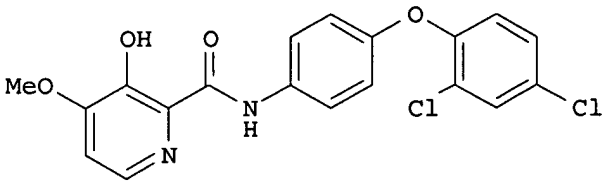
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076979	A1	20001221	WO 2000-EP4870	20000529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19958166	A1	20001214	DE 1999-19958166	19991202
IN 2000MU00490	A	20050304	IN 2000-MU490	20000529
PRIORITY APPLN. INFO.:			DE 1999-19926174 A	19990609
			DE 1999-19958166 A	19991202

OTHER SOURCE(S): MARPAT 134:56577
GI



I



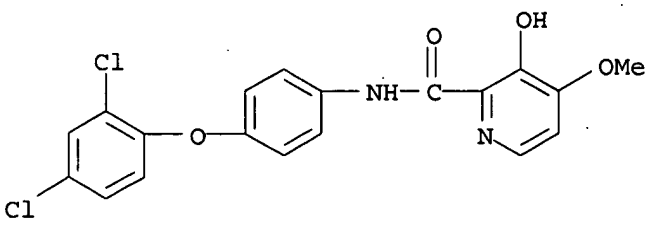
II

AB Pyridinecarboxamides I [A = bond, (un)substituted alkylene, heteroalkylene; R1 = (un)substituted cycloalkyl, cycloalkenyl, aryl, heterocyclyl; R2 = H, acyl, alkoxycarbonyl] were prepared for use as agricultural fungicides. Thus, the amide II was obtained by amidation. II was ≥91% effective against Botrytis on beans at 500 g/ha.

IT 313643-52-6P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridinecarboxamides as agricultural fungicides)

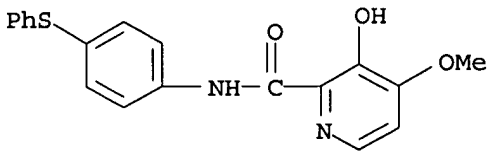
RN 313643-52-6 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-(2,4-dichlorophenoxy)phenyl]-3-hydroxy-4-methoxy- (CA INDEX NAME)

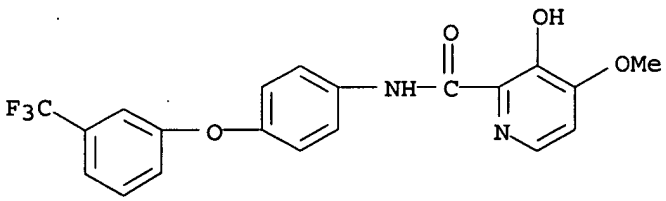


IT 267415-65-6P 267415-77-0P 267415-79-2P
267415-86-1P 267416-59-1P 313643-54-8P
313643-55-9P 313643-56-0P 313643-57-1P
313643-58-2P 313643-59-3P 313643-60-6P
313643-61-7P 313643-62-8P 313643-63-9P
313643-64-0P 313643-65-1P 313643-66-2P
313643-69-5P 313643-73-1P 313643-75-3P
313643-76-4P 313643-77-5P 313643-78-6P
313643-79-7P 313643-80-0P 313643-81-1P
313643-82-2P 313643-83-3P 313643-84-4P
313643-85-5P 313643-86-6P 313643-87-7P
313643-88-8P 313643-89-9P 313643-90-2P
313643-91-3P 313643-94-6P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinecarboxamides as agricultural fungicides)

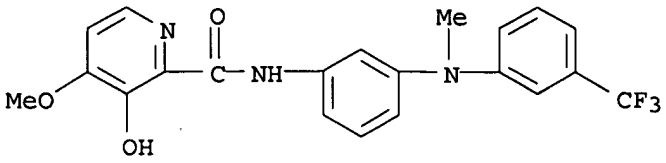
RN 267415-65-6 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[4-(phenylthio)phenyl]- (CA INDEX NAME)



RN 267415-77-0 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[4-[3-(trifluoromethyl)phenoxy]phenyl]- (CA INDEX NAME)

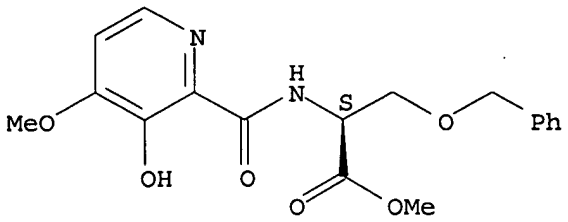


RN 267415-79-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[3-chloro-4-(4-chlorophenoxy)phenyl]-3-hydroxy-4-methoxy- (CA INDEX NAME)



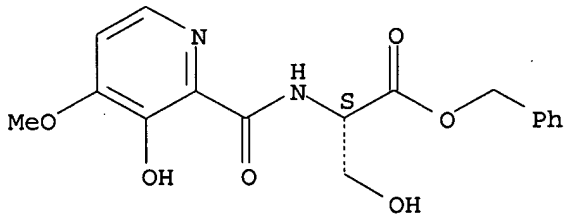
RN 313643-90-2 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-O-(phenylmethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

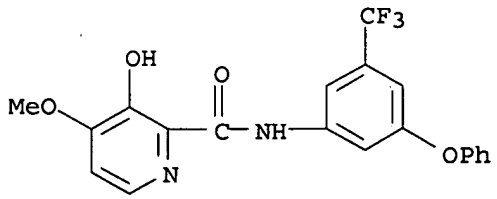


RN 313643-91-3 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 313643-94-6 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[3-phenoxy-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:314676 CAPLUS
DOCUMENT NUMBER: 132:334362
TITLE: Preparation of picolinamide derivatives and pest controllers containing the same as the active

ingredient

INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

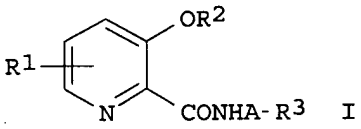
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000026191	A1	20000511	WO 1999-JP6142		19991104
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2353627	A1	20000511	CA 1999-2353627		19991104
EP 1134214	A1	20010919	EP 1999-954375		19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
AU 771975	B2	20040408	AU 2000-10768		19991104
US 7183278	B1	20070227	US 2001-830923		20010809
PRIORITY APPLN. INFO.:			JP 1998-313688	A	19981104
			WO 1999-JP6142	W	19991104

OTHER SOURCE(S): MARPAT 132:334362

GI



I

AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides containing the same; and a process for the preparation of the compds.

Thus, a solution of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxypicolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

IT 267415-60-1P 267415-61-2P 267415-62-3P
267415-63-4P 267415-64-5P 267415-65-6P
267415-66-7P 267415-67-8P 267415-68-9P

267415-69-0P 267415-70-3P 267415-71-4P
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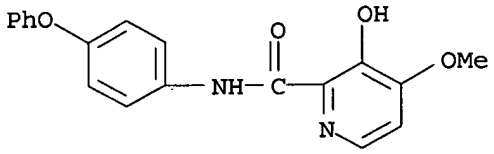
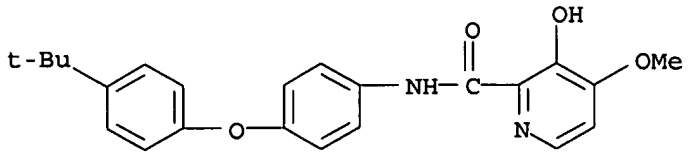
267416-63-7P 267416-64-8P 267416-65-9P
267416-66-0P 267416-67-1P 267416-68-2P
267416-70-6P 267416-71-7P 267416-72-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of picolinamide derivs. as pest controllers)

RN 267415-60-1 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)

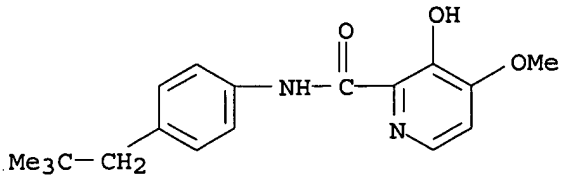


RN 267415-61-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[4-[4-(1,1-dimethylethyl)phenoxy]phenyl]-3-hydroxy-4-methoxy- (CA INDEX NAME)



RN 267415-62-3 CAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)

CN 2-Pyridinecarboxamide, N-[4-(2,2-dimethylpropyl)phenyl]-3-hydroxy-4-methoxy- (CA INDEX NAME)



RN 267416-70-6 CAPLUS
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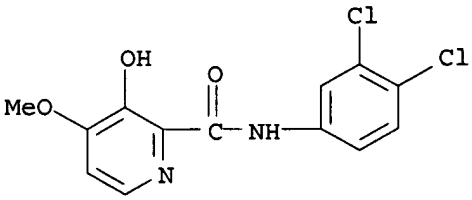
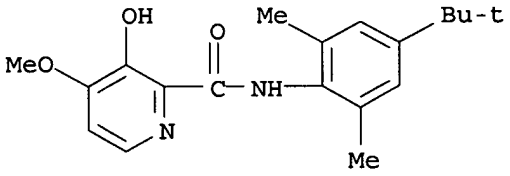
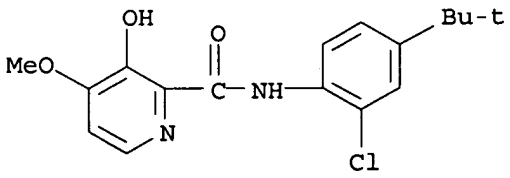


RN 267416-71-7 CAPLUS
CN 2-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-2,6-dimethylphenyl]-3-hydroxy-4-methoxy- (CA INDEX NAME)



RN 267416-72-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[2-chloro-4-(1,1-dimethylethyl)phenyl]-3-hydroxy-4-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:605552 CAPLUS
DOCUMENT NUMBER: 132:35975
TITLE: Synthesis of homorhamnojirimycins and related trihydroxypipecolic acid derivatives via divergent bicyclic amino lactone intermediates: Inhibition of naringinase (L-rhamnosidase) and dTDP-rhamnose biosynthesis

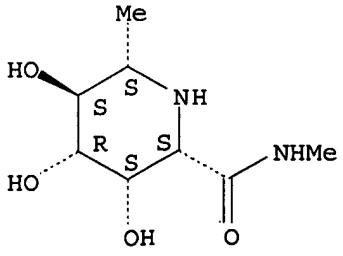
AUTHOR(S): Shilvock, John P.; Wheatley, Joseph R.; Nash, Robert J.; Watson, Alison A.; Griffiths, Rhodri C.; Butters, Terry D.; Muller, Mathias; Watkin, David J.; Winkler, David A.; Fleet, George W. J.
CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford University, Oxford, OX1 3QY, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (19), 2735-2745
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of homorhamnojirimycins and related compds. are prepared from two epimeric [2.2.2] bicyclic amino lactones via the 2-azidoheptono-1,5-lactone, itself derived from L-rhamnose. Aminolysis and deprotection of the bicyclic lactones provides an efficient route to trihydroxypipecolic acid amide analogs of 5-epi-L-rhamnopyranose and L-rhamnopyranose. Some of the L-rhamnopyranose analogs display inhibitory activity against naringinase (L-rhamnosidase) and dTDP-rhamnose biosynthesis and are potentially useful as tools for investigating cell wall biosynthesis of Mycobacterium tuberculosis, the causative agent of tuberculosis. The synthesis of other homoiminosugar analogs including epi-homorhamnojirimycin (HRJ) is also reported. Methanolysis of the bicyclic lactone possessing a configuration corresponding to α-L-rhamnopyranose under basic conditions affords both α- and β-Me 2,6-iminoheptonates. Reduction and subsequent deprotection affords the 2,6-iminoheptitols, α-homorhamnojirimycin (α-HRJ) and β-homorhamnojirimycin (β-HRJ), potent inhibitors of L-rhamnosidase and α-galactosidase, resp. The crystal-structure determination of the bicyclic lactone is also reported.

IT 252358-34-2P 252358-38-6P 252358-39-7P
252358-40-0P 252358-44-4P 252358-45-5P
252358-46-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of homorhamnojirimycins and related trihydroxypipecolic acid derivs. and their inhibition of naringinase and dTDP-rhamnose biosynthesis)

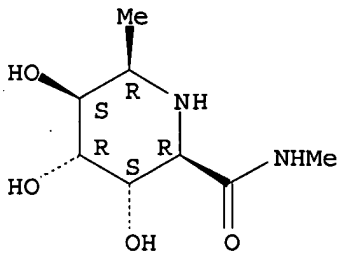
RN 252358-34-2 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-N,6-dimethyl-, (2S,3S,4R,5S,6S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



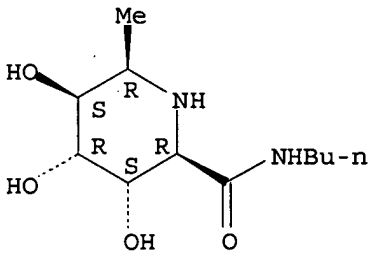
RN 252358-38-6 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-N,6-dimethyl-, (2R,3S,4R,5S,6R)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



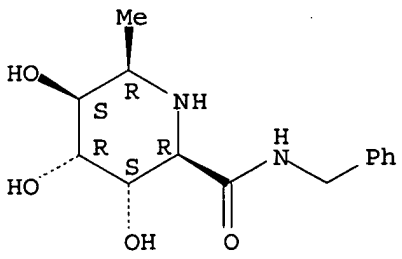
RN 252358-39-7 CAPLUS
CN 2-Piperidinecarboxamide, N-butyl-3,4,5-trihydroxy-6-methyl-, (2R,3S,4R,5S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



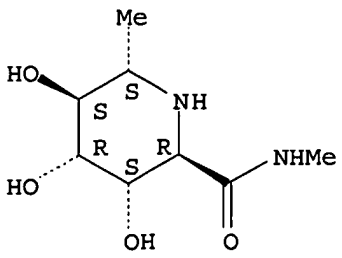
RN 252358-40-0 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-methyl-N-(phenylmethyl)-, (2R,3S,4R,5S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 252358-44-4 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-N,6-dimethyl-, (2R,3S,4R,5S,6S)-(CA INDEX NAME)

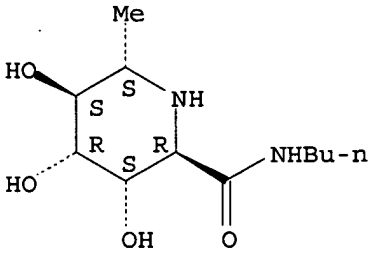
Absolute stereochemistry. Rotation (+).



RN 252358-45-5 CAPLUS

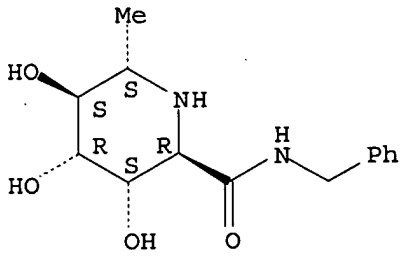
CN 2-Piperidinecarboxamide, N-butyl-3,4,5-trihydroxy-6-methyl-, (2R,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 252358-46-6 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-methyl-N-(phenylmethyl)-, (2R,3S,4R,5S,6S)- (CA INDEX NAME)

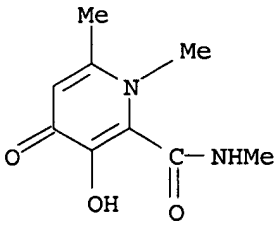
Absolute stereochemistry. Rotation (+).



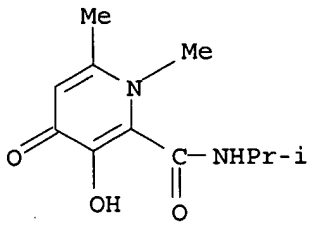
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:486386 CAPLUS
DOCUMENT NUMBER: 131:222962
TITLE: Gradient ion-pair high-performance liquid chromatographic method for analysis of 3-hydroxypyridin-4-one iron chelators
AUTHOR(S): Liu, Ding Y.; Liu, Zu D.; Lu, Shu L.; Hider, Robert C.
CORPORATE SOURCE: Department of Pharmacy, King's College London, University of London, London, SW3 6LX, UK
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (1999), 730(1), 135-139
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A gradient ion-pair HPLC separation of highly hydrophilic 3-hydroxypyridin-4-one (HPO) iron chelators is described. The separation of HPOs was performed using a reversed-phase polymer HPLC column (PLRP-S 100 A, 15+0.46 cm ID, 5 μm). The ion-pair buffer contained 1-heptanesulfonic acid (sodium salt) (5 mM) and the pH was adjusted to 2.0 using HCl. The gradient was 2%-35% CH3CN in 20 min and post-run was followed for 5 min using 2% CH3CN and 98% buffer. The flow-rate was 1 mL/min and the analytes were monitored at 280 nm. The retention times of 30 hydrophilic HPOs fell in the range of 10-18 min with sharp peak shapes, although these iron chelators possess various functional groups and distribution coeffs. The application of this HPLC method in the anal. of HPO chelators and their metabolites in rat bile and urine is described.

IT 243987-44-2 243987-45-3
RL: ANT (Analyte); ANST (Analytical study)
(ion pair HPLC for anal. of 3-hydroxypyridin-4-one iron chelators in biol. fluids)
RN 243987-44-2 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo- (CA INDEX NAME)

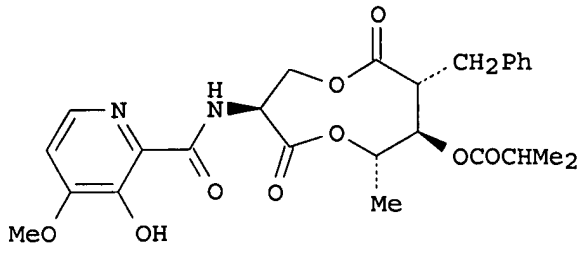


RN 243987-45-3 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-N-(1-methylethyl)-4-oxo- (CA INDEX NAME)

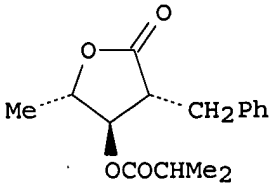


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

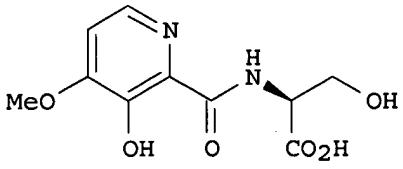
L4 ANSWER 39 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:19692 CAPLUS
DOCUMENT NUMBER: 130:168617
TITLE: UK-2A, B, C and D, novel antifungal antibiotics from Streptomyces sp. 517-02 III. Absolute configuration of an antifungal antibiotic, UK-2A, and consideration of its conformation
AUTHOR(S): Shibata, Kozo; Hanafi, Muhammad; Fujii, Jyunko; Sakanaka, Osamu; Iinuma, Katsuharu; Ueki, Masashi; Taniguchi, Makoto
CORPORATE SOURCE: Faculty of Science, Osaka City University, Osaka, 558-8585, Japan
SOURCE: Journal of Antibiotics (1998), 51(12), 1113-1116
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II



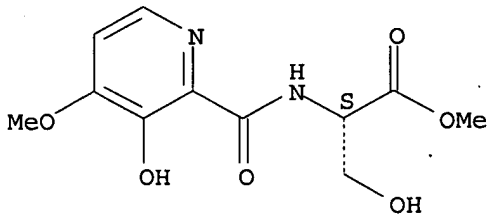
III

AB The absolute configuration of UK-2A (I) was determined by the elucidation of the absolute configurations of butanolide II and the serine derivative III, the products of alkaline hydrolysis of I. The absolute configuration of UK-2A was found to be (+)-(2R,3R,4S,7S).

IT 166820-04-8P 166820-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(determination of the absolute configuration of UK-2A, an antifungal antibiotic)

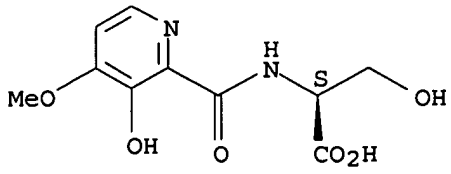
RN 166820-04-8 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 166820-06-0 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

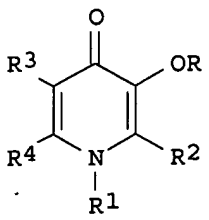
L4 ANSWER 40 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:793129 CAPLUS
DOCUMENT NUMBER: 130:38296
TITLE: Preparation of 3-hydroxypyridin-4-ones as novel orally active iron(III) chelators, and their pharmaceutical formulations
INVENTOR(S): Hider, Robert Charles; Tilbrook, Gary Stuart; Liu, Zudong
PATENT ASSIGNEE(S): BTG International Limited, UK
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854138	A1	19981203	WO 1998-GB1517	19980526
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2287907	A1	19981203	CA 1998-2287907	19980526
AU 9875427	A	19981230	AU 1998-75427	19980526
AU 751600	B2	20020822		
EP 984934	A1	20000315	EP 1998-922968	19980526
EP 984934	B1	20030108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500663	T	20020108	JP 1999-500362	19980526
AT 230728	T	20030115	AT 1998-922968	19980526
ES 2187025	T3	20030516	ES 1998-922968	19980526
ZA 9804635	A	19991129	ZA 1998-4635	19980529
US 6335353	B1	20020101	US 1999-437211	19991110
MX 9910947	A	20000430	MX 1999-10947	19991126
US 6448273	B1	20020910	US 1999-451112	19991130
US 2002068758	A1	20020606	US 2001-944113	20010904
US 6506911	B2	20030114		

PRIORITY APPLN. INFO.:	GB 1997-11093	A	19970529
	WO 1998-GB1517	W	19980526
	US 1999-437211	A2	19991110
	US 1999-451112	A3	19991130

OTHER SOURCE(S): MARPAT 130:38296
GI



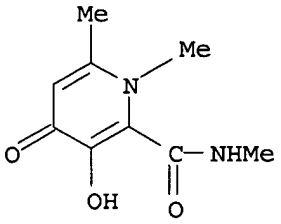
I

AB Novel 3-hydroxypyridin-4-ones I are provided, wherein R = H or a group that is removed by metabolism in vivo to provide the free hydroxy compound, R1 =

aliphatic hydrocarbon group (un)substituted by a hydroxy group or a carboxylic acid ester, sulfo acid ester or a C1-6alkoxy, C6-aryloxy or C7-10aralkoxy ether, R3 = H or C1-6alkyl; R4 = H, C1-6alkyl, C1-6alkyl, a group as described for R2 characterized in that R2 is selected from groups (i) -CONH-R5, (ii) -CH2NHCO-R5, (iii) -SO2NH-R5, (iv) -CH2NHSO2-R5, (v) -CR6R6OR7, (viii) -CONHCOR5, wherein R5 is selected from H and optionally hydroxy, alkoxy, or aralkoxy substituted C1-13alkyl, aryl and C7-13aralkyl, R6 is independently selected from H, C1-13alkyl, aryl and C7-13aralkyl, and R7 is selected from H, C1-13alkyl, aryl and C7-13aralkyl or a pharmaceutically acceptable salt of any such compound with the proviso that when R7 is H, R6 is not selected from aryl and with the proviso that the compound is not 1-ethyl-2-(1'-hydroxyethyl)-3-hydroxypyridin-4-one. Compds. I are orally active iron(III) chelators and are useful in the manufacture of a medicament for treatment of an iron-associated disease. Iron(III) mobilization efficacy assays of compds. I in rat are given. Pharmaceutical compns. containing I are claimed (3 examples). Processes for the preparation of I are also provided. Prepared intermediates include 8-oxo-4,8-dihydro-2-phenyl-4H-pyridino[3,2-d]-m-dioxins, 2-(1-hydroxyalkyl)-3-hydroxypyran-4(1H)-ones, and 3-benzyloxy-2-(2-thionothiazolidine-3-carbonyl)pyran-4(1H)-ones.

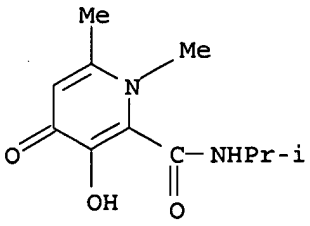
IT 216581-66-7P 216581-68-9P 216581-69-0P
216581-72-5P 216581-74-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as prodrug for orally active iron(III) chelators)

RN 216581-66-7 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,1,6-trimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



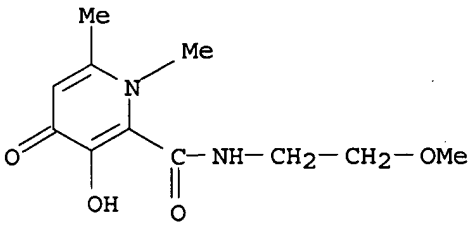
● HCl

RN 216581-68-9 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-1,6-dimethyl-N-(1-methylethyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



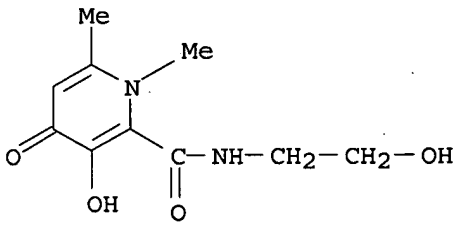
● HCl

RN 216581-69-0 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-methoxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



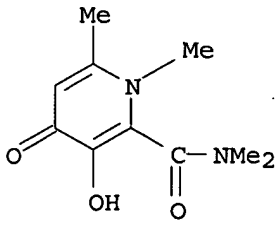
● HCl

RN 216581-72-5 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-(2-hydroxyethyl)-1,6-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216581-74-7 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N,N,1,6-tetramethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:773075 CAPLUS
DOCUMENT NUMBER: 130:110500

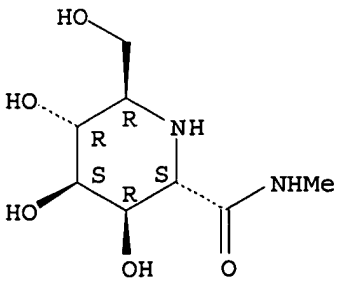
TITLE: Intermediates for incorporation of tetrahydroxypipecolic acid analogs of α- and β-D-mannopyranose into combinatorial libraries: unexpected nanomolar-range hexosaminidase inhibitors. Synthesis of α- and β-homomannojirimycin
AUTHOR(S): Shilvock, John P.; Nash, Robert J.; Lloyd, Janet D.; Winters, Ana L.; Asano, Naoki; Fleet, George W. J.
CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford University, Oxford, OX1 3QY, UK
SOURCE: Tetrahedron: Asymmetry (1998), 9(19), 3505-3516
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:110500

AB Homoazasugars have the distinction as a class of natural products in that most of them have been synthesized before they were isolated. Syntheses of α- and β-homomannojirimycin rely on the stereoselective and chemo-selective sodium cyanoborohydride reduction of a [2.2.2] bicyclic imino lactone to give a single [2.2.2] bicyclic amino-lactone. Methanolysis under basic conditions is accompanied by efficient epimerization of the first formed α-amino-ester to the more stable β-amino-ester in which the 2,6-substituents are equatorial. Both the [2.2.2] bicyclic amino-lactone and the β-amino-ester are suitable intermediates for the incorporation of tetrahydroxypipecolic acid derivs. into combinatorial libraries containing α- and β-C-glycosyl analogs of aza-D-mannopyranose, resp. Methylamides are shown to be specific and potent inhibitors of two β-N-acetylglucosaminidases but have no effect on an α-N-acetylgalactosaminidase. The synthesis of α- and β-manno-pipecolic acids is also reported.

IT 219589-69-2P 219589-71-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(intermediates for incorporation of tetrahydroxypipecolic acid analogs of mannopyranose into combinatorial libraries)

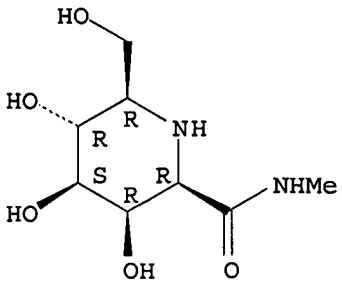
RN 219589-69-2 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-(hydroxymethyl)-N-methyl-, (2S,3R,4S,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



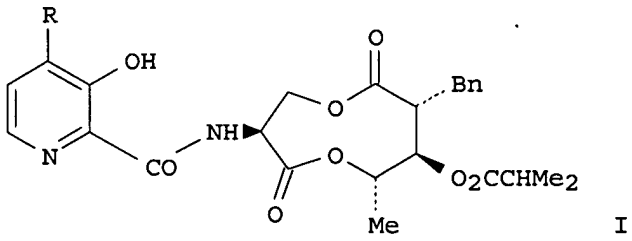
RN 219589-71-6 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-(hydroxymethyl)-N-methyl-, (2R,3R,4S,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:651994 CAPLUS
DOCUMENT NUMBER: 130:3703
TITLE: Total synthesis of the antifungal dilactones UK-2A and UK-3A: the determination of their relative and absolute configurations, analog synthesis and antifungal activities
AUTHOR(S): Shimano, Masanao; Kamei, Noriyuki; Shibata, Tetsuo; Inoguchi, Kiyoshi; Itoh, Nobuko; Ikari, Takashi; Senda, Hisato
CORPORATE SOURCE: Dep. Med. Chem. Mol. Design, Drug Discovery Res. Lab., Kaken Pharmaceutical Co., Ltd., Minami Kawara-cho, Yamashina-ku, Kyoto, 607-8042, Japan
SOURCE: Tetrahedron (1998), 54(42), 12745-12774
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:3703
GI



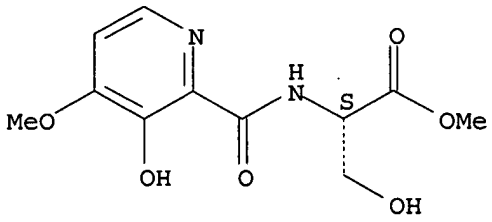
I

AB The synthesis of the antifungal dilactones (I), UK-2A (R = OMe) and UK-3A (R = H), is described. In addition to providing a workable synthetic route to these potent antifungal antibiotics, this has allowed us to determine the assignment of the relative and absolute configurations in the nine-membered ring. Furthermore, UK-2A analogs were also synthesized and evaluated for their antifungal activities and cytotoxic activities along with UK-2A, (2R, 3R, 4S, 7R)-UK-2A, UK-3A, (2R, 3R, 4S, 7R)-UK-3A, and antimycin A. The structural requirements for the selective cytotoxicity against yeasts and filamentous fungi will also be suggested.

IT 166820-04-8P 215874-80-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis, antifungal activity, cytotoxicity and absolute configuration of dilactones UK-2A and UK-3A)

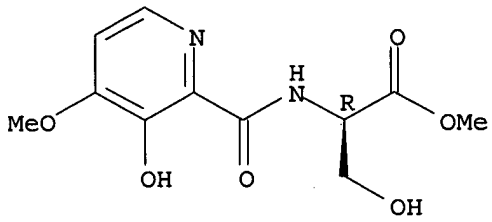
RN 166820-04-8 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 215874-80-9 CAPLUS
CN D-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

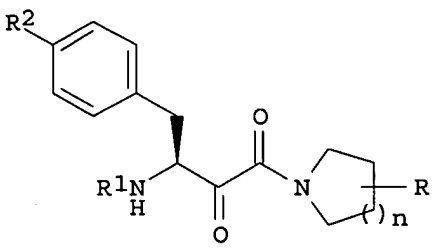
L4 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:473732 CAPLUS
DOCUMENT NUMBER: 127:81793
TITLE: Preparation of hydroxyethylamine core structures as HIV and FIV protease inhibitors
INVENTOR(S): Wong, Chi-Huey; Slee, Deborah H.; Laslo, Karen
PATENT ASSIGNEE(S): Scripps Research Institute, USA; Wong, Chi-Huey; Slee, Deborah H.; Laslo, Karen
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721100	A1	19970612	WO 1996-US19571	19961209
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238337	A1	19970612	CA 1996-2238337	19961209
AU 9712844	A	19970627	AU 1997-12844	19961209

AU 728373	B2	20010111			
EP 873519	A1	19981028	EP 1996-943657		19961209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2000502332	T	20000229	JP 1997-521485		19961209
US 6900238	B1	20050531	US 1998-77712		19961209
PRIORITY APPLN. INFO.:			US 1995-568532	A2	19951207
			WO 1996-US19571	W	19961209

OTHER SOURCE(S): MARPAT 127:81793

GI



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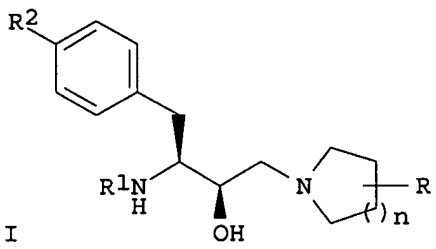
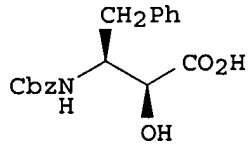
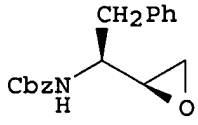


II



III



IV

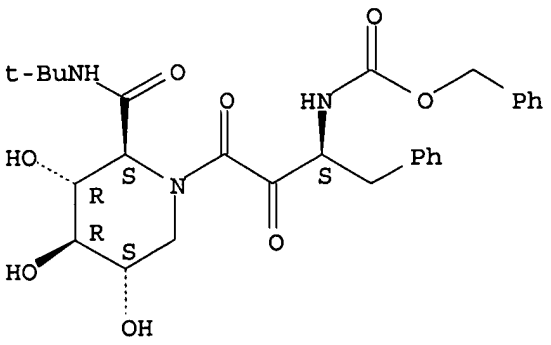
AB Combinatorial libraries of HIV and FIV protease inhibitors are characterized by α-keto amide or hydroxyethylamine core structures I and II [n = 1, 2; R = one or more groups CONHCMe3, CH2OH, CH2OMe, CH2OCH2Ph, OH, OCH2Ph, C1-4 alkoxy, optionally nitro-substituted 2-, 3-, or 4-MeOC6H4CH2O, 2,3- or 3,4-methylenedioxyphenylmethoxy, etc.; R1 = PhCH2O2C (Cbz), Me3CO2C (Boc), acyl; R2 = H, HO, PhCH2O, C1-4 alkoxy, optionally nitro-substituted 2-, 3-, or 4-MeOC6H4CH2O, 2,3- or 3,4-methylenedioxyphenylmethoxy] flanked by on one side by substituted pyrrolidines, piperidines, or azasugars and on the other side by Phe, Tyr, or substituted tyrosines. The libraries are synthesized via coupling of the nitrogen heterocycles with hydroxy acids, e.g. III, followed by oxidation to the keto amide, or a one-step coupling with epoxides, e.g. IV. Highly efficacious drug candidates are identified by screening the libraries for binding and inhibitory activity against both HIV and FIV protease. Drug candidates displaying clin. useful activity against both HIV and FIV protease are identified as being potentially resistive against a loss of inhibitory activity due to development of resistant strains of HIV.

IT 191850-51-8P 191850-64-3P 191850-67-6P
191850-75-6P 191850-79-0P 191850-82-5P
191850-85-8P 191850-88-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylamine core structures as HIV and FIV protease inhibitors)

RN 191850-51-8 CAPLUS

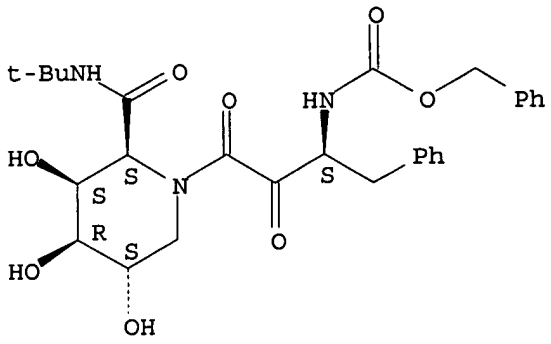
CN Carbamic acid, [(1S)-3-[(2S,3R,4R,5S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



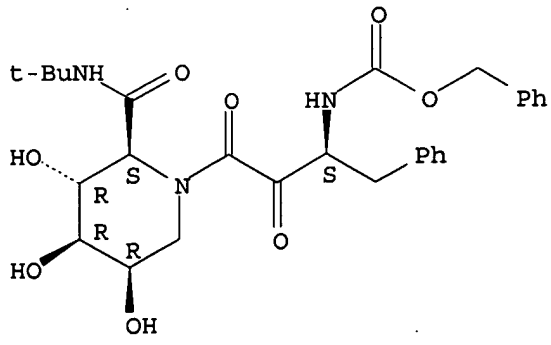
RN 191850-64-3 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3S,4R,5S)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191850-67-6 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3R,4R,5R)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

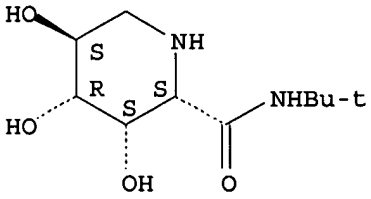
Absolute stereochemistry.



RN 191850-75-6 CAPLUS
CN Carbamic acid, [(1S)-3-[(2S,3S,4R,5R)-2-[[(1,1-dimethylethyl)amino]carbonyl]-3,4,5-trihydroxy-1-piperidinyl]-2,3-dioxo-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

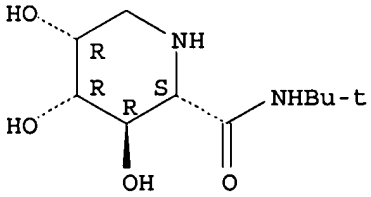
RN 191850-42-7 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



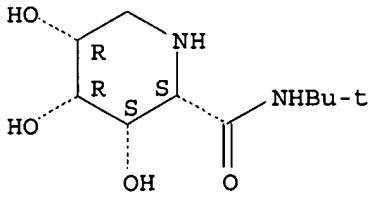
RN 191850-45-0 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3R,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 191850-48-3 CAPLUS
CN 2-Piperidinecarboxamide, N-(1,1-dimethylethyl)-3,4,5-trihydroxy-, (2S,3S,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



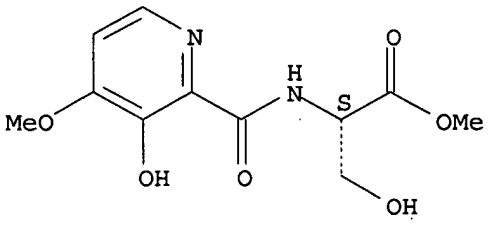
L4 ANSWER 44 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:16443 CAPLUS
DOCUMENT NUMBER: 126:144017
TITLE: UK-2A, B, C and D, novel antifungal antibiotics from Streptomyces sp. 517-02. II. Structural elucidation
AUTHOR(S): Hanafi, Muhammad; Shibata, Kozo; Ueki, Masashi; Taniguchi, Makoto
CORPORATE SOURCE: Fac. Sci., Osaka City Univ., Osaka, 558, Japan
SOURCE: Journal of Antibiotics (1996), 49(12), 1226-1231
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB UK-2A, UK-2B, UK-2C and UK-2D, novel antibiotics produced by Streptomyces sp. 517-02, exhibit strong antifungal activity. The structures were elucidated based on spectral and chemical evidence that these compds. are the derivs. of the nine-membered dilactone formed from serine and

4-hydroxypentanoic acid moiety.

IT 166820-04-8P 166820-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(structural elucidation of UK-2A, UK-2B, UK-2C and UK-2D, novel antifungal antibiotics from Streptomyces sp. 517-02)

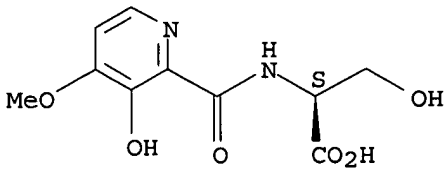
RN 166820-04-8 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 166820-06-0 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

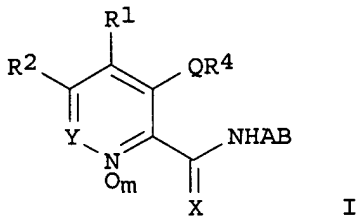
L4 ANSWER 45 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:938109 CAPLUS
DOCUMENT NUMBER: 123:340945
TITLE: Preparation of pyridylcarbonylglycines and related compounds as prolyl-4-hydroxylase inhibitors.
INVENTOR(S): Weidmann, klaus; Baringhaus, karl-Heinz; Tschank, Georg; Bickel, Martin
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 661269	A1	19950705	EP 1994-120766	19941227
EP 661269	B1	19970326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4344958	A1	19950706	DE 1993-4344958	19931230
DE 4439935	A1	19960515	DE 1994-4439935	19941109
CA 2138929	A1	19950701	CA 1994-2138929	19941222
TW 406076	B	20000921	TW 1994-83112023	19941222
AT 150749	T	19970415	AT 1994-120766	19941227
ES 2102132	T3	19970716	ES 1994-120766	19941227

ZA 9410340	A	19950831	ZA 1994-10340		19941228
JP 07242635	A	19950919	JP 1994-326903		19941228
US 5620995	A	19970415	US 1994-365411		19941228
NO 9405084	A	19950703	NO 1994-5084		19941229
AU 9481790	A	19950706	AU 1994-81790		19941229
AU 697015	B2	19980924			
CN 1126203	A	19960710	CN 1994-113548		19941230
PRIORITY APPLN. INFO.:			DE 1993-4344958	A	19931230
			DE 1994-4439935	A	19941109

OTHER SOURCE(S): CASREACT 123:340945; MARPAT 123:340945

GI



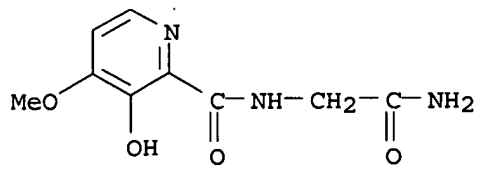
I

AB Title compds. [I; X, Q = O, S; Y = N, CR3; m = 0,1; A = (substituted) alkylene; B = CO2H, NHSO2CF3, tetrazolyl, imidazolyl, 3-hydroxyisoxazolyl, etc.; R1-R3 = H, OH, halo, cyano, CF3, NO2, CO2H, alkyl, cycloalkyl, cycloalkoxy, aryl, aralkynyl, alkynylcarbonyl, alkylcarbonyloxy, carbamoyl, alkynyloxyalkyl, alkenyloxy, alkoxyalkoxy, alkynyl, retinyloxycarbonyl, alkenyloxycarbonyloxy, etc.; R1R2 or R2R3 = (CH2)o in which 1-2 CH2 groups of the saturated or C:C unsatd. chain may be replaced by O, S, SO, SO2, imino, etc.; o = 3-5; R4 = H], were prepared for treatment of fibrotic disease (no data). Thus, 3-benzyloxypyridine-2-carboxylic acid (preparation given) in THF was treated sequentially with Et3N, pivaloyl chloride, and glycine Me ester hydrochloride at 0-20° to give 3-benzyloxypyridine-2-carboxylic acid (glycylmethyl ester)amide. This was hydrogenolyzed followed by saponification to give 3-hydroxypyridine-2-carboxylic acid glycylamide.

IT 170689-48-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylcarbonylglycines and related compds. as prolyl-4-hydroxylase inhibitors)

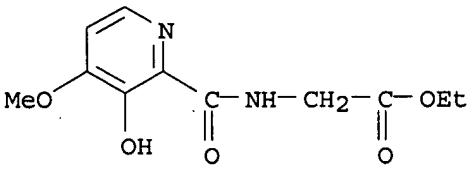
RN 170689-48-2 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-amino-2-oxoethyl)-3-hydroxy-4-methoxy- (CA INDEX NAME)



IT 170689-59-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylcarbonylglycines and related compds. as prolyl-4-hydroxylase inhibitors)

RN 170689-59-5 CAPLUS
CN Glycine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, ethyl ester (CA INDEX NAME)



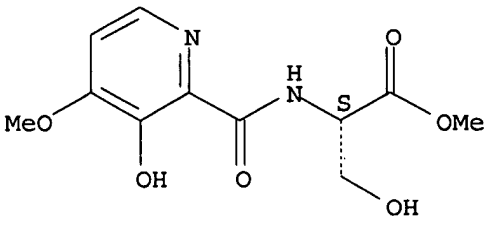
L4 ANSWER 46 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:671786 CAPLUS
DOCUMENT NUMBER: 123:164736
TITLE: The structures of UK-1 and UK-2, novel antibiotics from Streptomyces sp. 517-02
AUTHOR(S): Hanafi, O Muhammad; Kozo, Shibata; Masaru, Kashiwada; Masashi, Ueki; Makoto, Taniguchi
CORPORATE SOURCE: Faculty Science, Osaka City University, Japan
SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1994), 36th, 728-35
CODEN: TYKYDS
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The mycelial cake was extracted with acetone, and filtered. The filtrate was concentrated to give aqueous solution, which was extracted with chloroform. Organic layer was concentrated to yield an oily material, followed by purification on silica gel column chromatog. to give crude UK-1 and UK-2. Finally, the recrystn. of each fractions from MeOH, afforded UK-1 and UK-2. UK-1 (I), a novel metabolite, demonstrated potent cytotoxic activity against B16, Hela and P388 cells, and UK-2, novel complex of antibiotics, exhibited strong antifungal activity. Methylation of UK-1 by CH3I and anhydrous K2CO3 in dry acetone gave monomethyl ether derivative, Me-UK-1. Alkaline hydrolysis of UK-1 afforded carboxylic acid derivative, DeMe-UK-1. Partial structures, A, B, and C were elucidated by COSY, and COLOC expts. Based on these results, the structure of UK-1 was deduced to be a novel benzoxazole dimer derivative UK-2, novel metabolite containing complex of antibiotics with strong antifungal activity, was purified by reverse phase HPLC, to give UK-2A, B, C and D. From NMR and mass spectral data, the structures of UK-2A, B, C and D were established as isobutyrate, tiglate, isovalerate, and 2-methylbutyrate of nine membered dilactone skeleton, resp. Based on the result of synthesis of hydrolysis products, the absolute configuration of UK-2 was identified.

IT 166820-04-8 166820-06-0
RL: MSC (Miscellaneous)
(structures of UK-1 and UK-2, novel antibiotics from Streptomyces sp. 517-02)

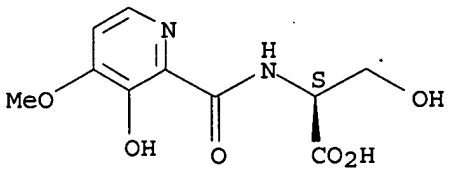
RN 166820-04-8 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 166820-06-0 CAPLUS
CN L-Serine, N-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]- (CA INDEX NAME)

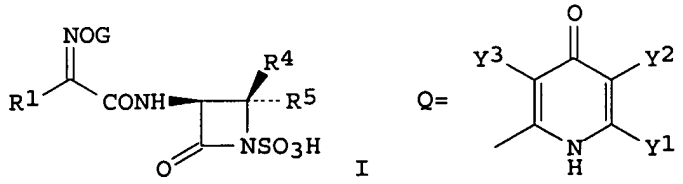
Absolute stereochemistry.



L4 ANSWER 47 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:6795 CAPLUS
DOCUMENT NUMBER: 118:6795
TITLE: Preparation of aztreonam hydrazides as antibiotics
INVENTOR(S): Treuner, Uwe D.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5112968	A	19920512	US 1989-386070	19890728
PRIORITY APPLN. INFO.:			US 1989-386070	19890728

OTHER SOURCE(S): MARPAT 118:6795
GI



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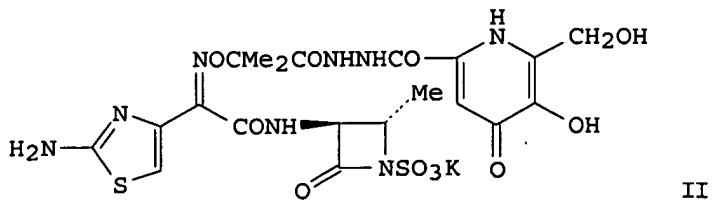


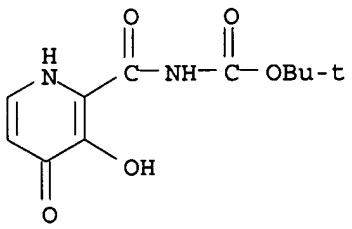
II

AB Title compds. [I; G = CR2R3CONRNRCOR6; R = H, Me; R1 = (substituted) Ph, -heterocyclyl; R2, R3 = H, alkyl; R2R3 = atoms to complete a carbocyclic ring; R4, R5 = H, (cyclo)alkyl, alkenyl, Ph, heterocyclyl, etc.; R6 = pyridonyl group Q; Y1 = CO2H, CONH2, OH, alkoxy, CHO, halomethyl, etc.; 1 of Y2, Y3 = OH and the other = H] were prepared as antibiotics (no data). Thus, maltol was converted in 11 steps to QCONHNH2.CF3CO2H (Y1 = CH2OH, Y2 = OH, Y3 = H) which was condensed with aztreonam to give title compound II.

IT 144399-80-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antibiotics)

RN 144399-80-4 CAPLUS

CN Carbamic acid, [(1,4-dihydro-3-hydroxy-4-oxo-2-pyridinyl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:526581 CAPLUS

DOCUMENT NUMBER: 113:126581

TITLE: Use of 2-hydroxymethyl-3,4,5-trihydroxypiperidines as antiviral agents

INVENTOR(S): Boeshagen, Horst; Junge, Bodo; Kinast, Guenther; Schueller, Matthias; Stoltefuss, Juergen; Paessens, Arnold

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 315017	A2	19890510	EP 1988-117701	19881025
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3737523	A1	19890518	DE 1987-3737523	19871105
US 5051407	A	19910924	US 1988-259932	19881019
JP 01151593	A	19890614	JP 1988-273377	19881031

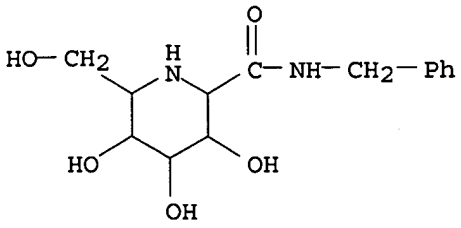
PRIORITY APPLN. INFO.: DE 1987-3737523 A 19871105

OTHER SOURCE(S): MARPAT 113:126581

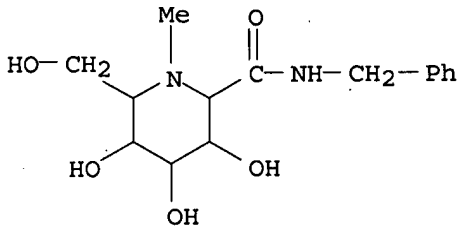
GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R1 = H and R3 = (substituted) aliphatic, cycloaliph., or aromatic residue which may contain hetero atoms; or R1 = (substituted) aliphatic, cycloaliph., aromatic, or heterocyclic residue and R3 = H, OH, OR1, SH, SR1, (substituted) amino or aminomethyl, CO2H, etc.; or R1 = (substituted) phenoxyalkyl, phenylthioalkyl, etc. and R3 = H], especially 1-deoxynojirimycin derivs., are useful as medical virucides, especially against retroviruses. Thus, N-ethyl-1-deoxynojirimycin at 10 μg/mL showed a 50% inhibitory effect on the cytopathic activity of visna virus on sheep fibroblasts.

IT 81117-54-6 81117-55-7
RL: BIOL (Biological study)
(as medical virucides)
RN 81117-54-6 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-(hydroxymethyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 81117-55-7 CAPLUS
CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-(hydroxymethyl)-1-methyl-N-(phenylmethyl)- (CA INDEX NAME)



L4 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:406035 CAPLUS
DOCUMENT NUMBER: 113:6035
TITLE: Ammoniomethylcephemcarboxylates as antibacterial agents and their preparation
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02015090	A	19900118	JP 1989-133559	19890526
PRIORITY APPLN. INFO.:			GB 1988-13945 A	19880613

OTHER SOURCE(S): MARPAT 113:6035
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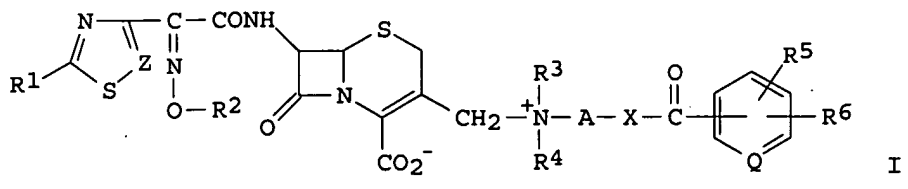


I

AB The title compds. I [R1 = (protected) amino; R2 = organic group; R3,R4 = alkyl; R5,R6 = (protected) hydroxy; A = alkylene; X = NH, O; Q, Z = N, CH] and their pharmaceutically acceptable salts were prepared Reaction of 7β-[2-(5-amino-1,2,4-thiadiazol-3-yl)-2-(1-carboxy-1-methylethoxyimino)acetamido]-3-chloromethyl-3-cephem-4-carboxylic acid (syn isomer) CF3CO2H salt with N,N-dimethyl-2-(3,4-diacetoxybenzoyloxy)ethylamine, followed by deprotection, gave 7β-[2-(5-amino-1,2,4-thiadiazol-3-yl)-2-(1-carboxy-1-methylethoxyimino)acetamido]-3-[N,N-dimethyl-N-[2-(3,4-dihydroxybenzoyloxy)ethyl]ammoniomethyl]-3-cephem-4-carboxylate (syn isomer) (II). II in vitro exhibited a MIC of ≤0.025 μg against Pseudomonas aeruginosa 26.

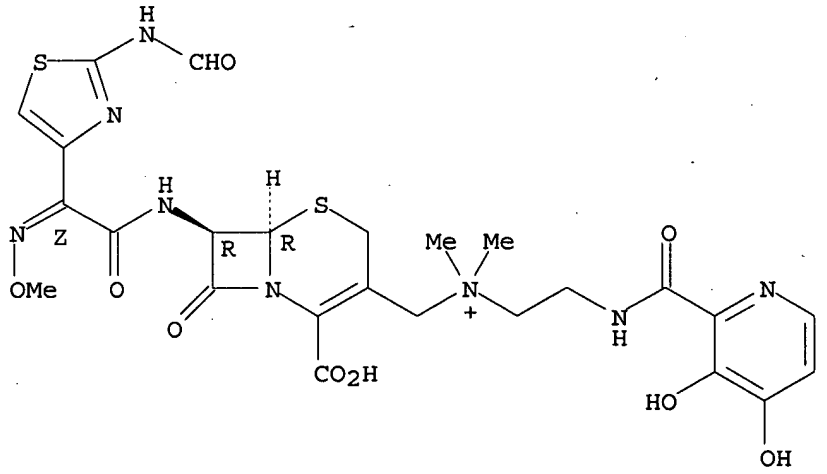
IT 127450-16-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antibacterial agent)

RN 127450-16-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-3-methanaminium, 2-carboxy-N-[2-[[(3,4-dihydroxy-2-pyridinyl)carbonyl]amino]ethyl]-7-[[[2-(formylamino)-4-thiazolyl](methoxyimino)acetyl]amino]-N,N-dimethyl-8-oxo-, chloride, hydrochloride, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



● Cl⁻

PAGE 2-A

● x HCl

L4 ANSWER 50 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:478547 CAPLUS
DOCUMENT NUMBER: 111:78547

10/580,011

TITLE: Preparation of sugar lactams as antiinflammatories and pharmaceutical compositions containing them

INVENTOR(S): Tsuruoka, Takashi; Yuda, Yasukatsu; Nakabayashi, Akira; Katano, Kiyoaki; Sezaki, Masaji; Kondo, Shinichi

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

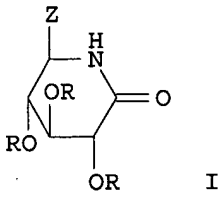
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63216867	A	19880909	JP 1987-50100	19870306
JP 06076379	B	19940928		
PRIORITY APPLN. INFO.:			JP 1987-50100	19870306

OTHER SOURCE(S): MARPAT 111:78547

GI



I

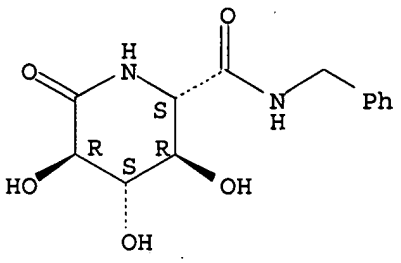
AB The title compds. [I; Z = CH2O-W, CO2Y1, CONHY2; R = H, acyl, Bz; W = (substituted) phenylsulfonyl, aralkylsulfonyl, heterocyclylsulfonyl, (substituted) alkanoyl, (substituted) benzoyl, heterocyclylcarbonyl, CHR1R2; R1, R2 = H, alkyl, (substituted) Ph, (substituted) naphthyl, heterocyclyl; Y1 = alkyl, aralkyl; Y2 = alkyl, (substituted) Ph, aralkyl, heterocyclyl], useful as antiinflammatories, are prepared D-Gluco-δ-lactam was reacted with Ph2CHCOCl in pyridine to give I (R = H, Z = CH2O2CCHPh2) (II), which in the carrageenin test showed 59.2% inhibition of inflammation, vs. 38.2% for aspirin. A tablet containing II 50, lactose 280, potato starch 80, polyvinylpyrrolidone 11, and Mg stearate 5 mg was formulated.

IT 121715-76-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory)

RN 121715-76-2 CAPLUS

CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-oxo-N-(phenylmethyl)-, [2S-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



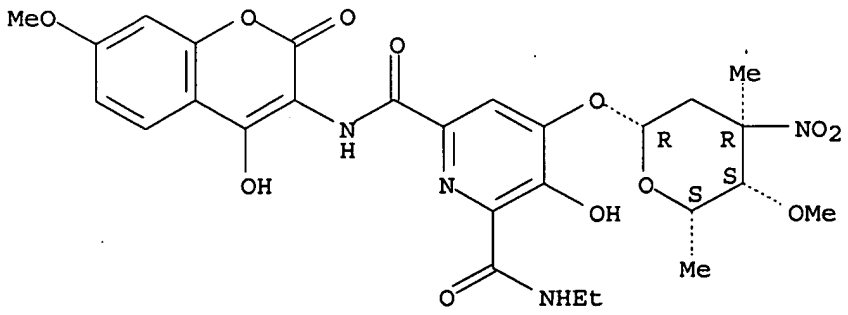
L4 ANSWER 51 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:523505 CAPLUS
DOCUMENT NUMBER: 97:123505
ORIGINAL REFERENCE NO.: 97:20437a,20440a
TITLE: Structure of rubradirin
AUTHOR(S): Hoeksema, H.; Mizsak, S. A.; Baczynskyj, L.; Pschigoda, L.
CORPORATE SOURCE: Res. Lab., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Journal of the American Chemical Society (1982), 104(19), 5173-81
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The antibiotic rubradirin from Streptomyces achromogenes consists of a central moiety, 3,4-dihydroxydipicolinic acid, of which the 2-carboxyl group is esterified by a large ansamycin-like moiety while the 6-carboxyl forms an amide with 3-amino-4-hydroxy-7-methoxycoumarin, a compound of the type found in the novobiocins. Position 4 is glycosylated with a nitro sugar, rubranitrose, which is epimeric with evernitrose, found in 3rd class of antibiotics, the everninomicins. Rubradirins B and C are members of the rubradirin complex which lack rubranitrose and also have slight modifications elsewhere.

IT 69282-24-2P 69282-25-3P 71502-31-3P
71502-32-4P 71502-33-5P 82537-38-0P
82537-39-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 69282-24-2 CAPLUS
CN 2,6-Pyridinedicarboxamide, N2-ethyl-3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

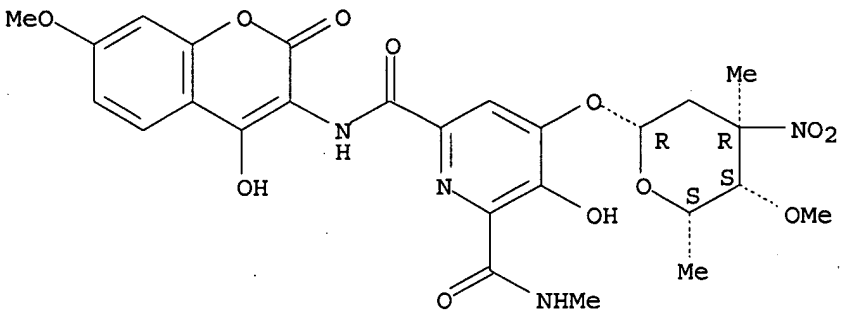
Absolute stereochemistry.



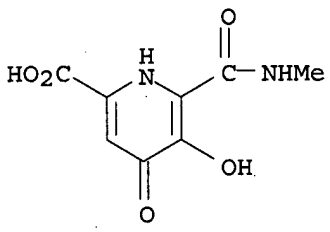
RN 69282-25-3 CAPLUS
CN 2,6-Pyridinedicarboxamide, 3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-N2-methyl-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-

nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

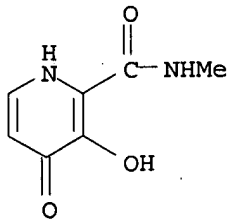
Absolute stereochemistry.



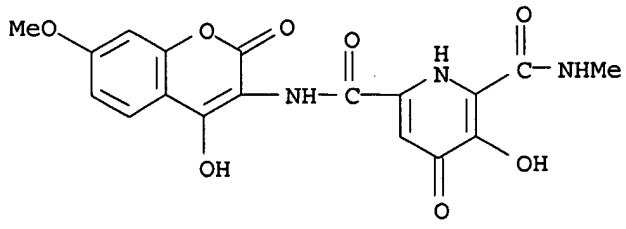
RN 71502-31-3 CAPLUS
CN 2-Pyridinecarboxylic acid, 1,4-dihydro-5-hydroxy-6-[(methylamino)carbonyl]-4-oxo- (CA INDEX NAME)



RN 71502-32-4 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-methyl-4-oxo- (CA INDEX NAME)



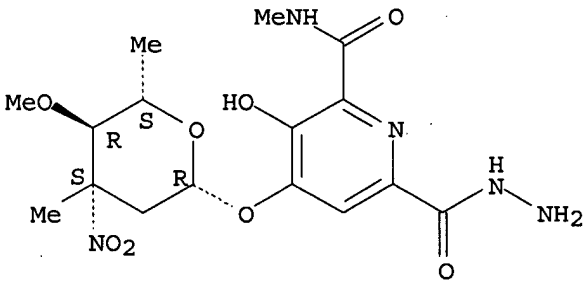
RN 71502-33-5 CAPLUS
CN 2,6-Pyridinedicarboxamide, 1,4-dihydro-3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-N2-methyl-4-oxo- (CA INDEX NAME)



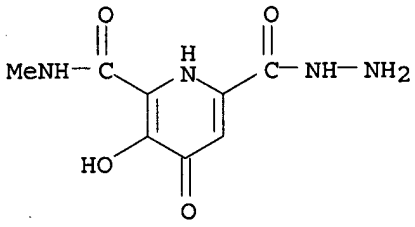
RN 82537-38-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-hydroxy-6-[(methylamino)carbonyl]-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-arabino-hexopyranosyl)oxy]-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



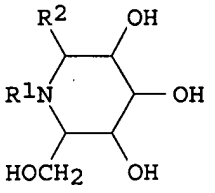
RN 82537-39-1 CAPLUS
CN 2-Pyridinecarboxylic acid, 1,4-dihydro-5-hydroxy-6-[(methylamino)carbonyl]-4-oxo-, hydrazide (CA INDEX NAME)



L4 ANSWER 52 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:117597 CAPLUS
DOCUMENT NUMBER: 96:117597
ORIGINAL REFERENCE NO.: 96:19243a,19246a
TITLE: Herbicidal composition containing piperidine derivatives
INVENTOR(S): Berg, Dieter; Junge, Bodo; Stoltefuss, Juergen; Schmidt, Robert Rudolf
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3024901	A1	19820128	DE 1980-3024901	19800701
PRIORITY APPLN. INFO.:			DE 1980-3024901 A	19800701

OTHER SOURCE(S): CASREACT 96:117597
GI



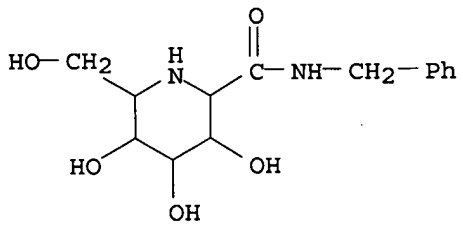
I

AB The 2-hydroxymethyl-3,4,5-trihydroxypiperidine derivs. I (R1 = H, alkyl, XR3 alkenyl, etc.; R2 = H, CN, OH, CH2OH, NHMe, etc.; R3 = aryl, aryloxy, arylmercapto, pyridyl, etc.; X = alkylene or alkenylene) are herbicides. Thus, in pot expts., N-(β-hydroxyethyl)-1-deoxynojirimycin [72432-03-2] (40 kg/ha) totally controlled Lepidium, Portulaca, and Poa. The synthesis of I is given.

IT 81117-54-6P 81117-55-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

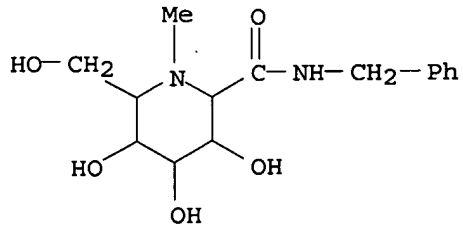
RN 81117-54-6 CAPLUS

CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-(hydroxymethyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 81117-55-7 CAPLUS

CN 2-Piperidinecarboxamide, 3,4,5-trihydroxy-6-(hydroxymethyl)-1-methyl-N-(phenylmethyl)- (CA INDEX NAME)



L4 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1981:30737 CAPLUS
DOCUMENT NUMBER:	94:30737
ORIGINAL REFERENCE NO.:	94:5075a,5078a
TITLE:	Oxazolo[4,5-c]coumarin derivative
INVENTOR(S):	Hoeksema, Herman
PATENT ASSIGNEE(S):	Upjohn Co., USA
SOURCE:	U.S., 7 pp. Division of U.S. No. 4,137,410. CODEN: USXXAM
DOCUMENT TYPE:	Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4199507	A	19800422	US 1978-938688	19780831
US 4137410	A	19790130	US 1978-874767	19780206
JP 53137998	A	19781201	JP 1978-50259	19780428
GB 1576082	A	19801001	GB 1978-17205	19780502
GB 1576084	A	19801001	GB 1978-32822	19780502
GB 1576083	A	19801001	GB 1978-32823	19780502
GB 1576085	A	19801001	GB 1978-32824	19780502
GB 1576086	A	19801001	GB 1978-32825	19780502
GB 1576087	A	19801001	GB 1978-32826	19780502
US 4154939	A	19790515	US 1978-938602	19780831
US 4154940	A	19790515	US 1978-938687	19780831
US 4154938	A	19790515	US 1978-938689	19780831
US 4171437	A	19791016	US 1978-938603	19780831
US 4171436	A	19791016	US 1978-938686	19780831
US 4182855	A	19800108	US 1978-938606	19780831
US 4220786	A	19800902	US 1978-938685	19780831
FR 2411195	A1	19790706	FR 1979-4677	19790223
FR 2411195	B1	19810828		
FR 2411206	A1	19790706	FR 1979-4678	19790223
FR 2411206	B1	19810828		
FR 2411203	A1	19790706	FR 1979-4679	19790223
FR 2411203	B1	19830121		
FR 2411189	A1	19790706	FR 1979-4680	19790223
FR 2411189	B1	19810828		
FR 2411190	A1	19790706	FR 1979-4681	19790223
FR 2411190	B1	19821126		

PRIORITY APPLN. INFO.: US 1977-793785 A2 19770505
US 1978-874767 A3 19780206

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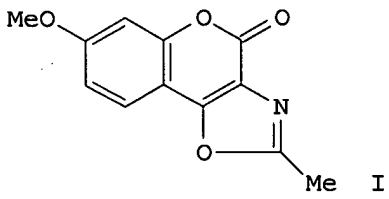


I

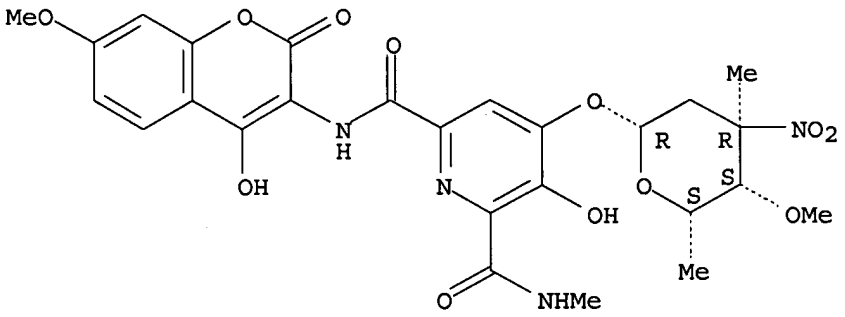
AB The fused compound I was obtained from rubradirin. A mixture of rubradirin, Ac2O, and pyridine was refluxed 4 h to give I. The basic degradation of rubradirin gave rubransarol A, which showed bactericidal activity.

IT 69282-25-3P 75945-33-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

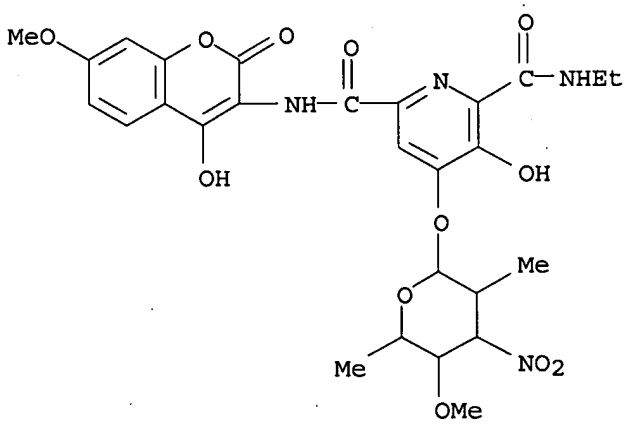
RN 69282-25-3 CAPLUS

CN 2,6-Pyridinedicarboxamide, 3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-N2-methyl-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 75945-33-4 CAPLUS
CN 2,6-Pyridinedicarboxamide, N2-ethyl-3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-4-[(tetrahydro-5-methoxy-4,6-dimethyl-4-nitro-2H-pyran-2-yl)oxy]- (9CI) (CA INDEX NAME)



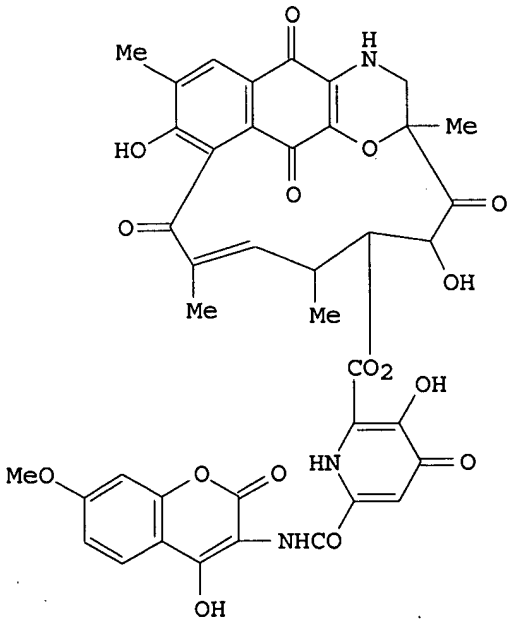
L4 ANSWER 54 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1980:76522 CAPLUS
DOCUMENT NUMBER: 92:76522
ORIGINAL REFERENCE NO.: 92:12611a,12614a
TITLE: Degradation of rubradirin and its B form
INVENTOR(S): Hoeksema, Herman
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Fr. Demande, 22 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2409999	A1	19790622	FR 1978-13250	19780503
FR 2409999	B1	19830114		
US 4137410	A	19790130	US 1978-874767	19780206
JP 53137998	A	19781201	JP 1978-50259	19780428
GB 1576082	A	19801001	GB 1978-17205	19780502
GB 1576084	A	19801001	GB 1978-32822	19780502
GB 1576083	A	19801001	GB 1978-32823	19780502
GB 1576085	A	19801001	GB 1978-32824	19780502
GB 1576086	A	19801001	GB 1978-32825	19780502

GB 1576087	A	19801001	GB 1978-32826		19780502
US 4154939	A	19790515	US 1978-938602		19780831
US 4154940	A	19790515	US 1978-938687		19780831
US 4154938	A	19790515	US 1978-938689		19780831
US 4171437	A	19791016	US 1978-938603		19780831
US 4171436	A	19791016	US 1978-938686		19780831
US 4182855	A	19800108	US 1978-938606		19780831
US 4220786	A	19800902	US 1978-938685		19780831
FR 2411195	A1	19790706	FR 1979-4677		19790223
FR 2411195	B1	19810828			
FR 2411206	A1	19790706	FR 1979-4678		19790223
FR 2411206	B1	19810828			
FR 2411203	A1	19790706	FR 1979-4679		19790223
FR 2411203	B1	19830121			
FR 2411189	A1	19790706	FR 1979-4680		19790223
FR 2411189	B1	19810828			
FR 2411190	A1	19790706	FR 1979-4681		19790223
FR 2411190	B1	19821126			
PRIORITY APPLN. INFO.:			US 1977-793785	A	19770505
			US 1978-874767	A	19780206

OTHER SOURCE(S): MARPAT 92:76522

GI



I

AB The rubradirin aglycone I was obtained by the acidic degradation of rubradirin; I showed bactericidal activity. A mixture of rubradirin, HOAc, and water was stirred 6 days at room temperature to give I and L- and D-rubranitrose. The basic degradation of rubradirin gave rubransarol A and a rubradiric acid, and the former exhibited bactericidal activity. An isomer of rubransarol A and a rubradiric acid aglycone were obtained from rubradirin B.

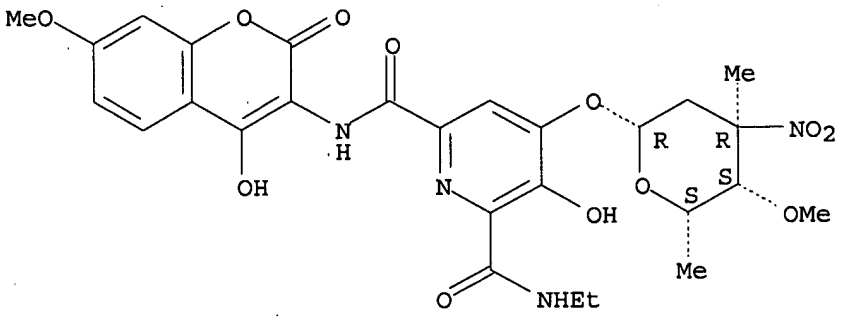
IT 69282-24-2P 69282-25-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 69282-24-2 CAPLUS

CN 2,6-Pyridinedicarboxamide, N2-ethyl-3-hydroxy-N6-(4-hydroxy-7-methoxy-2-

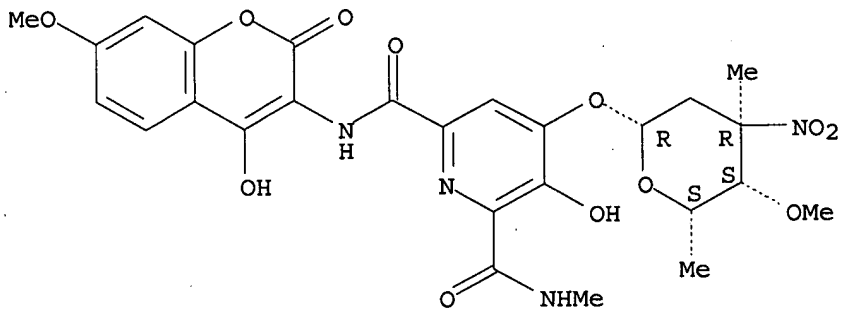
oxo-2H-1-benzopyran-3-yl)-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 69282-25-3 CAPLUS
CN 2,6-Pyridinedicarboxamide, 3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-N2-methyl-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



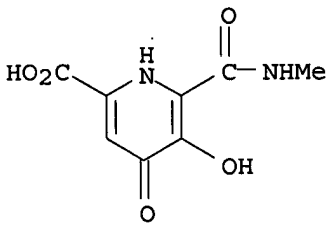
L4 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1979:575314 CAPLUS
DOCUMENT NUMBER: 91:175314
ORIGINAL REFERENCE NO.: 91:28283a,28286a
TITLE: The chemistry of rubradirin. III. The rubradiric acids and the structure of rubradirin
AUTHOR(S): Hoeksema, Herman; Mizsak, Stephen A.; Baczynskyj, Lubomir
CORPORATE SOURCE: Pharm. Res. Dev., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Journal of Antibiotics (1979), 32(7), 773-6
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

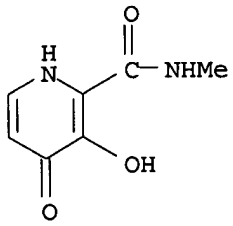
AB Basic hydrolysis of rubradirin (I) and rubradirin B (II) gave in addition to rubransarols A and B, rubradiric acid A (III) and rubraduric acid B.
IT 71502-31-3P 71502-32-4P 71502-33-5P

RL: PREP (Preparation)
(isolation of, in structure proof of rubradiric acids and rubradirin)

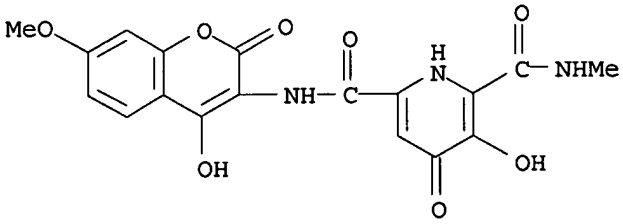
RN 71502-31-3 CAPLUS
CN 2-Pyridinecarboxylic acid, 1,4-dihydro-5-hydroxy-6-[(methylamino)carbonyl]-4-oxo- (CA INDEX NAME)



RN 71502-32-4 CAPLUS
CN 2-Pyridinecarboxamide, 1,4-dihydro-3-hydroxy-N-methyl-4-oxo- (CA INDEX NAME)



RN 71502-33-5 CAPLUS
CN 2,6-Pyridinedicarboxamide, 1,4-dihydro-3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-N2-methyl-4-oxo- (CA INDEX NAME)



L4 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1979:103973 CAPLUS
DOCUMENT NUMBER: 90:103973
ORIGINAL REFERENCE NO.: 90:16427a,16430a
TITLE: Decomposition products of antibiotics rubradirin and rubradirin B
INVENTOR(S): Hoeksema, Herman
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Ger. Offen., 35 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2816052	A1	19781116	DE 1978-2816052	19780413
US 4137410	A	19790130	US 1978-874767	19780206
JP 53137998	A	19781201	JP 1978-50259	19780428
GB 1576082	A	19801001	GB 1978-17205	19780502
GB 1576084	A	19801001	GB 1978-32822	19780502
GB 1576083	A	19801001	GB 1978-32823	19780502
GB 1576085	A	19801001	GB 1978-32824	19780502
GB 1576086	A	19801001	GB 1978-32825	19780502
GB 1576087	A	19801001	GB 1978-32826	19780502
US 4154939	A	19790515	US 1978-938602	19780831
US 4154940	A	19790515	US 1978-938687	19780831
US 4154938	A	19790515	US 1978-938689	19780831
US 4171437	A	19791016	US 1978-938603	19780831
US 4171436	A	19791016	US 1978-938686	19780831
US 4182855	A	19800108	US 1978-938606	19780831
US 4220786	A	19800902	US 1978-938685	19780831
FR 2411195	A1	19790706	FR 1979-4677	19790223
FR 2411195	B1	19810828		
FR 2411206	A1	19790706	FR 1979-4678	19790223
FR 2411206	B1	19810828		
FR 2411203	A1	19790706	FR 1979-4679	19790223
FR 2411203	B1	19830121		
FR 2411189	A1	19790706	FR 1979-4680	19790223
FR 2411189	B1	19810828		
FR 2411190	A1	19790706	FR 1979-4681	19790223
FR 2411190	B1	19821126		

PRIORITY APPLN. INFO.:

US 1977-793785 A 19770505
US 1978-874767 A 19780206

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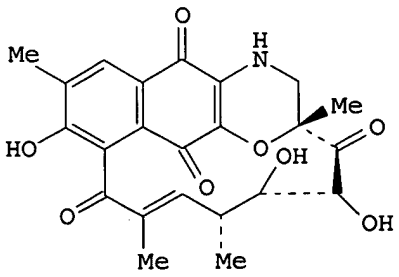


I

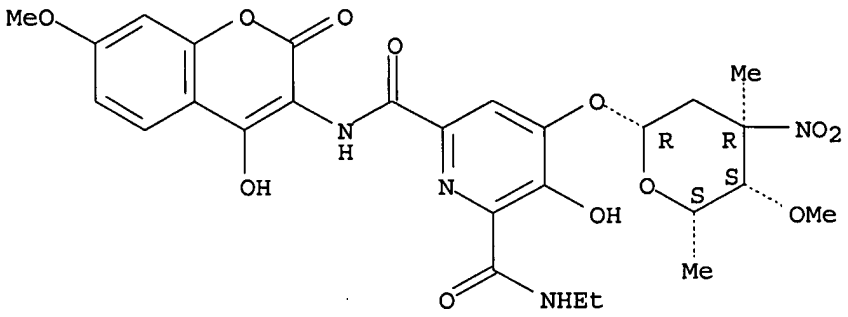
AB The acidic and alkaline decomposition of antibiotics rubradirin and rubradirin B gave 8 identified compds. including I and a configurational isomer of I.

IT 69282-24-2P 69282-25-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from rubradirin)

RN 69282-24-2 CAPLUS

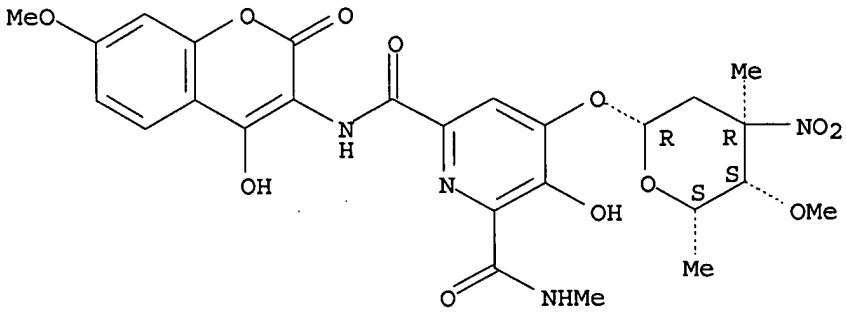
CN 2,6-Pyridinedicarboxamide, N2-ethyl-3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



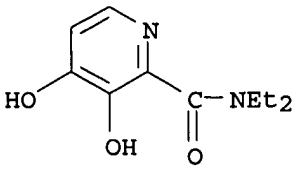
RN 69282-25-3 CAPLUS
CN 2,6-Pyridinedicarboxamide, 3-hydroxy-N6-(4-hydroxy-7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-N2-methyl-4-[(2,3,6-trideoxy-3-C-methyl-4-O-methyl-3-nitro-β-L-xylo-hexopyranosyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1952:67070 CAPLUS
DOCUMENT NUMBER: 46:67070
ORIGINAL REFERENCE NO.: 46:11209f-g
TITLE: 4 - Pyronecarboxylic acids and their transformations
AUTHOR(S): Belonosov, I. S.
CORPORATE SOURCE: Khabarovsk Med. Inst.
SOURCE: Zhurnal Prikladnoi Khimii (Sankt-Peterburg, Russian Federation) (1951), 24, 113-16
CODEN: ZPKHAB; ISSN: 0044-4618
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Meconic acid (50 g.) in 150 ml. concentrated NH4OH, evaporated, and then boiled until CO2 evolution ceased, gave the NH4 salt of comenamic acid (3,4-dihydroxypicolinic acid); treatment with 10% HCl yielded 34.6% free acid, m. 260-2°, which with EtOH and dry HCl with cooling gave 40% Et ester, m. 204-5°. This (25 g.) let stand overnight with 125 ml. Et2NH, filtered, and the solid dried, taken up in a little absolute EtOH, saturated with HCl, and diluted with Et2O, gave a precipitate of crude N,N-diethyl-3,4-dihydroxypicolinamide-HCl; purified by repeated treatment with EtOH-Et2O, it m. 159° (13.3% yield). Comenic acid with dry HCl in EtOH gave 40.6% Et ester, m. 127°, which with Et2NH as above gave 49.5% diethylamine-HCl, m. 168°, decompose slowly on standing in the open air; it is toxic to the isolated frog heart.
IT 856834-25-8P, Picolinamide, N,N-diethyl-3,4-dihydroxy-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 856834-25-8 CAPLUS
CN Picolinamide, N,N-diethyl-3,4-dihydroxy-, hydrochloride (5CI) (CA INDEX NAME)



● HCl

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